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NEWS	5	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	6	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	7	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS	8	FEB 10	COMPENDEX reloaded and enhanced
NEWS	9	FEB 11	WTEXTILES reloaded and enhanced
NEWS	10	FEB 19	New patent-examiner citations in 300,000 CA/CAPLUS patent records provide insights into related prior art
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NEWS	12	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	13	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	14	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	15	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	16	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	17	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	18	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	19	MAR 11	ESBIOBASE reloaded and enhanced
NEWS	20	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS	21	MAR 23	CA/CAPLUS enhanced with more than 250,000 patent equivalents from China
NEWS	22	MAR 30	IMSPATENTS reloaded and enhanced
NEWS	23	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS	24	APR 07	STN is raising the limits on saved answers
NEWS EXPRESS	JUNE 27 08	CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.	

NEWS HOURS      STN Operating Hours Plus Help Desk Availability  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 09:20:52 ON 22 APR 2009

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

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STRUCTURE FILE UPDATES: 20 APR 2009 HIGHEST RN 1137276-53-9

DICTIONARY FILE UPDATES: 20 APR 2009 HIGHEST RN 1137276-53-9

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TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

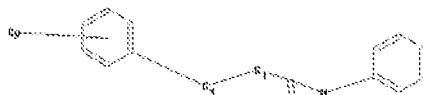
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10569873 formula III W is unsat Cy.str



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chain nodes :
13 14 15 17 18 22
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
2-13 12-18 13-14 14-15 14-17 17-18
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
2-13 12-18 13-14 14-15 14-17 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

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G1:C,O,S,N

G2:O,S

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS 22:Atom 23:Atom

Generic attributes :

22:

Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.48

0.70

FILE 'CAPLUS' ENTERED AT 09:21:15 ON 22 APR 2009

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FILE COVERS 1907 - 22 Apr 2009 VOL 150 ISS 17  
FILE LAST UPDATED: 21 Apr 2009 (20090421/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L1 SSS full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 09:21:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 5937984 TO ITERATE

8.6% PROCESSED	510258 ITERATIONS	1188 ANSWERS
12.8% PROCESSED	761279 ITERATIONS	2027 ANSWERS
14.7% PROCESSED	873561 ITERATIONS	2530 ANSWERS
16.5% PROCESSED	979472 ITERATIONS	2545 ANSWERS
16.8% PROCESSED	1000000 ITERATIONS	2545 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.01.12

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 5937984 TO 5937984  
PROJECTED ANSWERS: 14744 TO 15480

L2 2545 SEA SSS FUL L1

L3 30 L2

=> d ibib abs hitstr 1-

YOU HAVE REQUESTED DATA FROM 30 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:386205 CAPLUS Full-text

TITLE: Preparation of (carboxyalkylphenyl)phenyloxalamides as activators of G protein-coupled receptor GPR40.

INVENTOR(S): Defossa, Elisabeth; Klabunde, Thomas; Dietrich, Viktoria; Stengelin, Siegfried; Haschke, Guido; Herling, Andreas; Kuhlmann, Johanna; Bartoschek, Stefan

PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.

SOURCE: PCT Int. Appl., 68pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

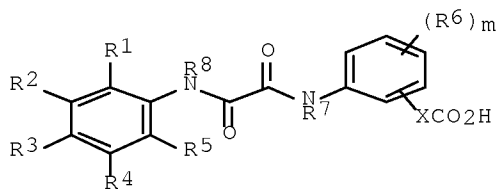
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2009039943	A1	20090402	WO 2008-EP7218	20080904
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.:

EP 2007-291132

A 20070921

GI



AB Title compds. [I; R3 = H, F, Cl, Br, cyano, CF3, OH, OCF3, OCHF2, SMe, SCF3, (substituted) Ph, OPh, CO2H, alkylcarbonyl, alkyl, cycloalkyl, OBn, SO3H, piperidinylsulfonyl, etc.; R1-R5 = H, F, Cl, Br, cyano, CF3, SCF3, OCHF2, SMe, SCF3, (substituted) Ph, OPh, CO2H, alkylcarbonyl, alkyl, cycloalkyl, OBn, SO3H, piperidinylsulfonyl, etc.; R2, R4 = H, F, Cl, Br, cyano, CF3, OCF3, OCHF2, SMe, SCF3, (substituted) Ph, OPh, CO2H, alkylcarbonyl, alkyl, cycloalkyl, SO3H, piperidinylsulfonyl, etc.; R7, R8 = H, alkyl; X = (substituted) alkylene; m = 0-4; R6 = OH, F, Cl, Br, cyano, OMe, OCF3, Me, CF3, (substituted) alkyl, alkoxy], were prepared Thus, N-(2-bromo-4-

isopropylphenyl)-N'-[4-(2-carboxyethyl)phenyl]oxalamide (preparation outlined)  
activated GPR40 receptors in a FLIPR assay with EC50 = 0.1  $\mu$ M.

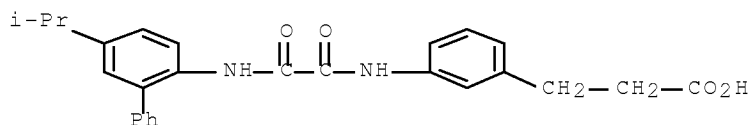
IT 1136080-81-3P 1136080-95-9P 1136081-05-4P

RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (carboxyalkylphenyl)phenyloxalamides as activators of G protein-coupled receptor GPR40)

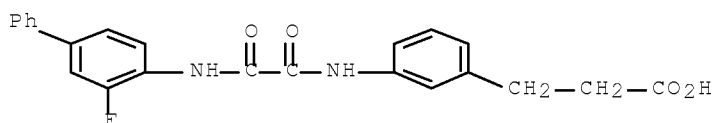
RN 1136080-81-3 CAPLUS

CN Benzenepropanoic acid, 3-[[2-[[5-(1-methylethyl)[1,1'-biphenyl]-2-yl]amino]-2-oxoacetyl]amino]- (CA INDEX NAME)



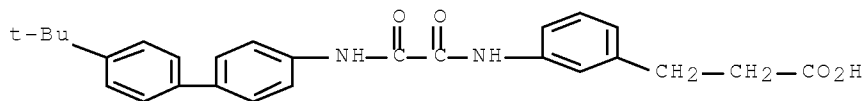
RN 1136080-95-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1136081-05-4 CAPLUS

CN Benzenepropanoic acid, 3-[[2-[[4'-(1,1-dimethylethyl)[1,1'-biphenyl]-4-yl]amino]-2-oxoacetyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2009:139320 CAPLUS Full-text

DOCUMENT NUMBER: 150:191166

TITLE: Preparation of ureas and related compounds having dual inhibitory activity for IMPDH/HDAC

INVENTOR(S): Pankiewicz, Krzysztof; Chen, Liqiang; Vince, Robert

PATENT ASSIGNEE(S): Regents of the University of Minnesota, USA

SOURCE: PCT Int. Appl., 49pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

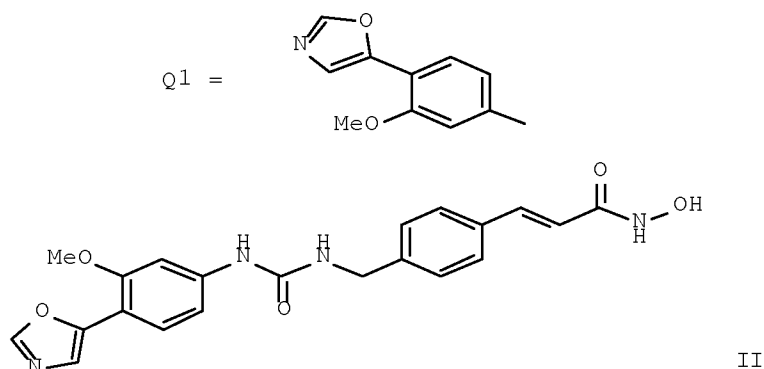
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2007-952800P P 20070730

OTHER SOURCE(S): MARPAT 150:191166

GI



AB Title compds. A-X-L-B [I, A = Q1, etc.; X = direct bond, -NH-, -NH-CO-, etc.; L = hydrocarbon chain that is optionally substituted with oxo, wherein carbon atoms of the chain can optionally be replaced with O, S, NH, etc.; B = -CONHOH, -COCH<sub>2</sub>SH, -CH<sub>2</sub>-(CH<sub>2</sub>)<sub>n</sub>-SH, etc.; n = 1-6] or salts thereof were prepared For example, reaction of 3-methoxy-4-(oxazol-5-yl)aniline with triphospgene followed by in-situ treatment with tert-Bu (E)-3-[4-(aminomethyl)phenyl]acrylate hydrochloride, de-esterification using CF<sub>3</sub>CO<sub>2</sub>H, exposure to O-tritylhydroxylamine, and reaction with CF<sub>3</sub>CO<sub>2</sub>H and Et<sub>3</sub>SiH afforded compound II. In IMP-dehydrogenase/histone deacetylase (IMPDH/HDAC) inhibition assays, the exemplified compound II showed the K<sub>i</sub> values (μM) of 7.8±0.8 (IMPDH1) and 1.2±0.2 (IMPDH2), and IC<sub>50</sub> (μM) of 0.026±0.006 (HDAC). Compds. I are claimed useful for the treatment of cancer.

IT 1109236-88-5P 1109236-90-9P

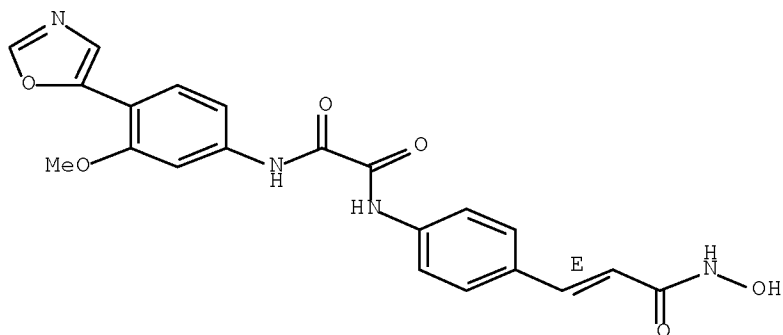
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of ureas and related compds. having dual inhibitory activity  
for IMPDH/HDAC)

RN 1109236-88-5 CAPLUS

CN Ethanediame, N1-[4-[(1E)-3-(hydroxyamino)-3-oxo-1-propen-1-yl]phenyl]-N2-[3-methoxy-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)

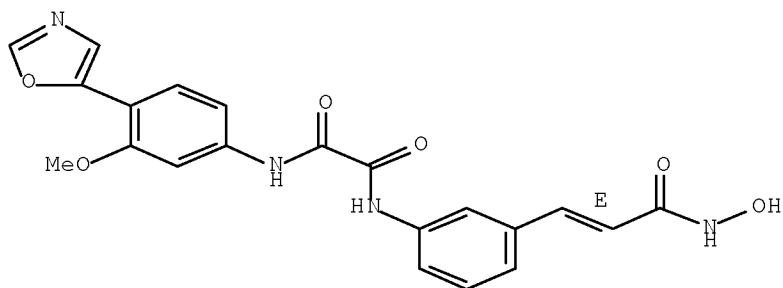
Double bond geometry as shown.



RN 1109236-90-9 CAPLUS

CN Ethanediame, N1-[3-[(1E)-3-(hydroxyamino)-3-oxo-1-propen-1-yl]phenyl]-N2-[3-methoxy-4-(5-oxazolyl)phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



IT 1109237-31-1P 1109237-32-2P 1109237-33-3P

1109237-35-5P 1109237-36-6P 1109237-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

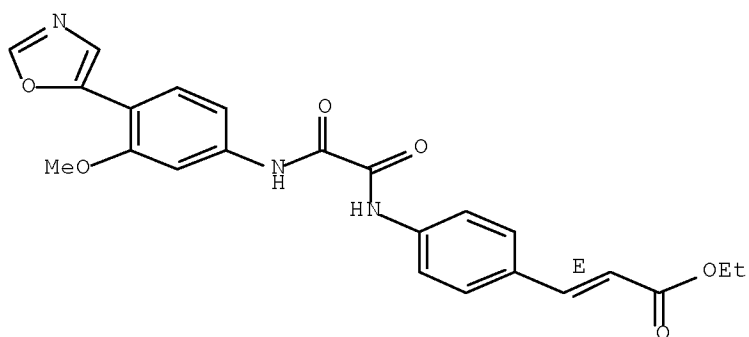
(preparation of ureas and related compds. having dual inhibitory activity  
for IMPDH/HDAC)

RN 1109237-31-1 CAPLUS

CN 2-Propenoic acid, 3-[4-[[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-2-oxoacetyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

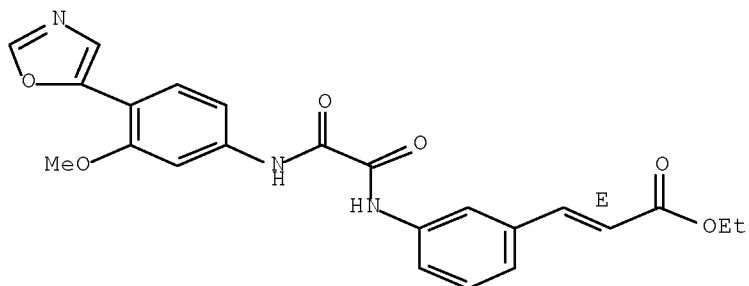




RN 1109237-32-2 CAPLUS

CN 2-Propenoic acid, 3-[3-[[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-2-oxoacetyl]amino]phenyl]-, ethyl ester, (2E)- (CA INDEX NAME)

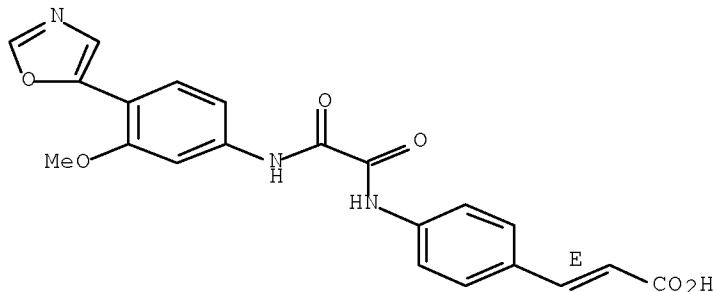
Double bond geometry as shown.



RN 1109237-33-3 CAPLUS

CN 2-Propenoic acid, 3-[4-[[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-2-oxoacetyl]amino]phenyl]-, (2E)- (CA INDEX NAME)

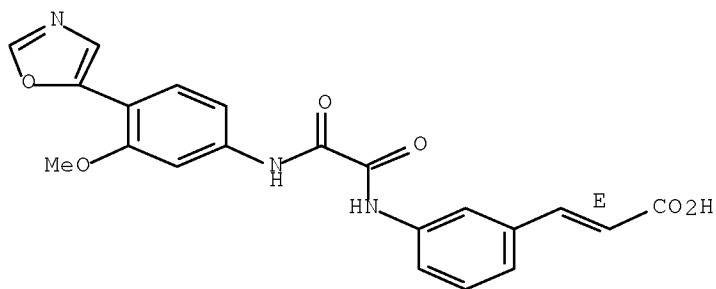
Double bond geometry as shown.



RN 1109237-35-5 CAPLUS

CN 2-Propenoic acid, 3-[3-[[2-[[3-methoxy-4-(5-oxazolyl)phenyl]amino]-2-oxoacetyl]amino]phenyl]-, (2E)- (CA INDEX NAME)

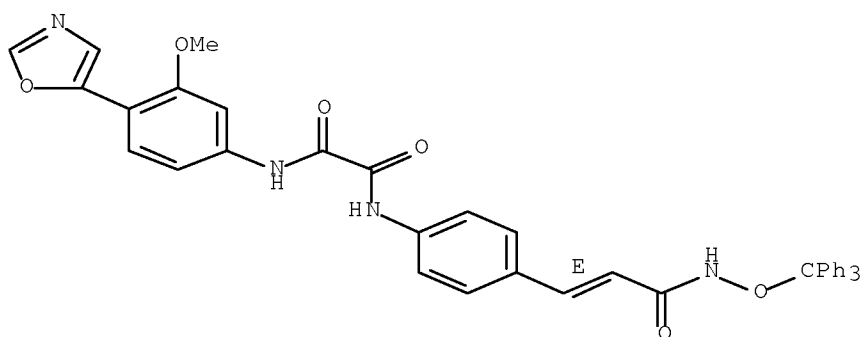
Double bond geometry as shown.



RN 1109237-36-6 CAPLUS

CN Ethanediameide, N1-[3-methoxy-4-(5-oxazolyl)phenyl]-N2-[4-[(1E)-3-oxo-3-[(triphenylmethoxy)amino]-1-propen-1-yl]phenyl]- (CA INDEX NAME)

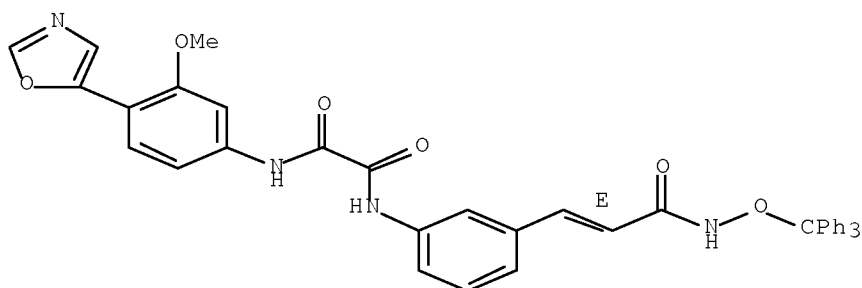
Double bond geometry as shown.



RN 1109237-37-7 CAPLUS

CN Ethanediameide, N1-[3-methoxy-4-(5-oxazolyl)phenyl]-N2-[3-[(1E)-3-oxo-3-[(triphenylmethoxy)amino]-1-propen-1-yl]phenyl]- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

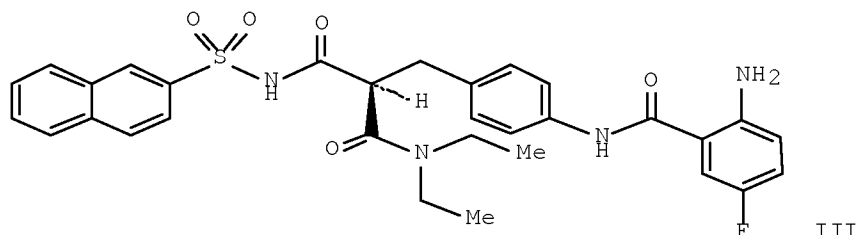
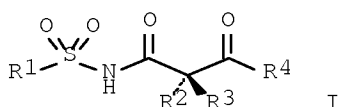
L3 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2008:1529247 CAPLUS Full-text  
DOCUMENT NUMBER: 150:77371  
TITLE: Preparation of novel malonic acid sulfonamide derivatives as angiotensin AT2 receptor agonists  
INVENTOR(S): Yoshida, Tomohiro; Sakashita, Hiroshi; Numata, Atsushi; Tahara, Saori; Kawasumi, Hisashi  
PATENT ASSIGNEE(S): Mitsubishi Tanabe Pharma Corporation, Japan  
SOURCE: PCT Int. Appl., 433pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008156142	A1	20081224	WO 2008-JP61248	20080619
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2007-163099 A 20070620

OTHER SOURCE(S): MARPAT 150:77371

GI



AB The title compds. [I; R1 = each (un)substituted C1-8 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, C3-10 cycloalkyl-C1-6 alkyl, heterocyclyl, aryl,

aryl-C1-6 alkyl, aryloxy-C1-6 alkyl, aryl-C2-6 alkenyl, heteroaryl, heteroaryl-C1-6 alkyl, heteroaryloxy-C1-6 alkyl, or heteroaryl-C2-6 alkenyl; one of R2 and R3 = H or halo and the other = halo, each (un)substituted C1-6 alkyl, C1-6 alkoxy, C2-6 alkenyl, or C2-6 alkynyl, (CH2)nCONR5R6, etc. ; R5, R6 = H, C1-6 alkyl, each (un)substituted aryl or heteroaryl; or NR5R6 = (un)substituted cyclic amino; R4 = NR7R8; R7, R8 = H, each (un)substituted C1-6 alkyl, C2-6 alkenyl, aryl, aryl-C1-6 alkyl, heteroaryl, heteroaryl-C1-6 alkyl, C3-10 cycloalkyl, or heterocyclyl; or NR7R8 = (un)substituted cyclic amino] or pharmaceutically acceptable salts thereof or hydrates thereof were prepared. These compds. have selective AT2 receptor agonism and have a therapeutic and/or preventive effect on various diseases due to AT2 receptor agonism and are useful as pharmaceuticals for treating and/or preventing diseases associated with the renin-angiotensin-aldosterone (RAAS) system, e.g. metabolic diseases or circulatory diseases such as cerebral infarction, kidney diseases, heart diseases, hypertension, diabetes, and metabolic syndrome. Thus, 2-(4-aminobenzyl)-N,N-diethyl-N'-(2-naphthylsulfonyl)malonamide was condensed with 5-fluoroanthranilic acid using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride in the presence of 4-dimethylaminopyridine in DMF at room temperature for 16 h to give 2-[4-[(2-amino-3-methylbenzoyl)amino]benzyl]-N,N-diethyl-N'-(2-naphthylsulfonyl)malonamide (II). Optical resolution of II using (1S,2S)-(+)-2-amino-1-(4-nitrophenyl)-1,3-propanediol gave (2S)-2-[4-[(2-amino-5-fluorobenzoyl)amino]benzyl]-N,N-diethyl-N'-(2-naphthylsulfonyl)malonamide (III). III in vitro showed binding affinity to human recombinant angiotensin AT2 receptor with Ki of 0.9 nM.

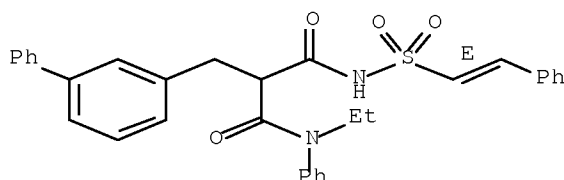
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel malonic acid sulfonamide derivs. as angiotensin AT2 receptor agonists for prevention and/or treatment of metabolic or circulatory diseases)

RN 1094198-16-9 CAPLUS

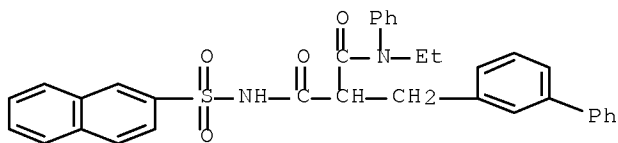
CN Propanediamide, 2-([1,1'-biphenyl]-3-ylmethyl)-N1-ethyl-N1-phenyl-N3-[[[(1E)-2-phenylethenyl)sulfonyl]- (CA INDEX NAME)

Double bond geometry as shown.



RN 1094198-17-0 CAPLUS

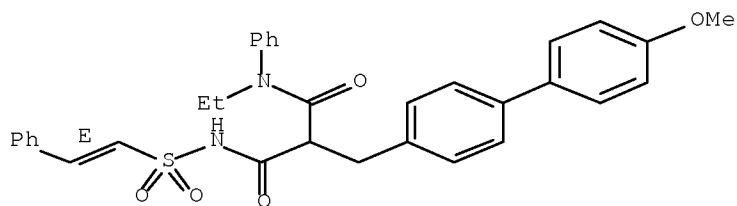
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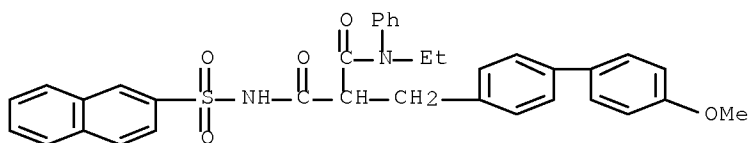
CN Propanediamide, N1-ethyl-2-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N1-phenyl-N3-[(1E)-2-phenylethenyl]sulfonyl- (CA INDEX NAME)

Double bond geometry as shown.



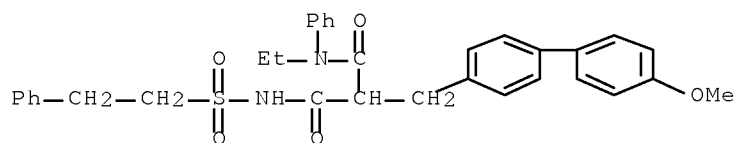
RN 1094198-19-2 CAPLUS

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RN 1094198-20-5 CAPLUS

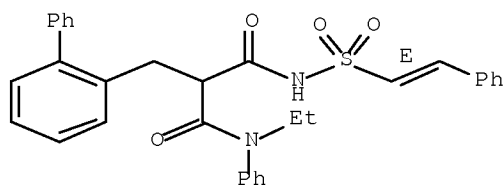
CN Propanediamide, N1-ethyl-2-[(4'-methoxy[1,1'-biphenyl]-4-yl)methyl]-N1-phenyl-N3-[(2-phenylethyl)sulfonyl]- (CA INDEX NAME)



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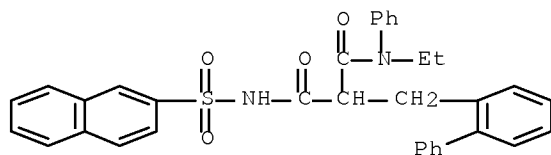
CN Propanediamide, 2-([1,1'-biphenyl]-2-ylmethyl)-N1-ethyl-N1-phenyl-N3-[(1E)-2-phenylethenyl]sulfonyl- (CA INDEX NAME)

Double bond geometry as shown.



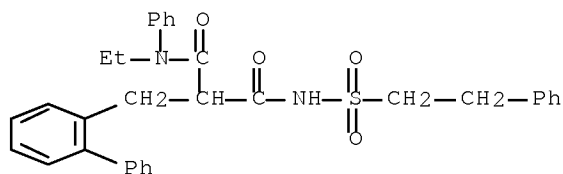
RN 1094198-22-7 CAPLUS

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RN 1094198-23-8 CAPLUS

CN Propanediamide, 2-([1,1'-biphenyl]-2-ylmethyl)-N1-ethyl-N1-phenyl-N3-[(2-phenylethyl)sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1466048 CAPLUS Full-text  
 DOCUMENT NUMBER: 149:576567  
 TITLE: Preparation of  
 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine  
 derivatives and related compounds for treatment of  
 Alzheimer's disease  
 INVENTOR(S): Kobayashi, Naotake; Ueda, Kazuo; Itoh, Naohiro;  
 Suzuki, Shinji; Sakaguchi, Gaku; Kato, Akira;  
 Yukimasa, Akira; Hori, Akihiro; Kooriyama, Yuji;  
 Haraguchi, Hidekazu; Yasui, Ken; Kanda, Yasuhiko  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., No pp. given  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008133273	A1	20081106	WO 2008-XA57842	20080423
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2007-114764	A 20070424

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; ring A = each (un)substituted carbocyclic or  
 heterocyclic ring group; E = a bond, Alk1, O-Alk1, S-Alk1, N(R0)-Alk1; Alk1 =  
 lower alkylene or alkenylene; R0 = H, lower alkyl, acyl; X = S, O, NR1; R1 =  
 H, lower alkyl; R2a, R2b = H, HO, each (un)substituted lower alkyl, lower  
 alkenyl, NH2, amidino, acyl, CONH2, carbamoylcarbonyl, lower alkylsulfonyl,  
 arylsulfonyl, or heterocyclyl; R3a, R3b, R4a, R4b = H, halo, HO, each  
 (un)substituted lower alkyl, lower alkenyl, acyl, lower alkoxycarbonyl, NH2,  
 CONH2, carbocyclyl, or heterocyclyl, CO2H; n, m = an integer of 0-3, provided  
 that n+m = 1-3; R5 = H, each lower alkyl, lower alkenyl, lower alkynyl,  
 carbocyclyl, or heterocyclyl], pharmaceutically acceptable salts thereof, or  
 hydrates thereof were prepared These compds. inhibit proteinase BACE-1 and  
 the production of amyloid  $\beta$  protein and are useful for treatment of diseases  
 induced by the production, secretion, or deposition of amyloid  $\beta$  protein, in  
 particular Alzheimer's disease. Thus, addition reaction of N-(3-acetyl-5-  
 bromophenyl)-2,2,2- trifluoroacetamide with vinylmagnesium chloride in  
 THF/Et2O in a dry ice-acetone bath for 20 min, under ice cooling for 30 min,  
 and at room temperature for 35 min gave an allyl alc. (II) which under went  
 condensation reaction with thiourea in 1 M HCl/EtOAc solution at room  
 temperature for 69 h and at 40° for 45 h to give an isothiurea (III).

Cyclization of III in the presence of CF<sub>3</sub>SO<sub>3</sub> in CF<sub>3</sub>CO<sub>2</sub>H at room temperature for 3.5 h gave an 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine (IV; R = H, R<sub>1</sub> = CF<sub>3</sub>CO) which underwent N-protection with di(tert-butyl) dicarbonate in the presence of Et<sub>3</sub>N in THF under ice-cooling for 2 h and at room temperature for 3 h to give IV (R = Boc, R<sub>1</sub> = CF<sub>3</sub>CO). IV (R = Boc, R<sub>1</sub> = CF<sub>3</sub>CO) was treated with a mixture of 1 M NaOH aqueous solution and THF at 50° for 4 h and then with 4 M HCl/1,4-dioxane solution to give IV.2HCl (R = R<sub>1</sub> = H). The compound (V) at 10 mg/kg p.o. in vivo lowered amyloid  $\beta$  protein by 50.1% in rat brain after 3 h. [This abstract record is one of 4 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.].

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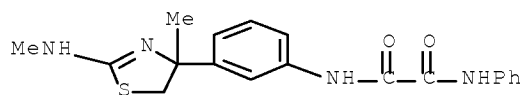
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RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine derivs. and related compds. as inhibitors of proteinase BACE-1 and production of amyloid  $\beta$  protein for treatment of Alzheimer's disease)

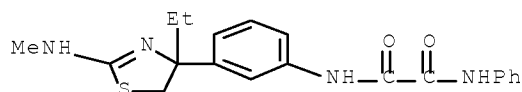
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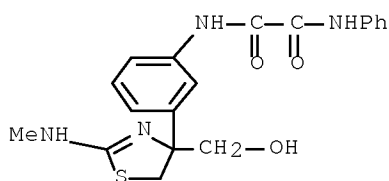
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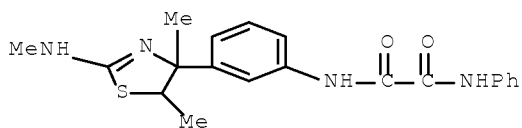
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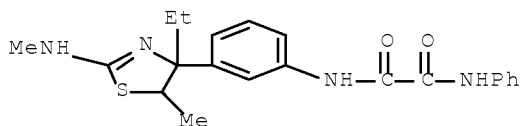
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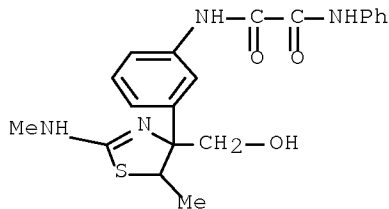
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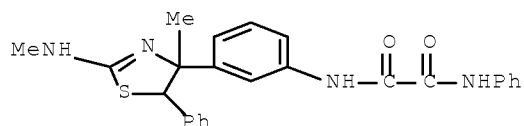
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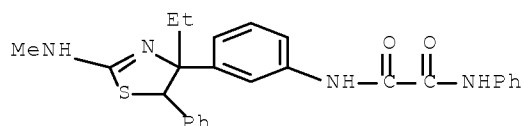
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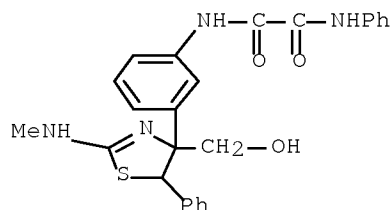
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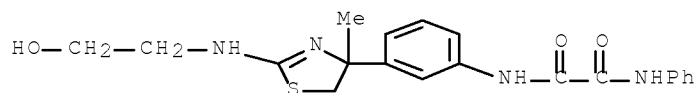
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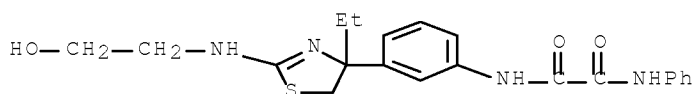
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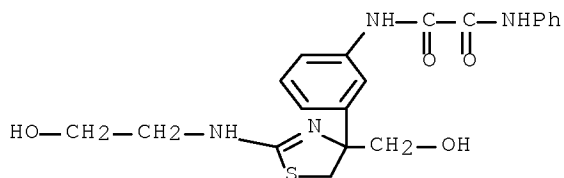
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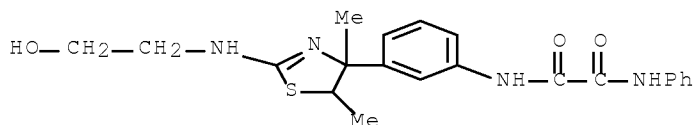
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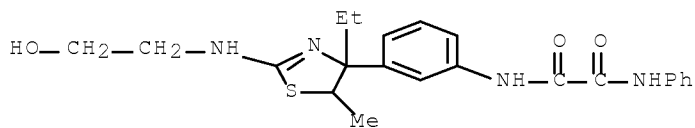
RN 1084633-52-2 CAPLUS

CN Ethanediameide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4,5-dimethyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



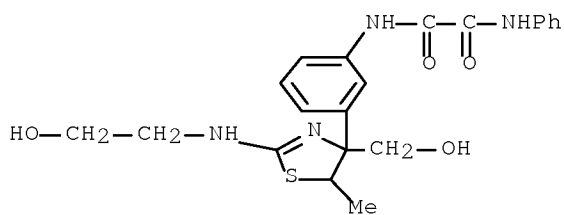
RN 1084633-62-4 CAPLUS

CN Ethanediameide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxyethyl)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



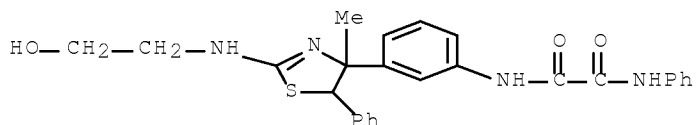
RN 1084633-73-7 CAPLUS

CN Ethanediameide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-(hydroxymethyl)-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



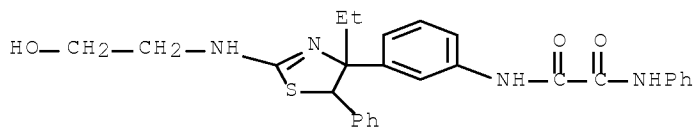
RN 1084634-18-3 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-methyl-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



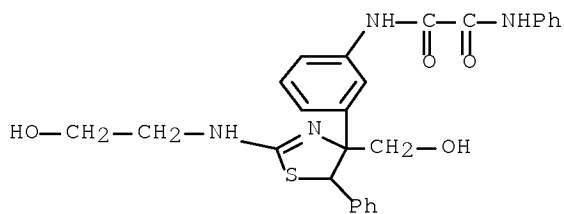
RN 1084634-28-5 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxyethyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



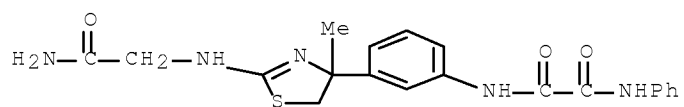
RN 1084634-38-7 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxyethyl)amino]-4-(hydroxymethyl)-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

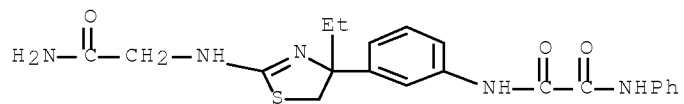


RN 1084634-84-3 CAPLUS

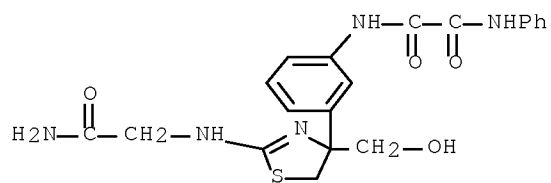
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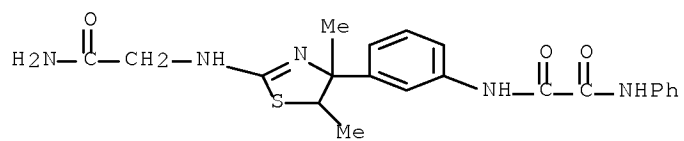
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CN INDEX NAME NOT YET ASSIGNED



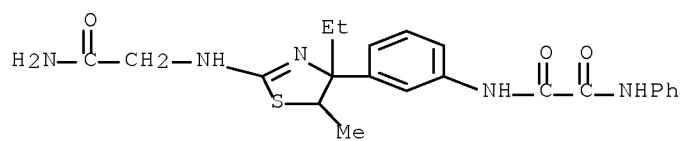
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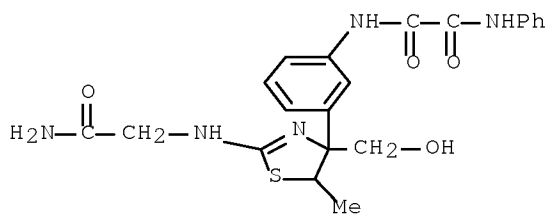
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CN INDEX NAME NOT YET ASSIGNED



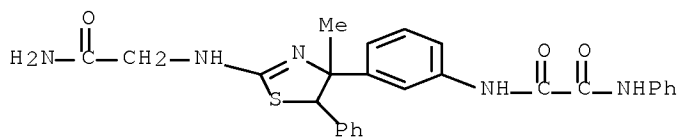
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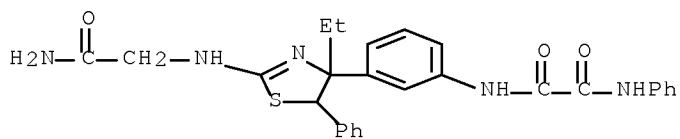
RN 1084635-77-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



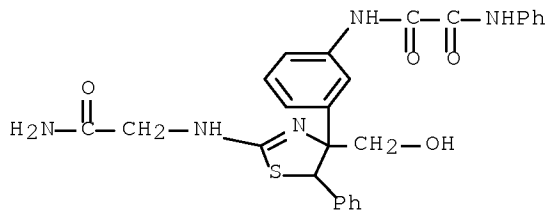
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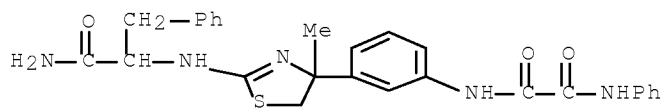
RN 1084636-24-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



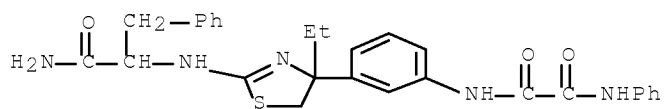
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CN INDEX NAME NOT YET ASSIGNED



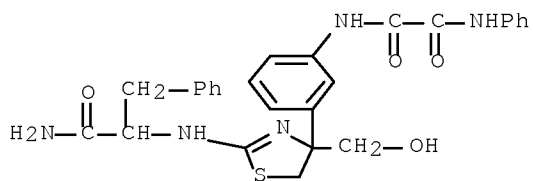
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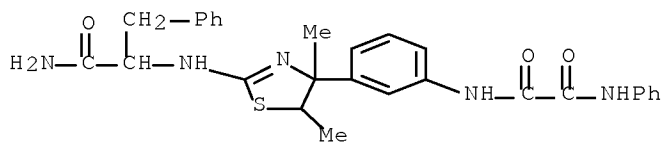
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CN INDEX NAME NOT YET ASSIGNED



RN 1084637-32-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

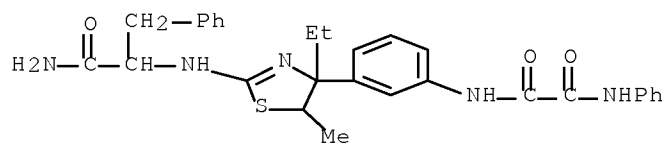


RN 1084637-81-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

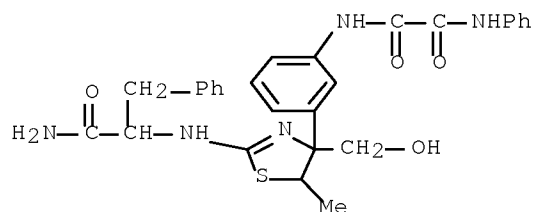


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CN INDEX NAME NOT YET ASSIGNED

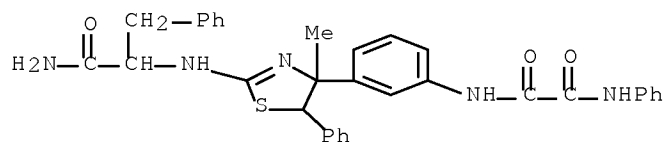




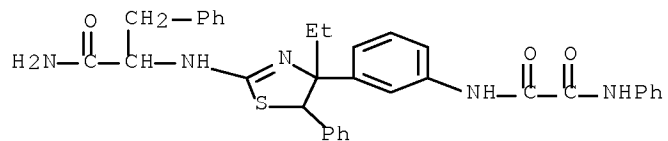
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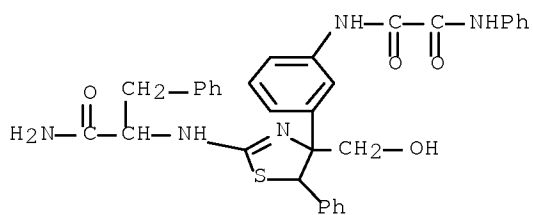
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RN 1084638-59-4 CAPLUS  
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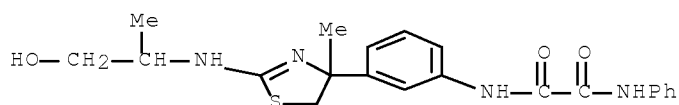


RN 1084638-70-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



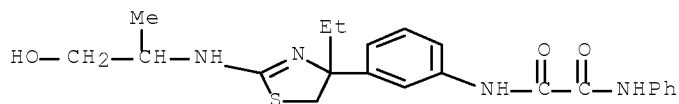
RN 1084639-23-5 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



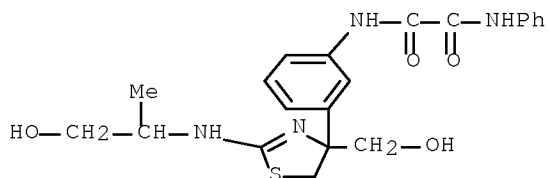
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CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



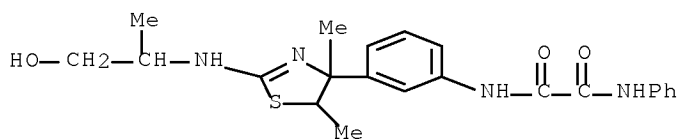
RN 1084639-39-3 CAPLUS

CN Ethanediamide, N1-[3-[4-(hydroxymethyl)-4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



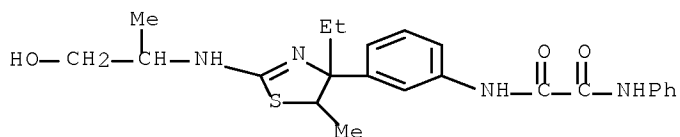
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CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4,5-dimethyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



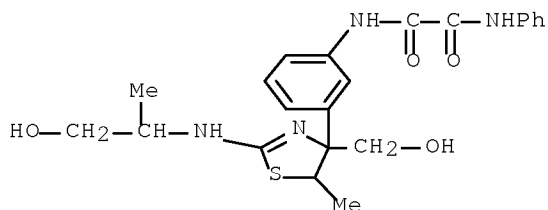
RN 1084639-93-9 CAPLUS

CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



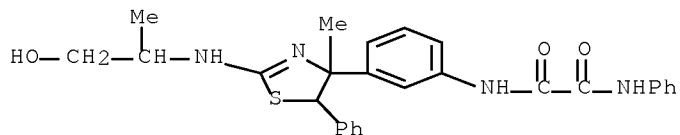
RN 1084640-03-8 CAPLUS

CN Ethanediamide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(2-hydroxy-1-methylethyl)amino]-5-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



RN 1084640-48-1 CAPLUS

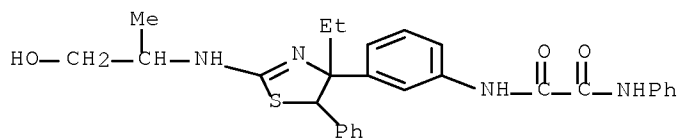
CN Ethanediamide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-4-methyl-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



RN 1084640-60-7 CAPLUS

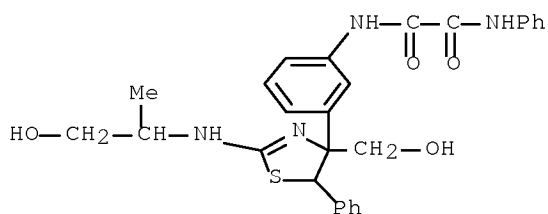
CN Ethanediamide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-1-methylethyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

NAME)



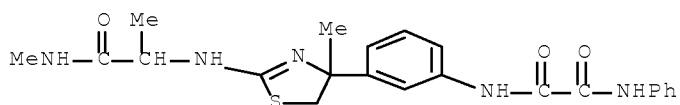
RN 1084640-72-1 CAPLUS

CN Ethanediameide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(2-hydroxy-1-methylethyl)amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



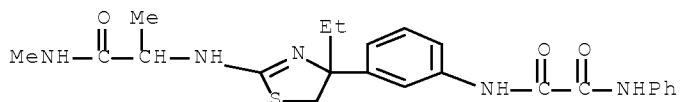
RN 1084641-23-5 CAPLUS

CN Ethanediameide, N1-[3-[4,5-dihydro-4-methyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



RN 1084641-36-0 CAPLUS

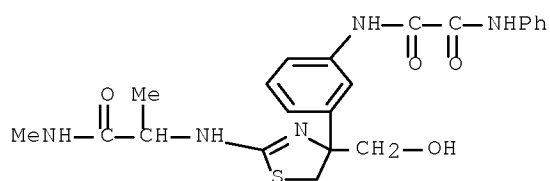
CN Ethanediameide, N1-[3-[4-ethyl-4,5-dihydro-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



RN 1084641-46-2 CAPLUS

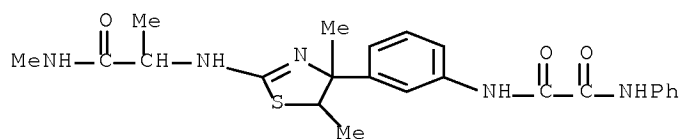
CN Ethanediameide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

NAME)



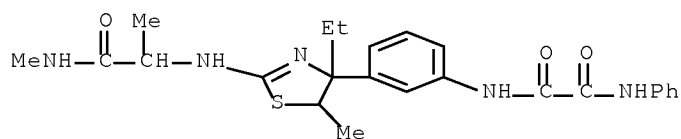
RN 1084641-94-0 CAPLUS

CN Ethanediameide, N1-[3-[4,5-dihydro-4,5-dimethyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



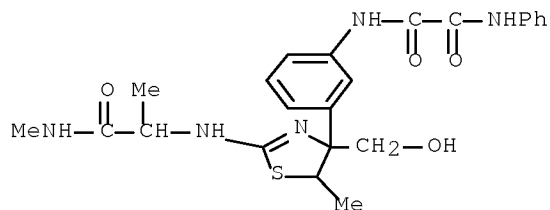
RN 1084642-04-5 CAPLUS

CN Ethanediameide, N1-[3-[4-ethyl-4,5-dihydro-5-methyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



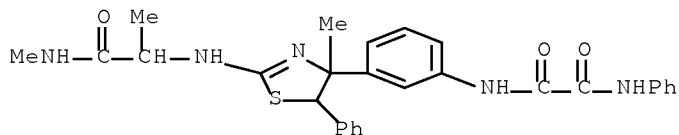
RN 1084642-16-9 CAPLUS

CN Ethanediameide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-5-methyl-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



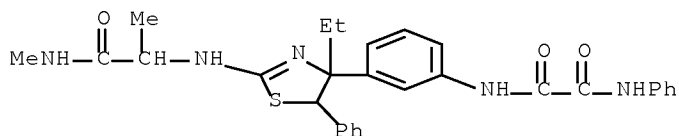
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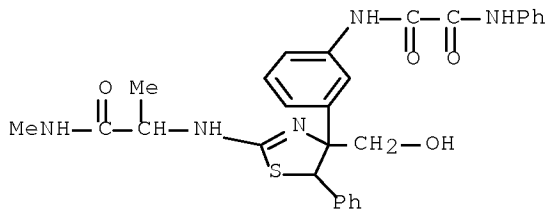
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CN Ethanediarnide, N1-[3-[4-ethyl-4,5-dihydro-2-[[1-methyl-2-(methylamino)-2-oxoethyl]amino]-5-phenyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



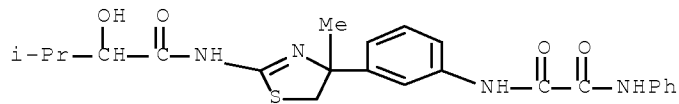
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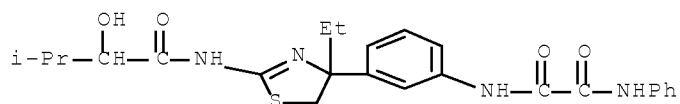
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CN    Ethanediarnide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4-methyl-4-thiazolyl]phenyl]-N2-phenyl-    (CA INDEX NAME)



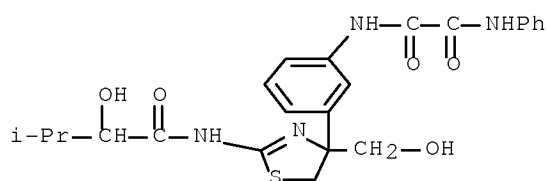
RN 1084655-36-6 CAPLUS

CN Ethanediameide, N1-[3-[4-ethyl-4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



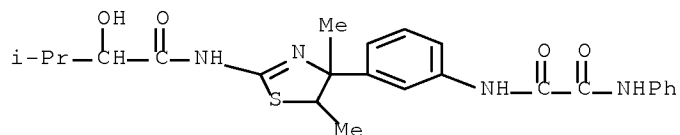
RN 1084655-48-0 CAPLUS

CN Ethanediameide, N1-[3-[4,5-dihydro-4-(hydroxymethyl)-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



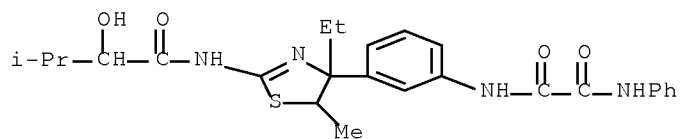
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CN Ethanediameide, N1-[3-[4,5-dihydro-2-[(2-hydroxy-3-methyl-1-oxobutyl)amino]-4,5-dimethyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

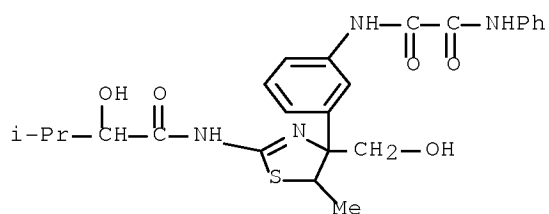


RN 1084656-16-5 CAPLUS

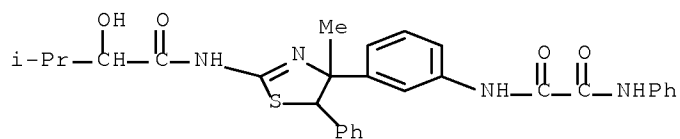
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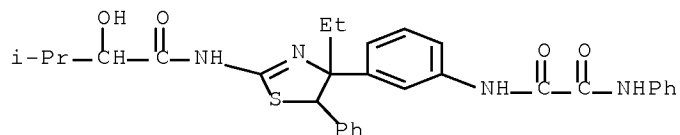
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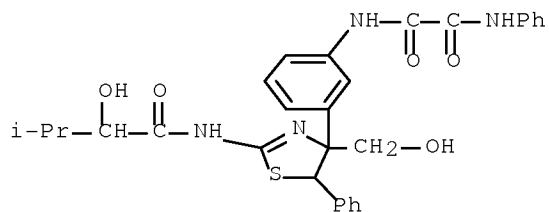
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RN 1084656-87-0 CAPLUS  
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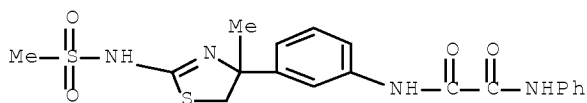


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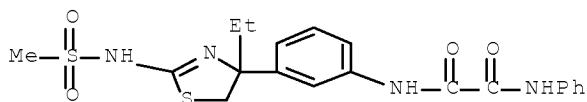




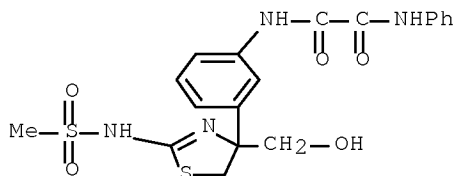
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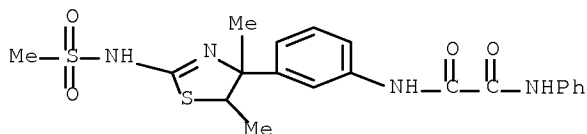
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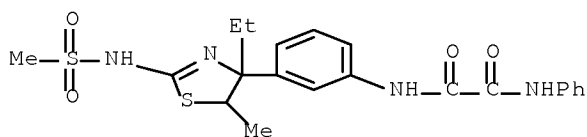
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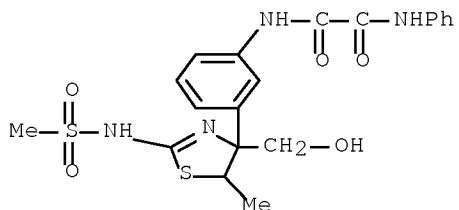
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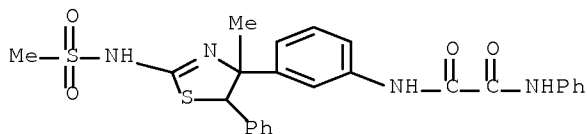
RN 1084658-20-7 CAPLUS  
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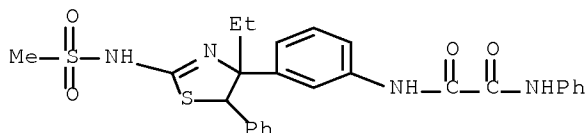
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RN 1084658-91-2 CAPLUS  
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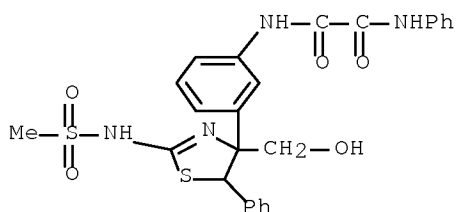


RN 1084659-00-6 CAPLUS  
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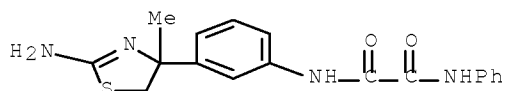
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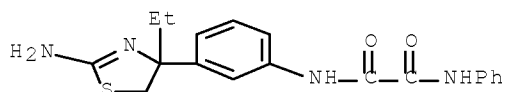
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CN Ethanediameide, N1-[3-(2-amino-4,5-dihydro-4-methyl-4-thiazolyl)phenyl]-N2-phenyl- (CA INDEX NAME)



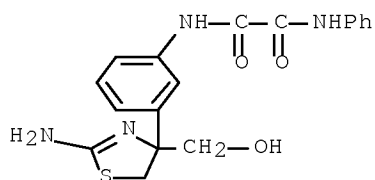
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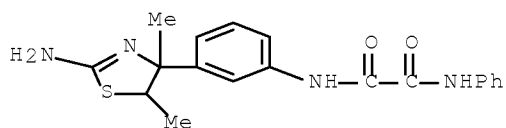
RN 1084659-82-4 CAPLUS

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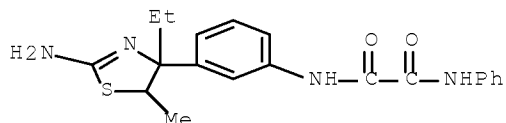
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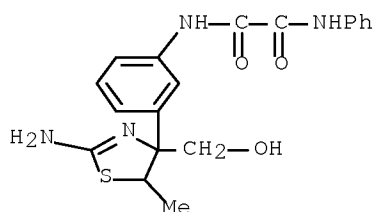
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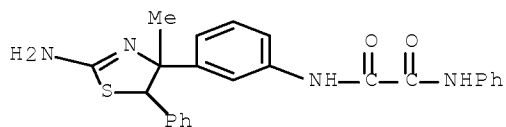
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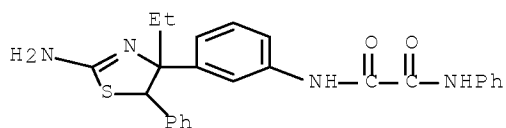
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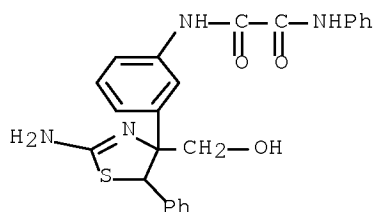
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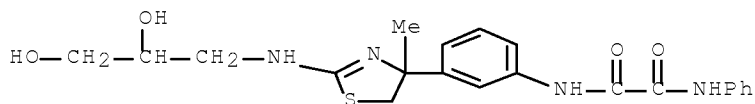
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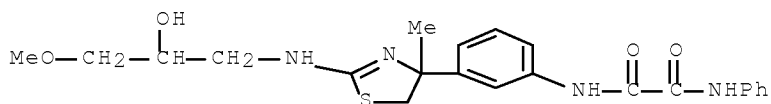
RN 1084661-55-1 CAPLUS

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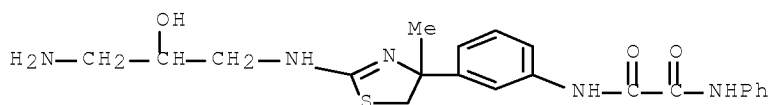
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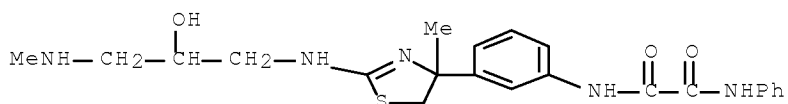
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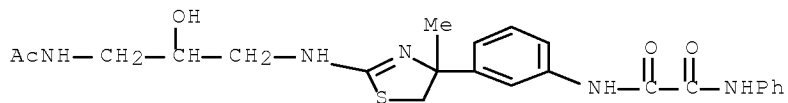
RN 1084661-90-4 CAPLUS

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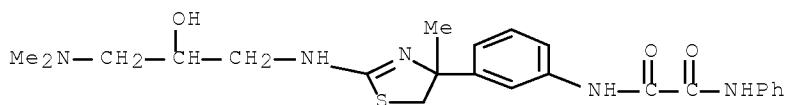
RN 1084662-04-3 CAPLUS

CN Ethanediarnide, N1-[3-[2-[[3-(acetylamino)-2-hydroxypropyl]amino]-4,5-dihydro-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)



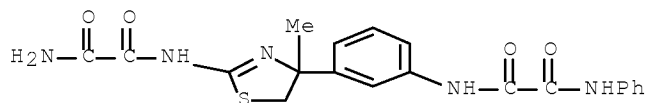
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CN Ethanediarnide, N1-[3-[2-[[3-(dimethylamino)-2-hydroxypropyl]amino]-4,5-dihydro-4-methyl-4-thiazolyl]phenyl]-N2-phenyl- (CA INDEX NAME)

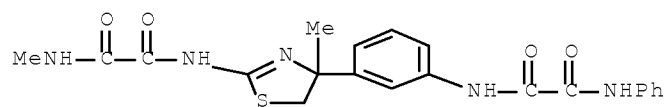


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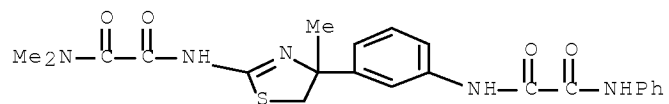
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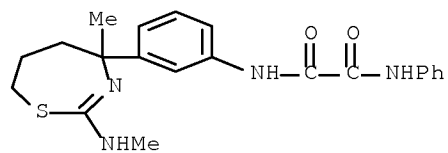
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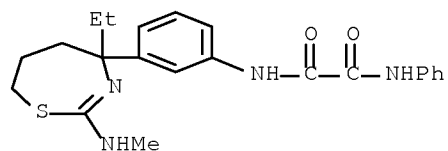
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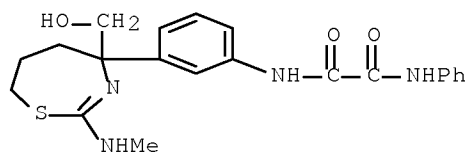
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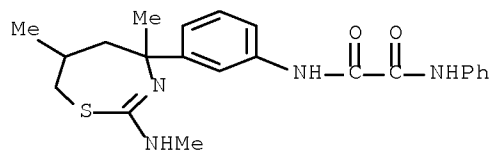
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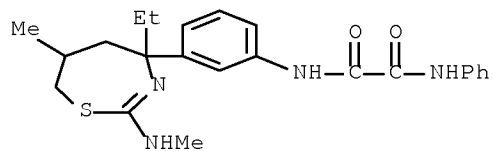
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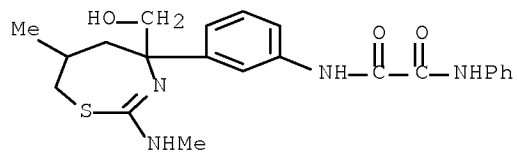
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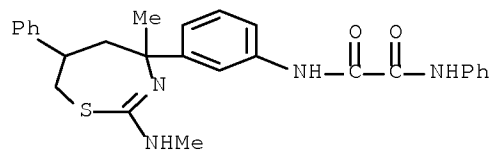
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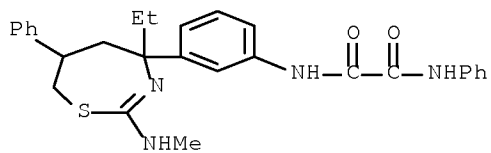


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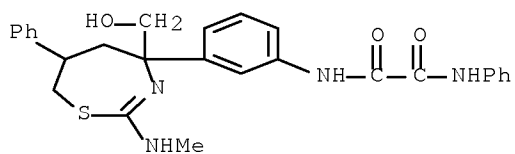




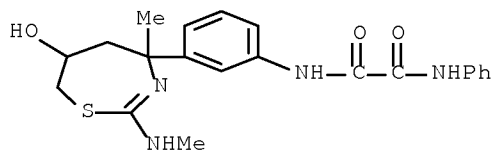
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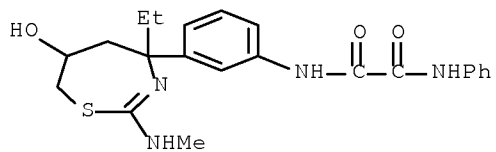
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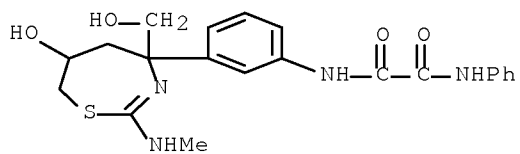
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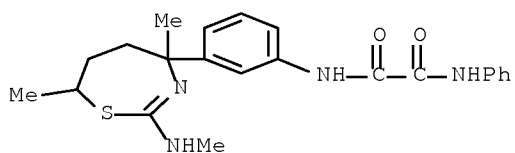
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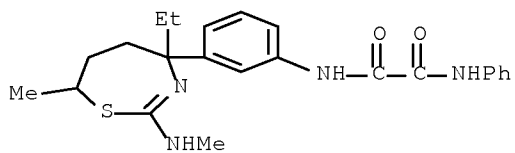
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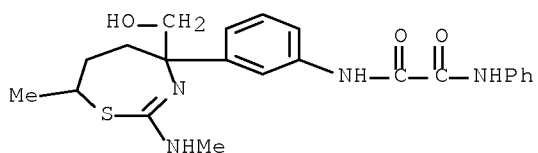
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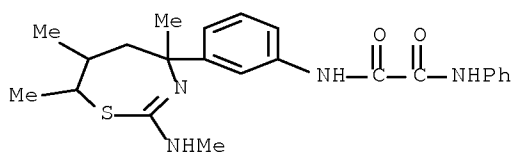
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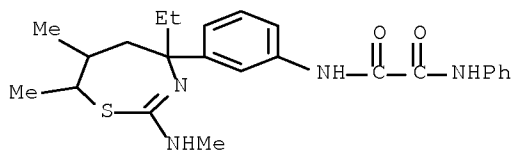
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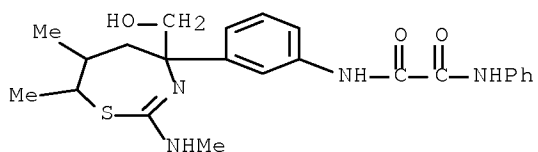
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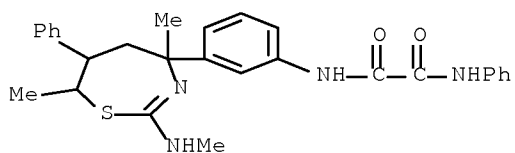
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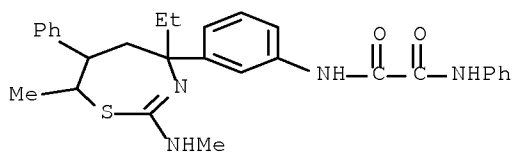
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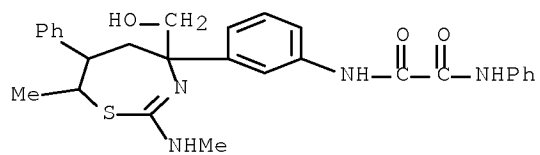
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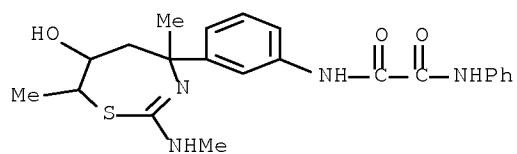
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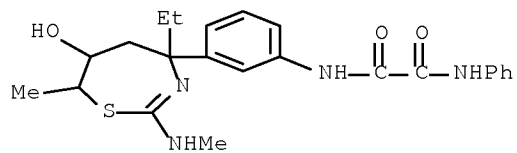
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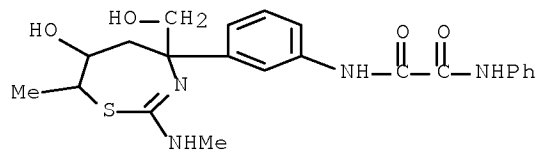
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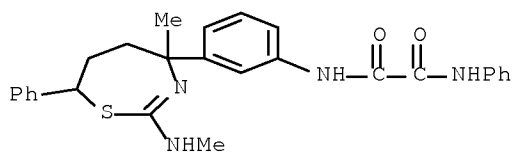
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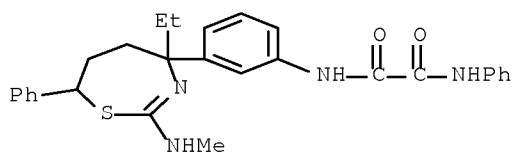
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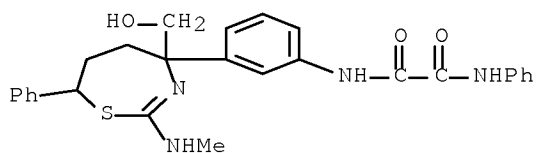
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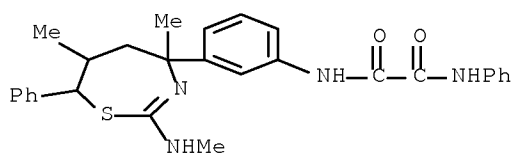
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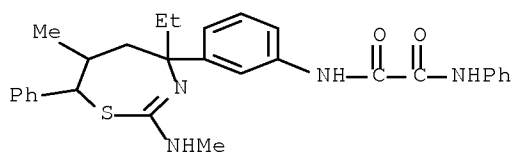
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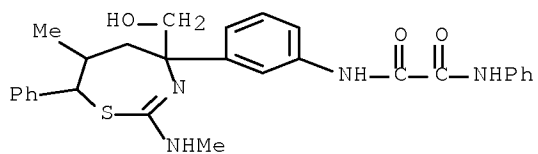
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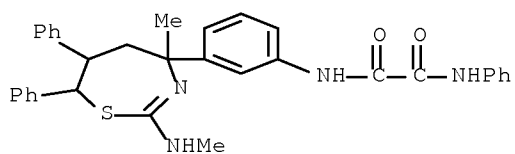
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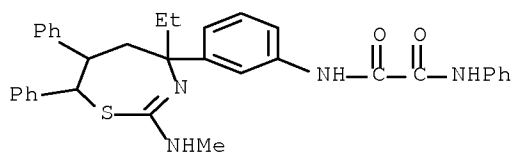
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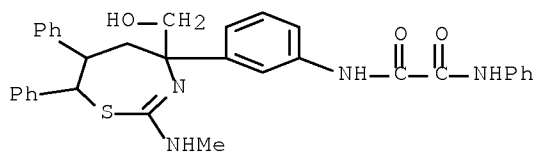
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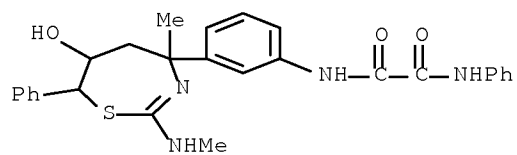
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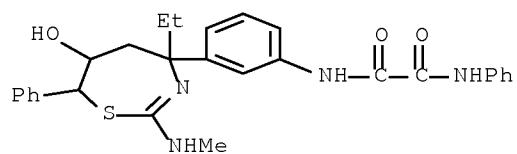
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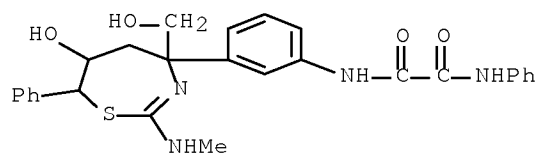
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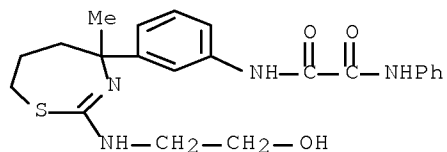
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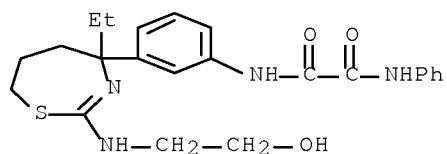
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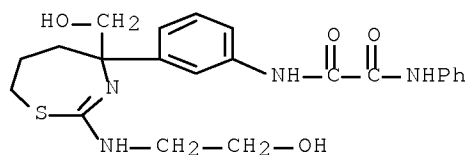
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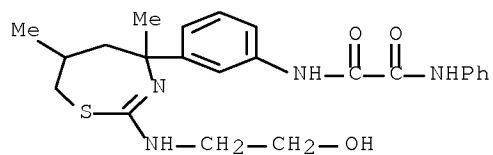
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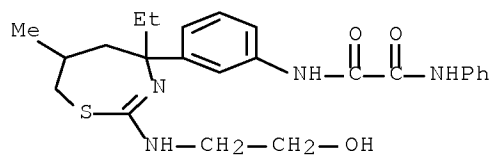
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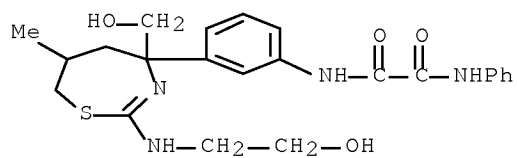


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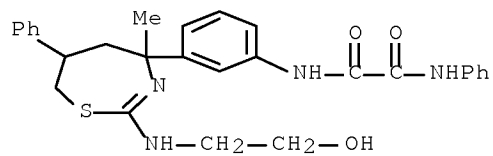


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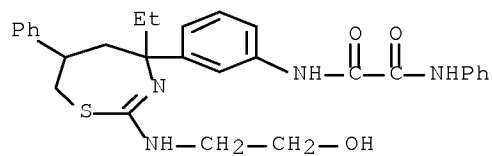




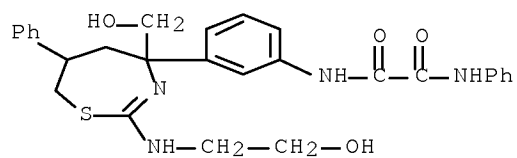
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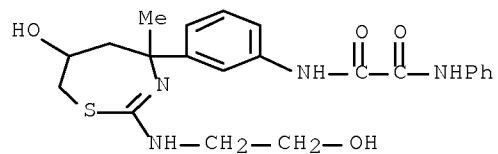
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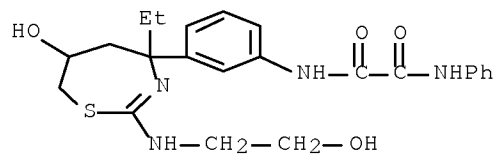
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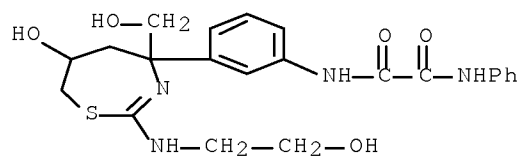
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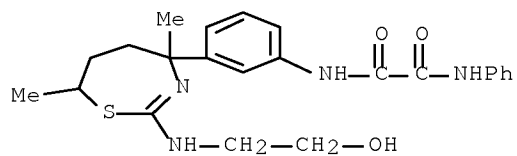
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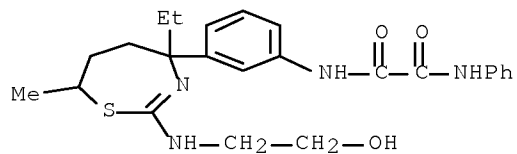
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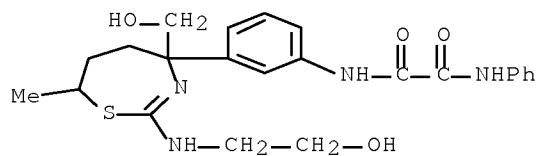
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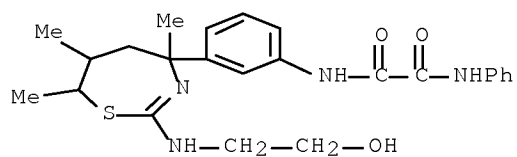
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CN INDEX NAME NOT YET ASSIGNED



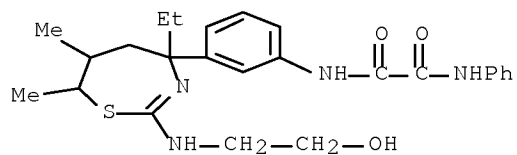
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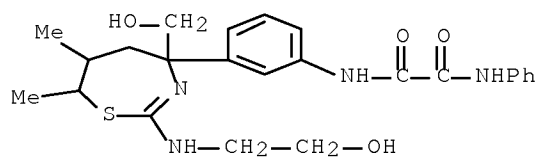
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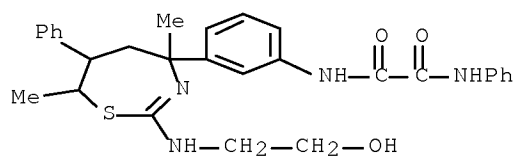
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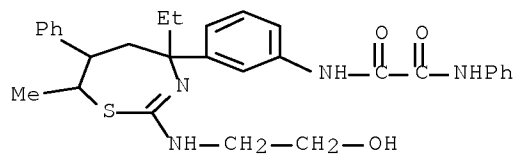
RN 1084669-15-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



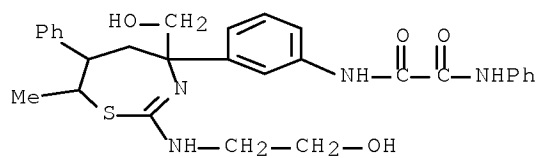
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CN INDEX NAME NOT YET ASSIGNED



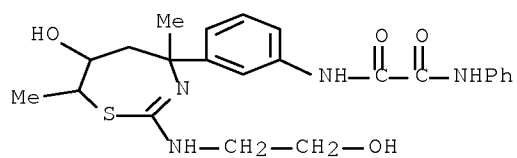
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CN INDEX NAME NOT YET ASSIGNED



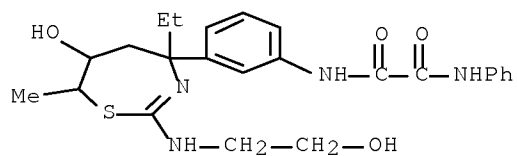
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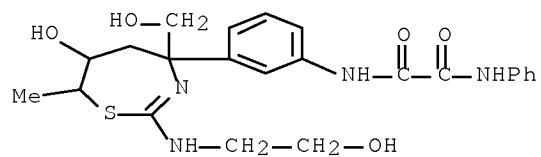
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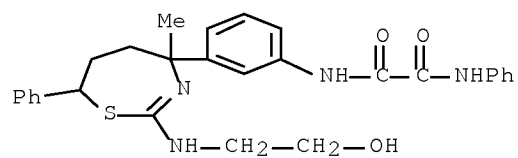
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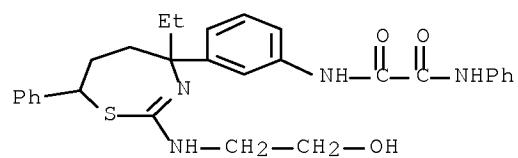
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CN INDEX NAME NOT YET ASSIGNED



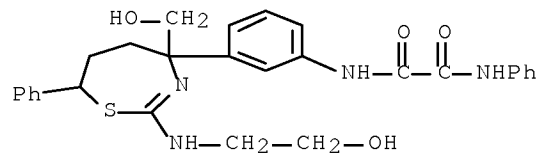
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CN INDEX NAME NOT YET ASSIGNED



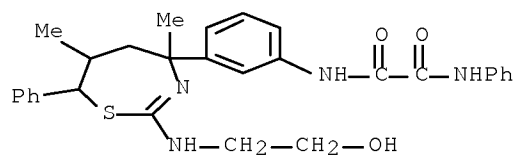
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CN INDEX NAME NOT YET ASSIGNED



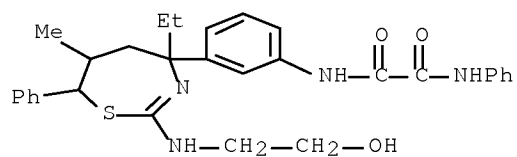
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CN INDEX NAME NOT YET ASSIGNED



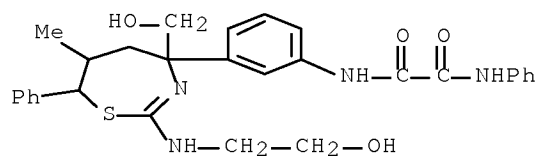
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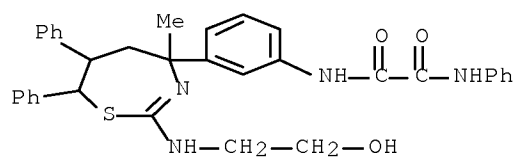
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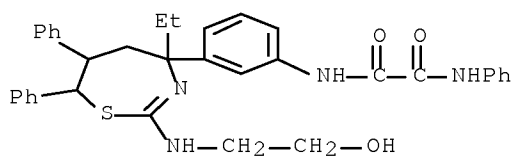
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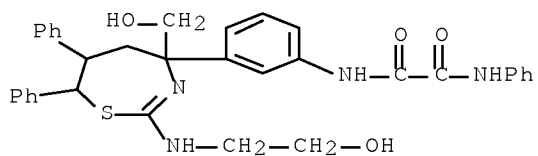
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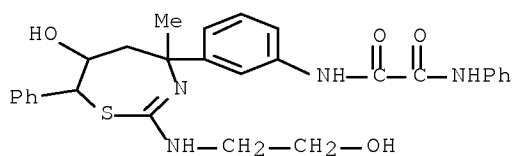
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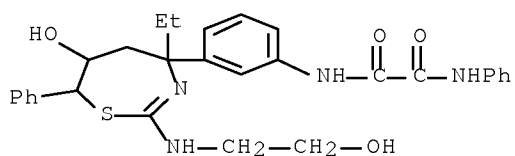
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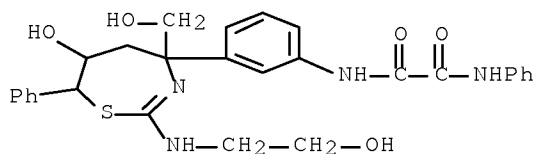
RN 1084671-02-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



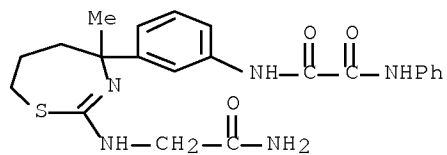
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CN INDEX NAME NOT YET ASSIGNED



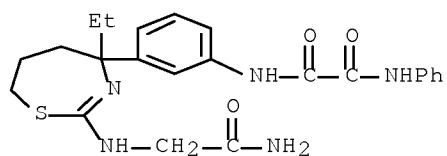
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CN INDEX NAME NOT YET ASSIGNED



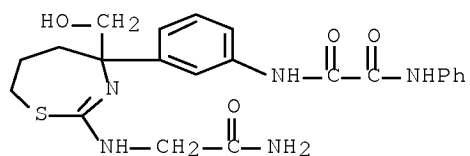
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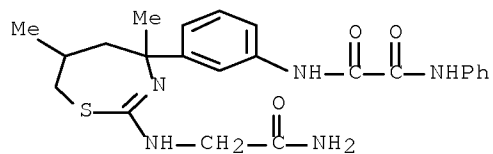
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CN INDEX NAME NOT YET ASSIGNED



RN 1084671-55-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

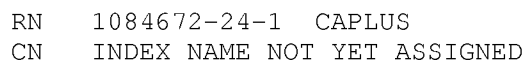
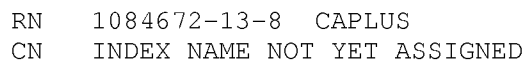
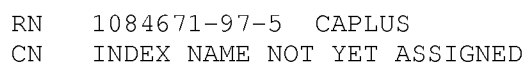
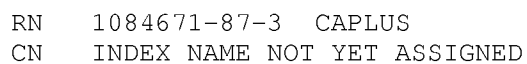


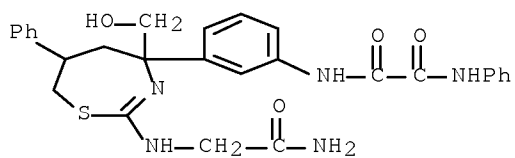
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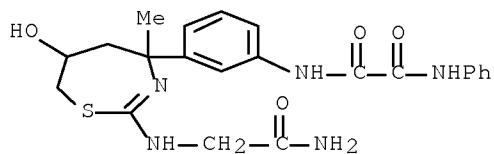
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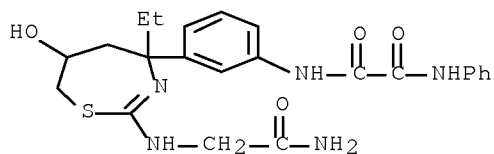




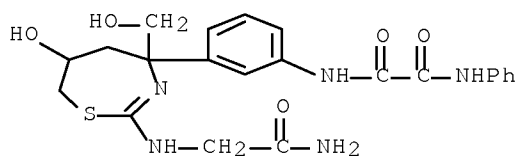
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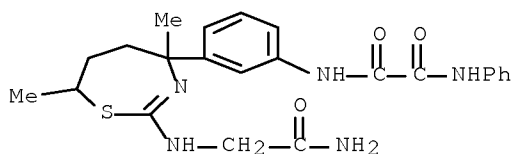
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CN INDEX NAME NOT YET ASSIGNED



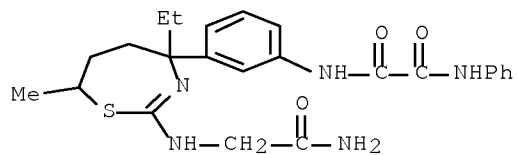
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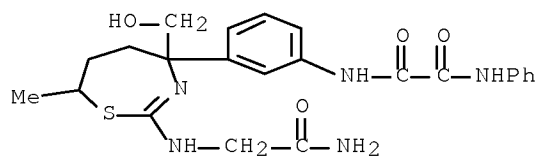
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CN INDEX NAME NOT YET ASSIGNED



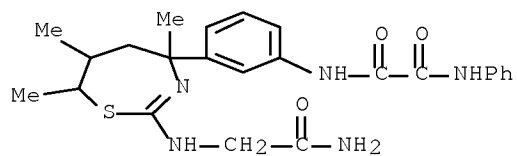
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CN INDEX NAME NOT YET ASSIGNED



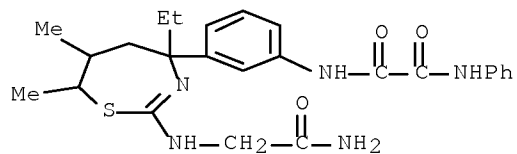
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CN INDEX NAME NOT YET ASSIGNED



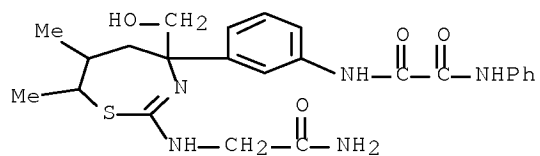
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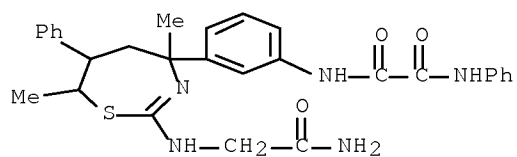
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CN INDEX NAME NOT YET ASSIGNED



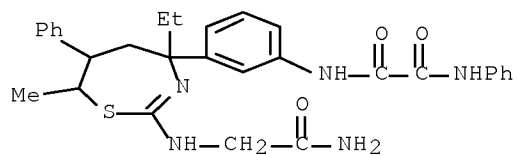
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CN INDEX NAME NOT YET ASSIGNED



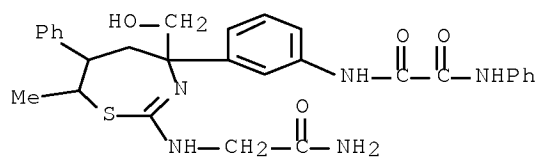
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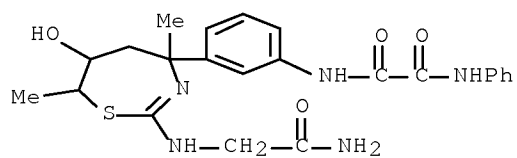
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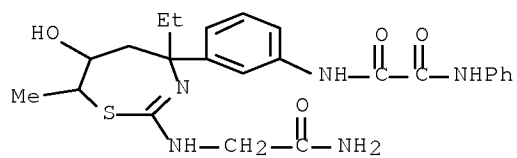
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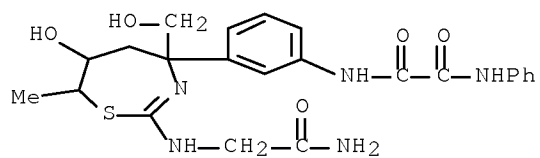
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CN INDEX NAME NOT YET ASSIGNED



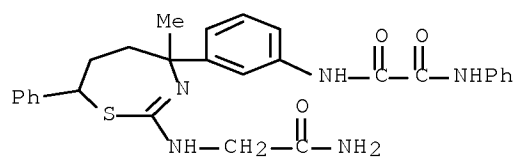
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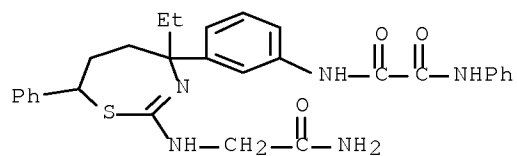
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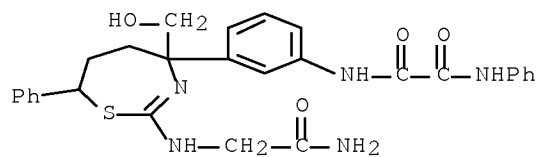
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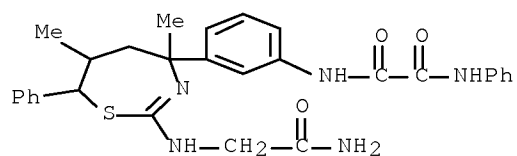
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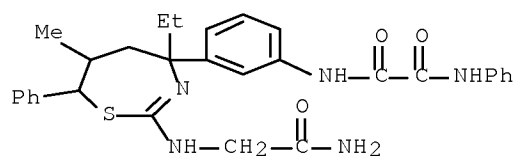
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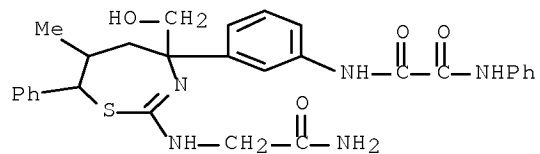
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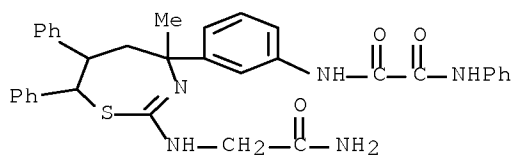
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CN INDEX NAME NOT YET ASSIGNED



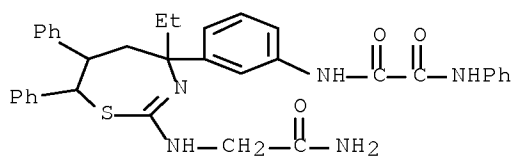
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CN INDEX NAME NOT YET ASSIGNED



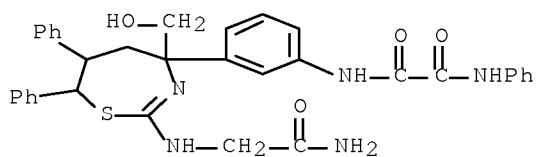
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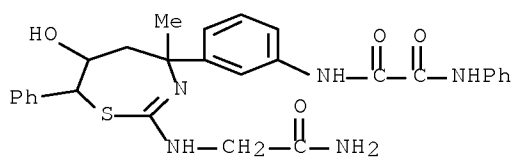
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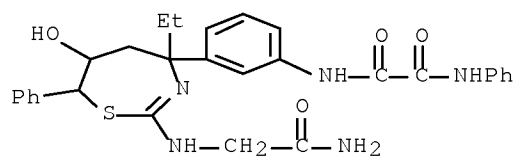
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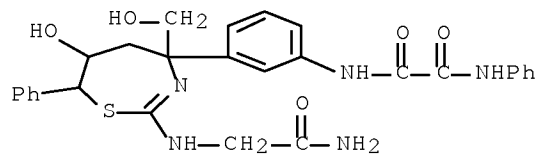
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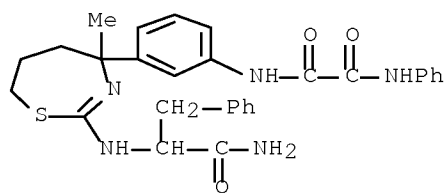
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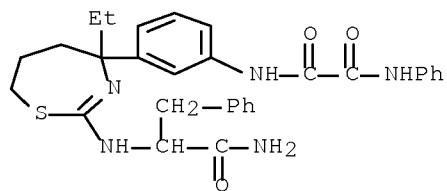
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RN 1084681-52-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

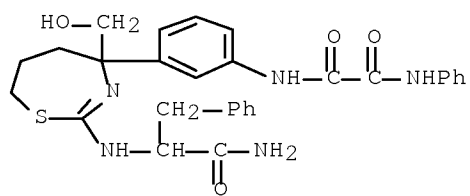


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CN INDEX NAME NOT YET ASSIGNED

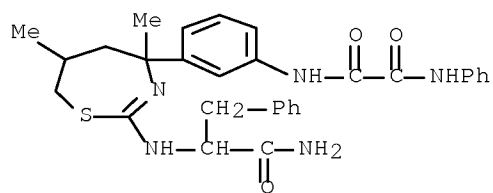


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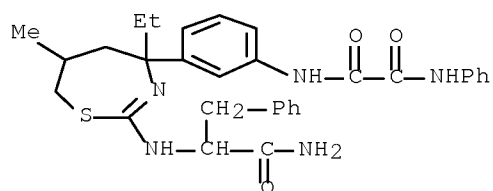




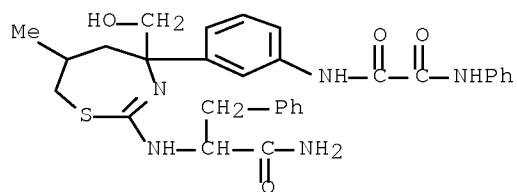
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CN INDEX NAME NOT YET ASSIGNED



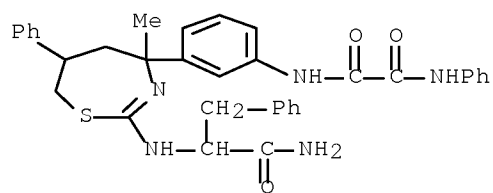
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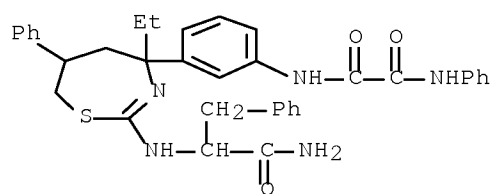
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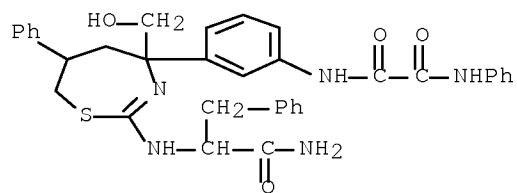
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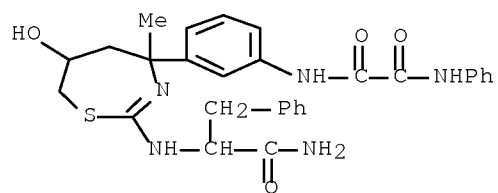
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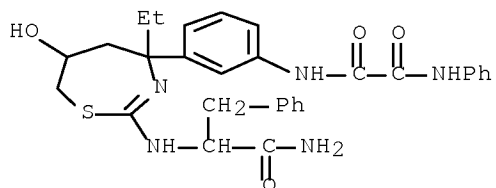
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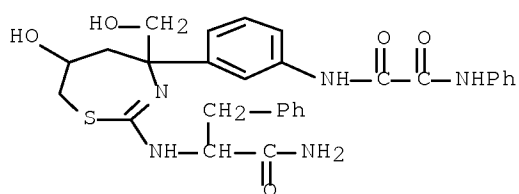
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CN INDEX NAME NOT YET ASSIGNED



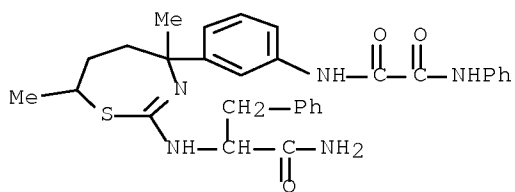
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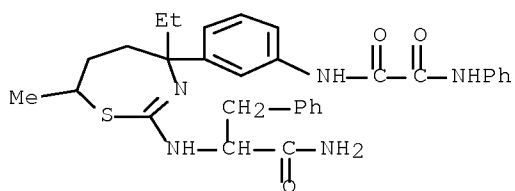
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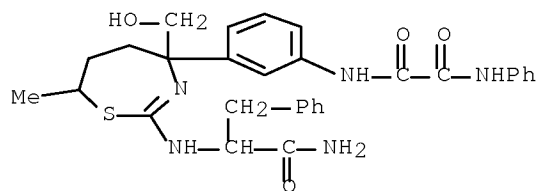
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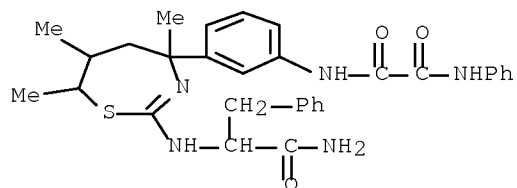
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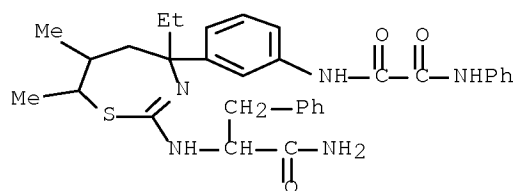
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CN INDEX NAME NOT YET ASSIGNED



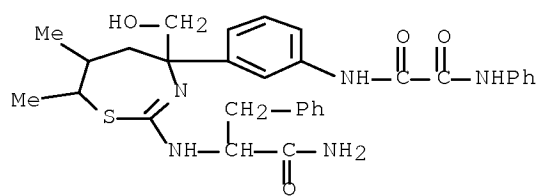
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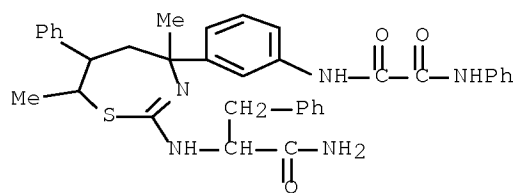
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CN INDEX NAME NOT YET ASSIGNED



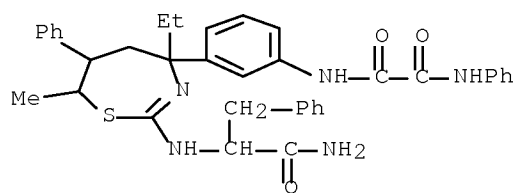
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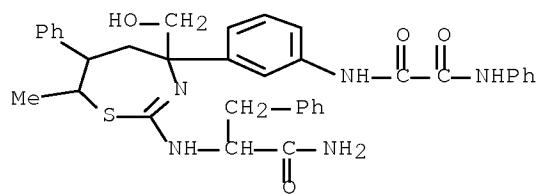
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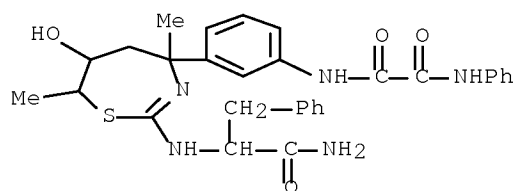
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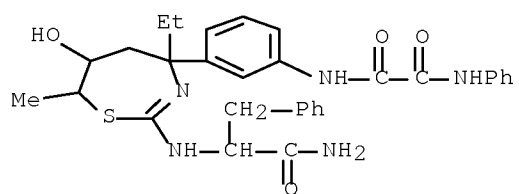
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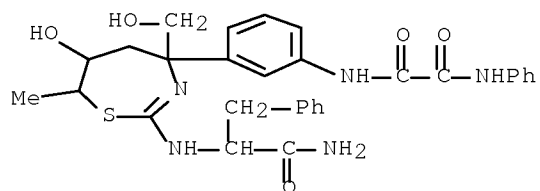
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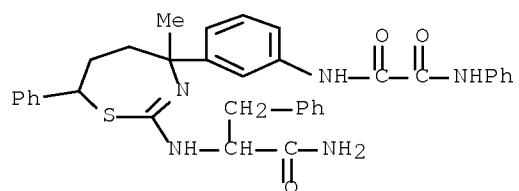
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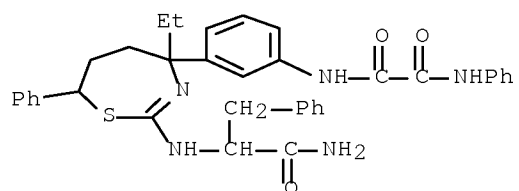
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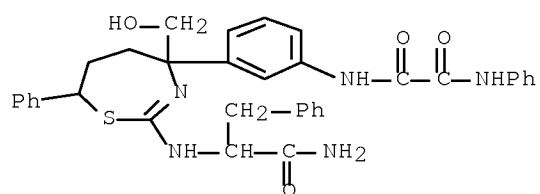
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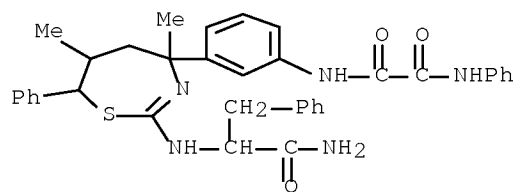
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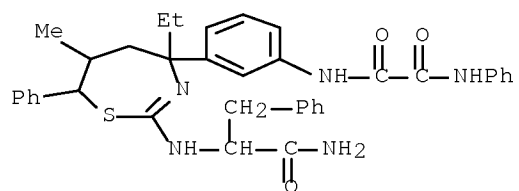
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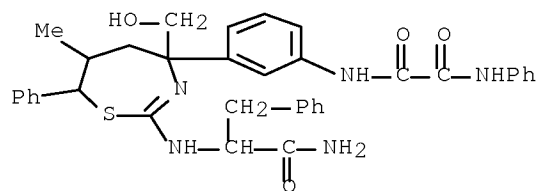
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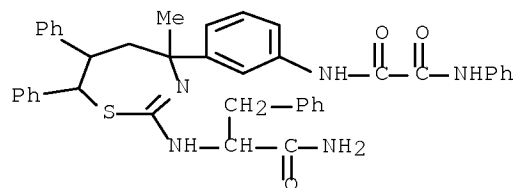
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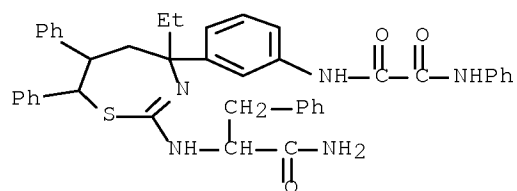
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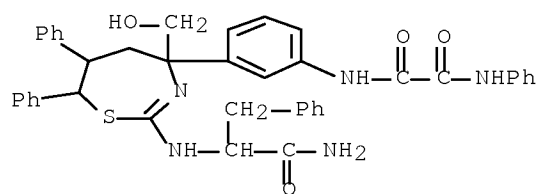


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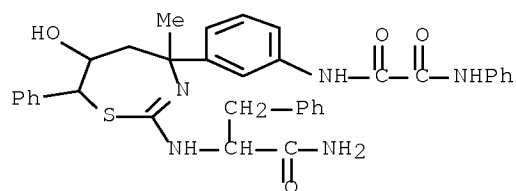


RN 1084685-09-5 CAPLUS  
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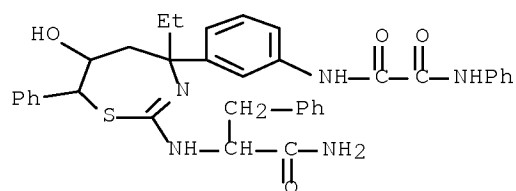




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CN INDEX NAME NOT YET ASSIGNED



RN 1084685-32-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



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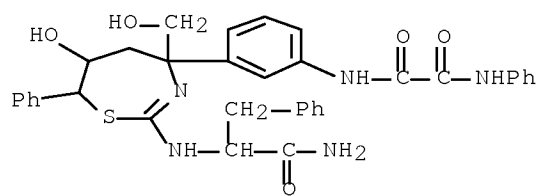
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RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

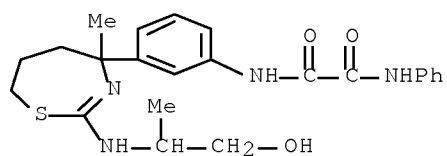
(preparation of 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine derivs. and related compds. as inhibitors of proteinase BACE-1 and production of amyloid  $\beta$  protein for treatment of Alzheimer's disease)

RN 1084685-38-0 CAPLUS

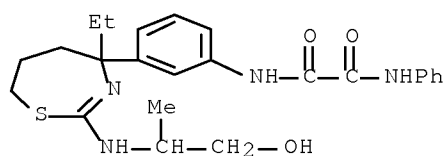
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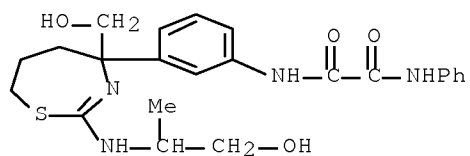
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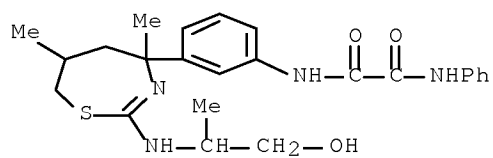
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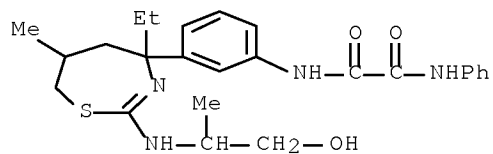
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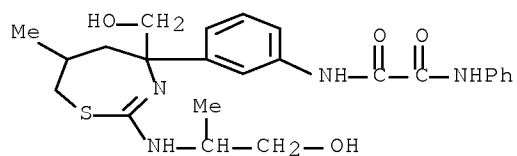
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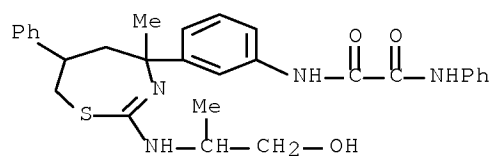
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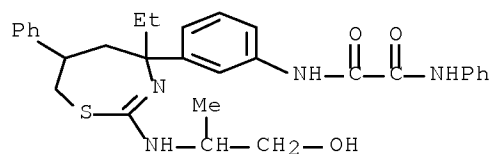
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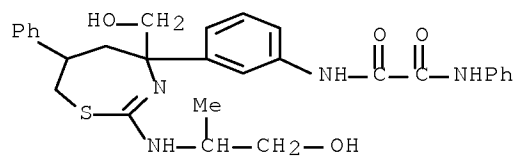
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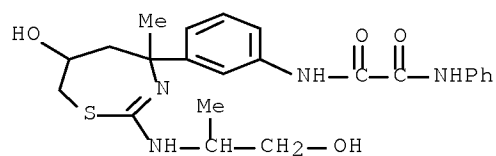
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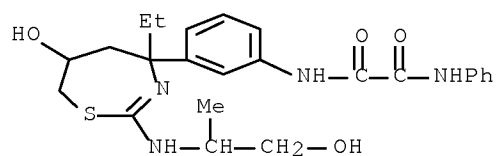
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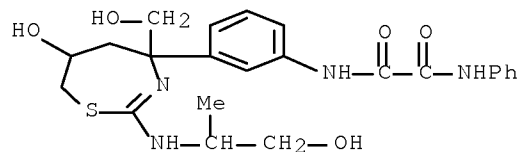
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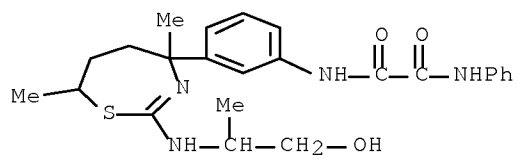
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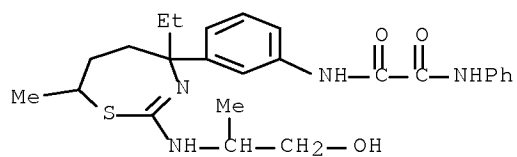
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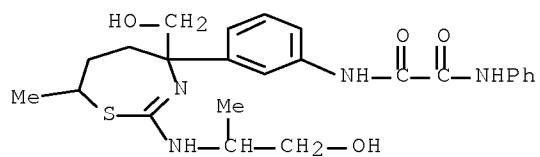
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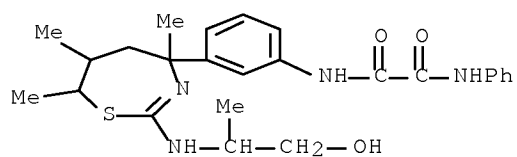
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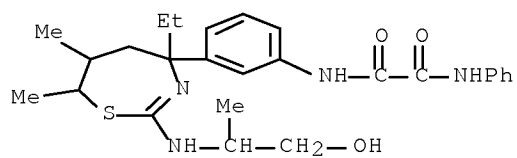
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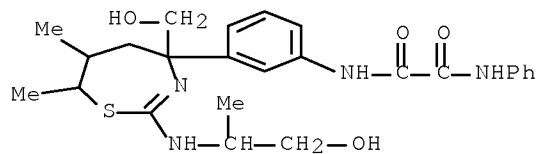
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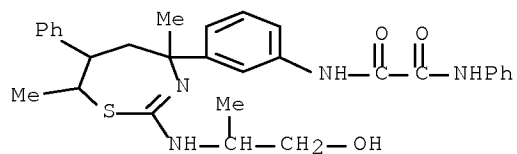
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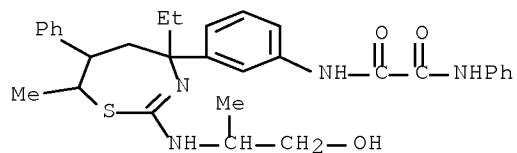
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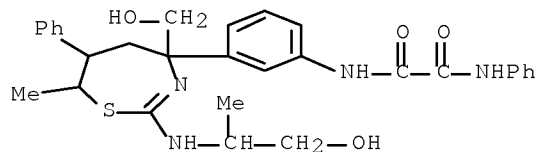
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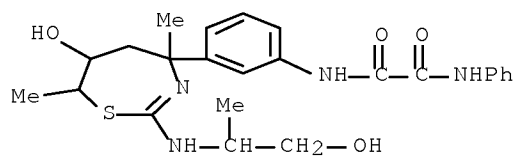
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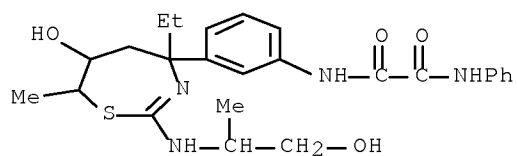
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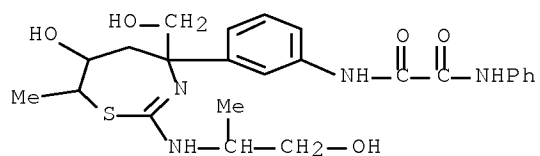
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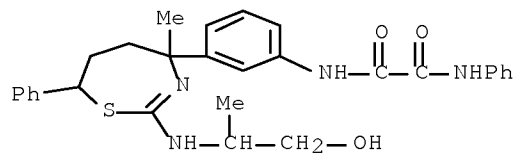
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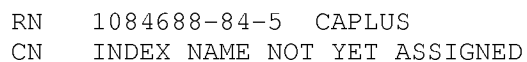
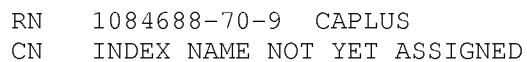
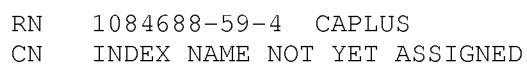
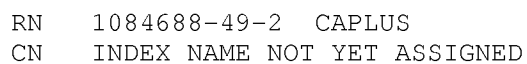


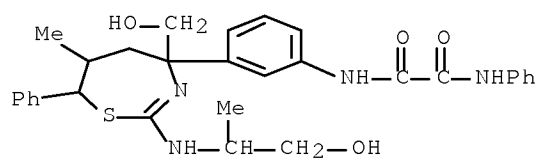
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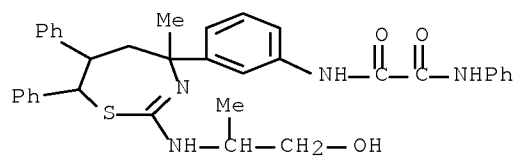
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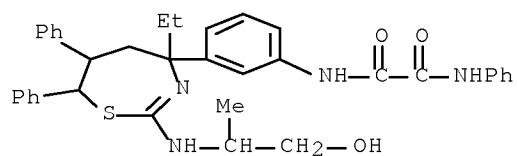




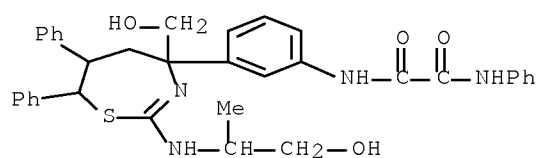
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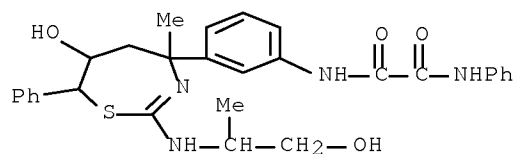
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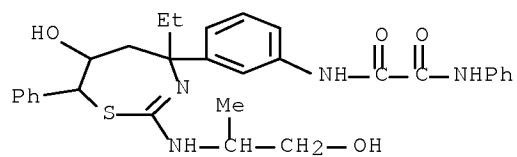
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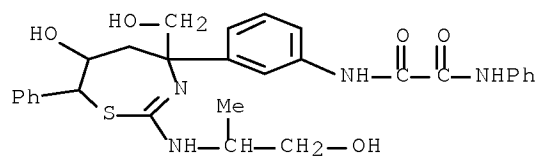
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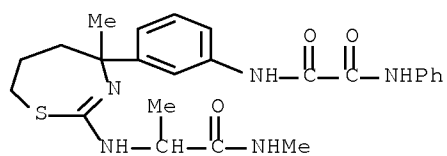
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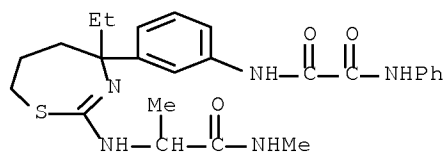
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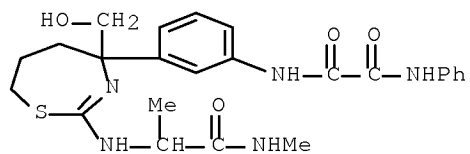
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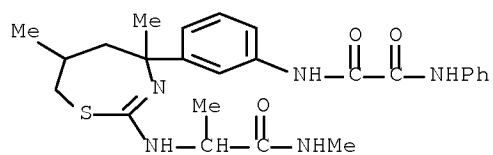
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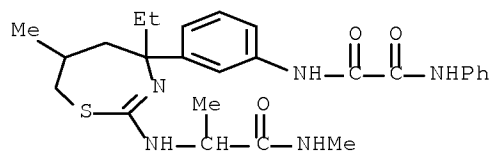
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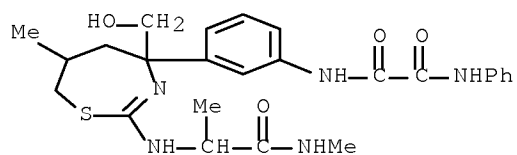
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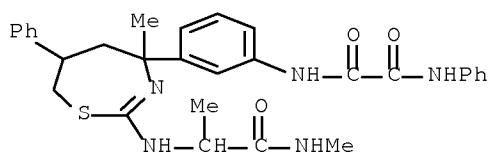
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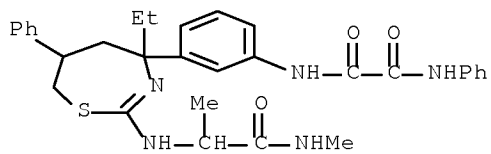
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CN INDEX NAME NOT YET ASSIGNED



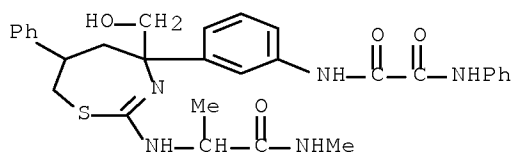
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CN INDEX NAME NOT YET ASSIGNED



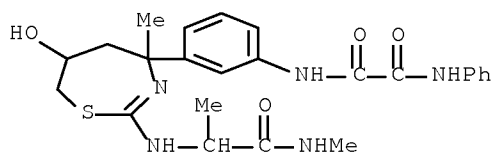
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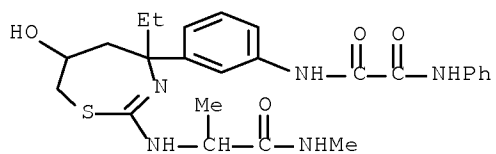
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CN INDEX NAME NOT YET ASSIGNED



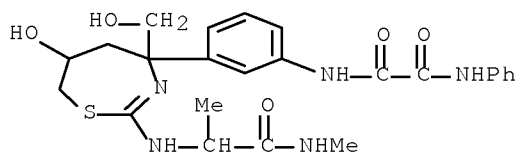
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CN INDEX NAME NOT YET ASSIGNED



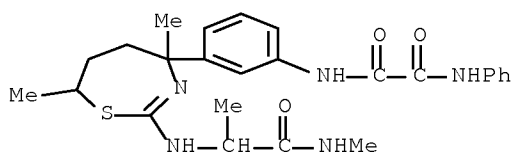
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CN INDEX NAME NOT YET ASSIGNED



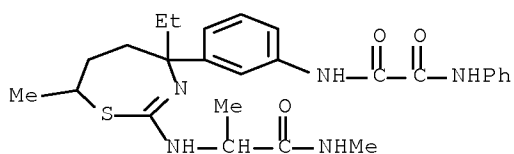
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CN INDEX NAME NOT YET ASSIGNED



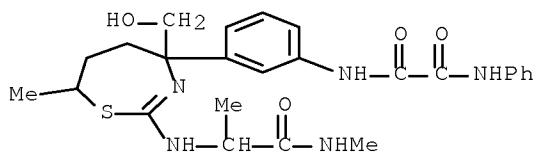
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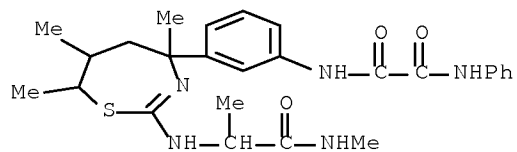
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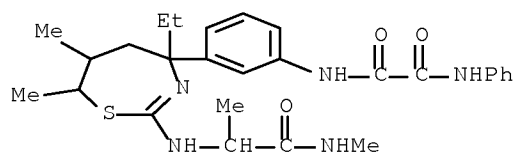
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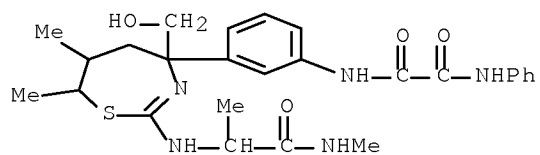
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CN INDEX NAME NOT YET ASSIGNED



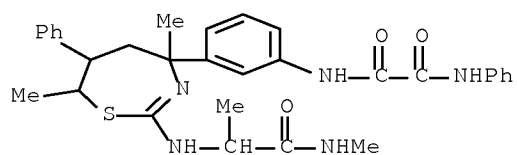
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CN INDEX NAME NOT YET ASSIGNED



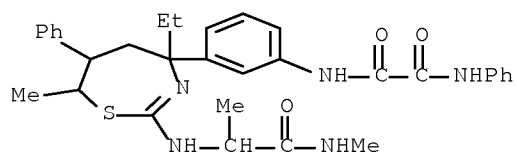
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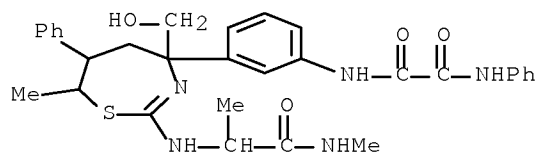
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CN INDEX NAME NOT YET ASSIGNED



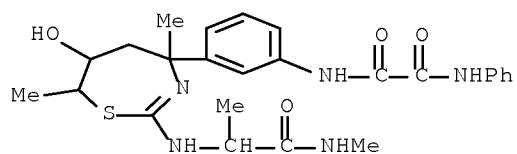
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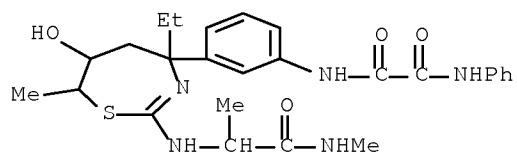
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CN INDEX NAME NOT YET ASSIGNED



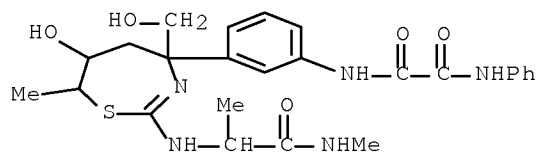
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RN 1084692-02-3 CAPLUS  
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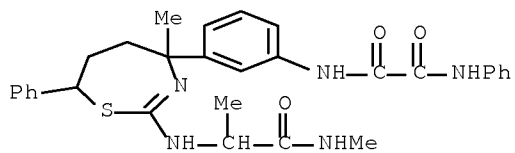


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CN INDEX NAME NOT YET ASSIGNED

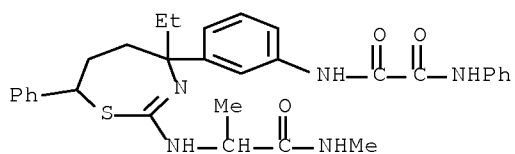




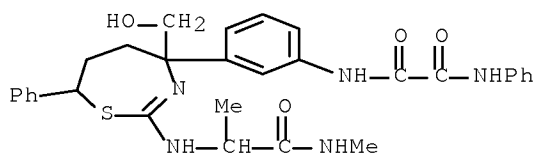
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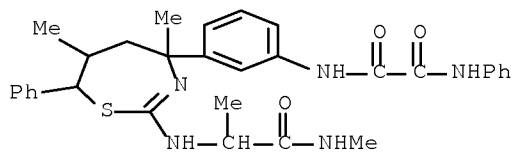
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CN INDEX NAME NOT YET ASSIGNED



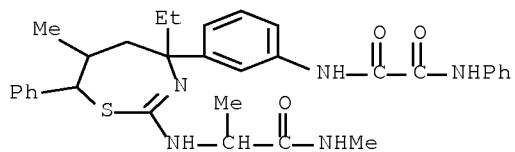
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CN INDEX NAME NOT YET ASSIGNED



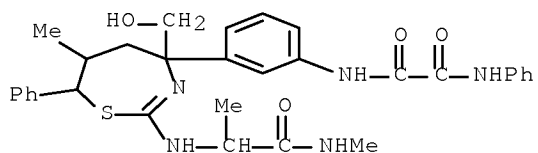
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CN INDEX NAME NOT YET ASSIGNED



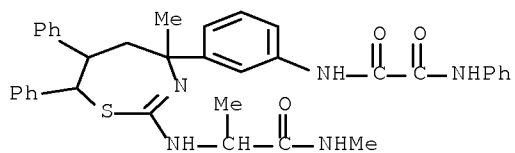
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CN INDEX NAME NOT YET ASSIGNED



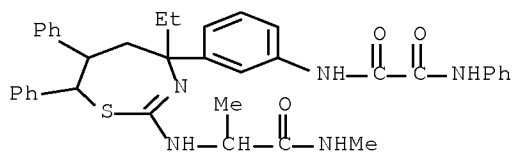
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CN INDEX NAME NOT YET ASSIGNED



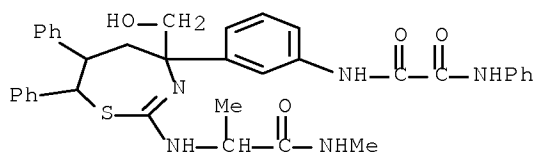
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CN INDEX NAME NOT YET ASSIGNED



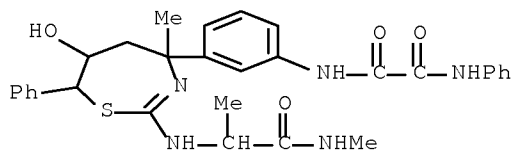
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CN INDEX NAME NOT YET ASSIGNED



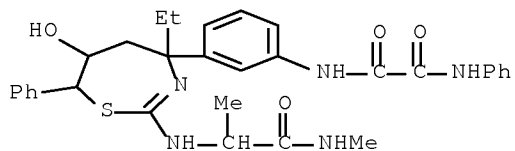
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CN INDEX NAME NOT YET ASSIGNED



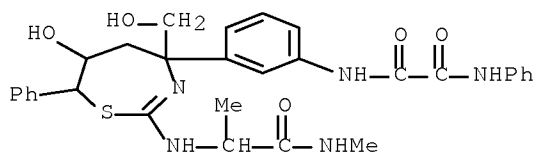
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CN INDEX NAME NOT YET ASSIGNED



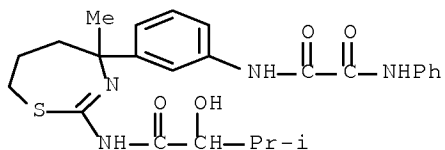
RN 1084693-42-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



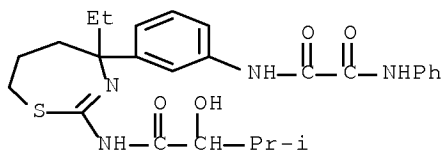
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CN INDEX NAME NOT YET ASSIGNED



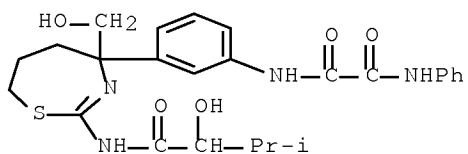
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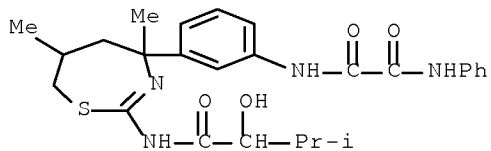
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CN INDEX NAME NOT YET ASSIGNED



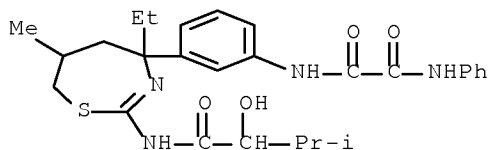
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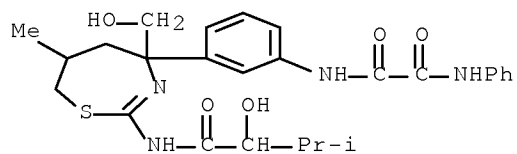
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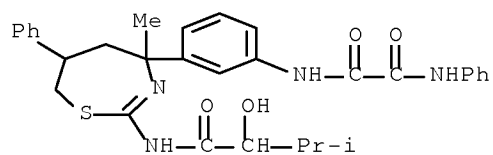
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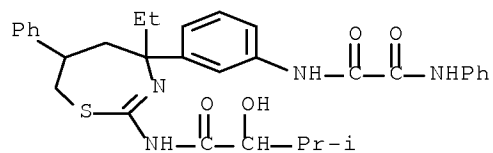
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CN INDEX NAME NOT YET ASSIGNED



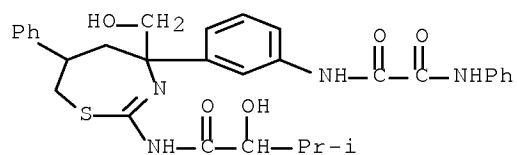
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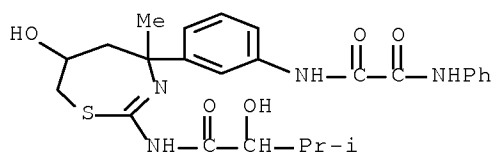
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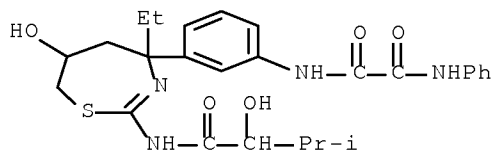
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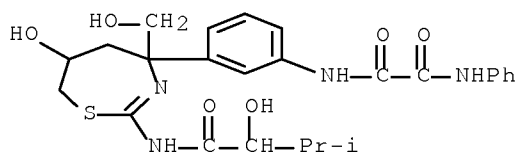
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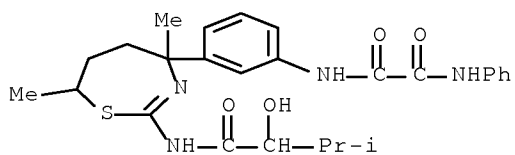
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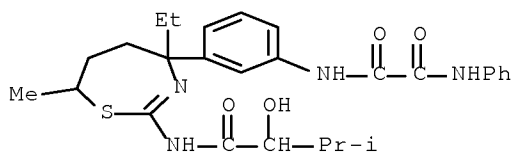
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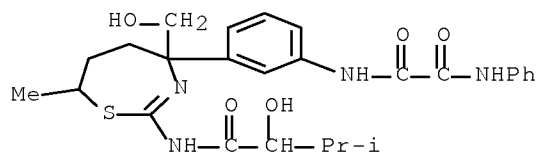
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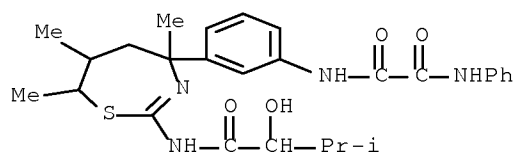
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CN INDEX NAME NOT YET ASSIGNED



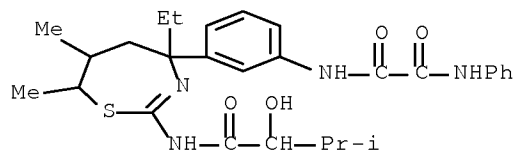
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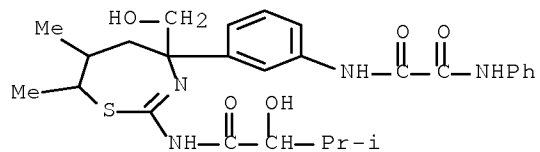
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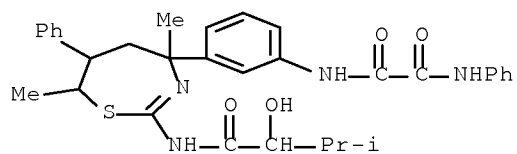
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CN INDEX NAME NOT YET ASSIGNED



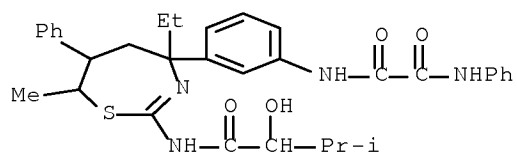
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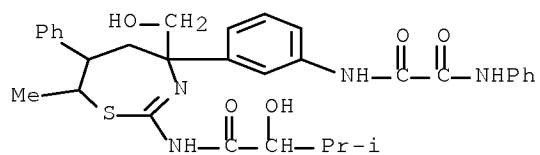
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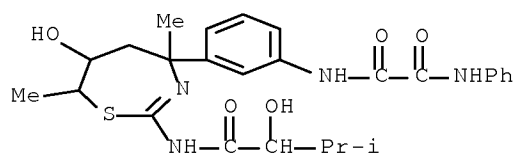
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RN 1084696-76-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

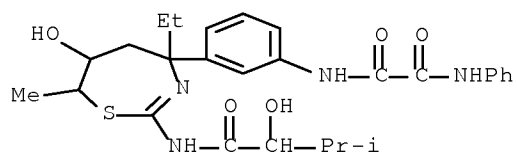


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CN INDEX NAME NOT YET ASSIGNED

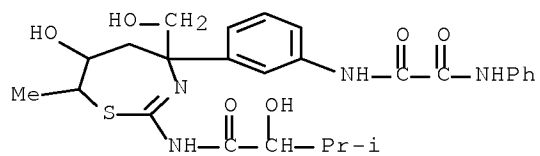


RN 1084696-96-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

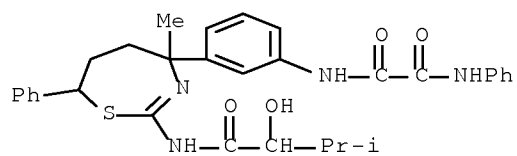




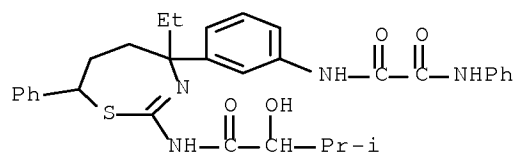
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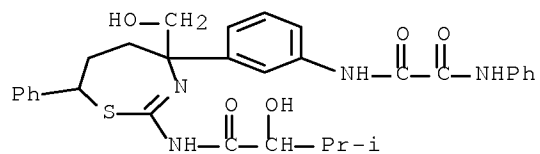
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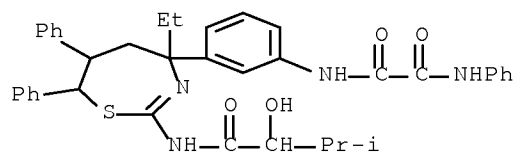
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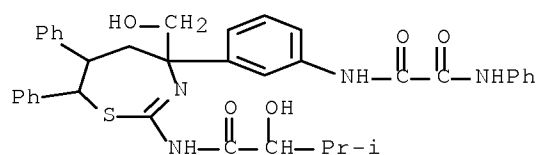
RN 1084697-42-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



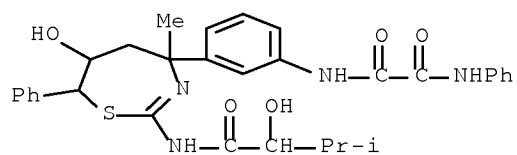
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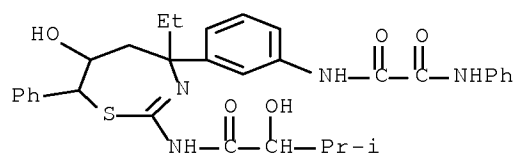
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CN INDEX NAME NOT YET ASSIGNED



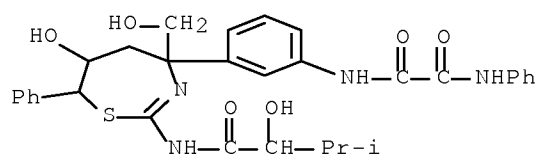
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CN INDEX NAME NOT YET ASSIGNED



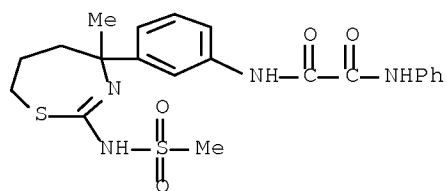
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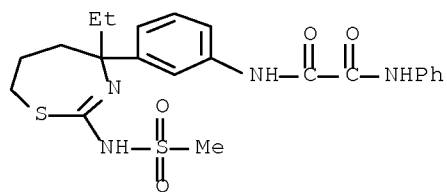
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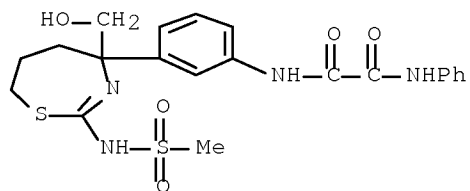
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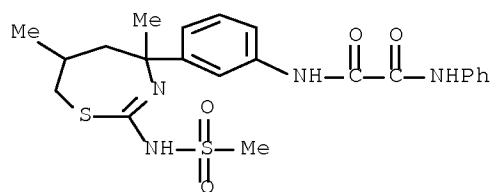
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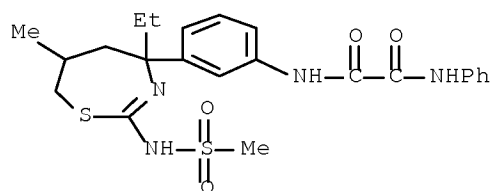
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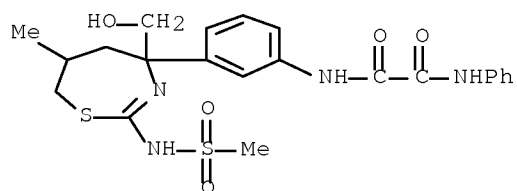
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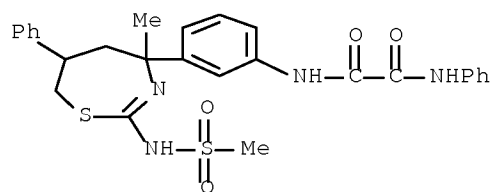
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CN INDEX NAME NOT YET ASSIGNED



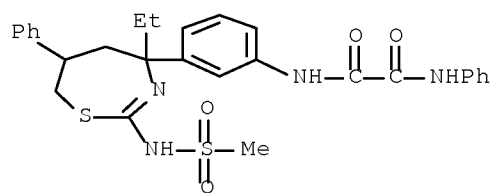
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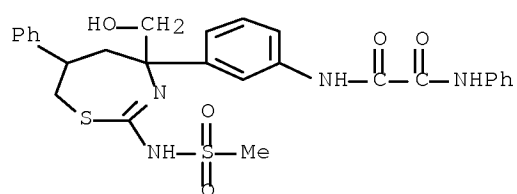
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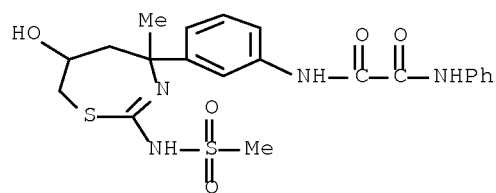
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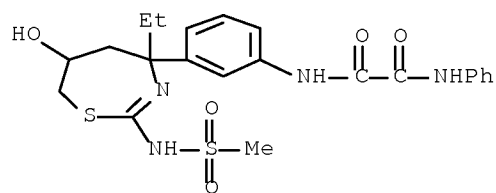
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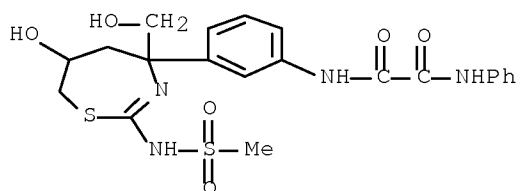
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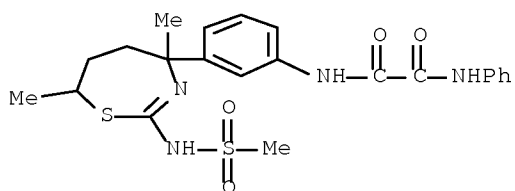
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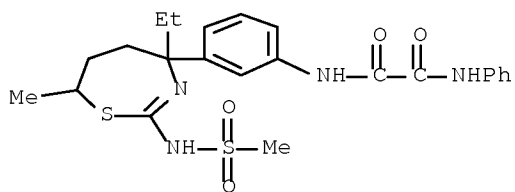
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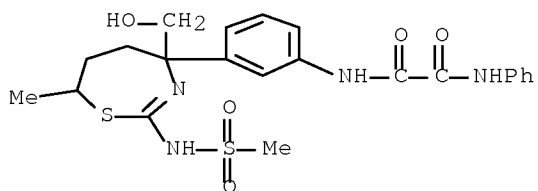
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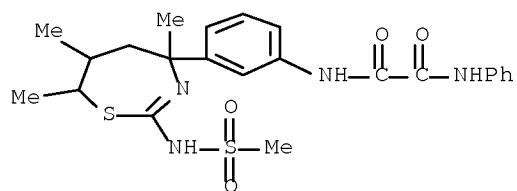
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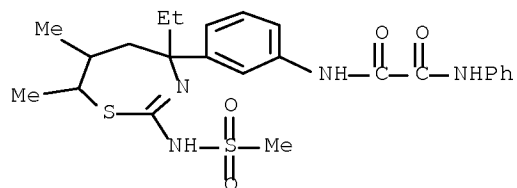
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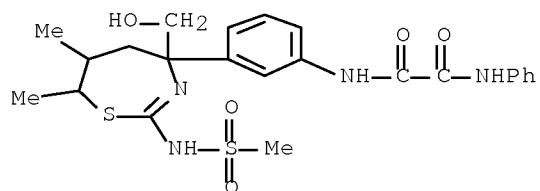
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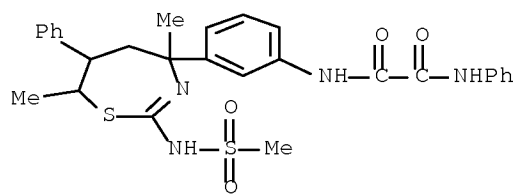


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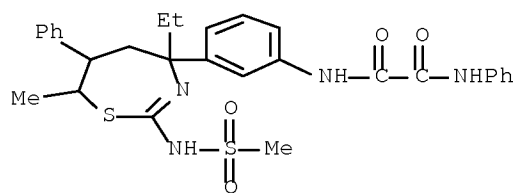


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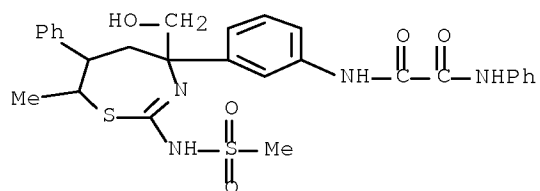




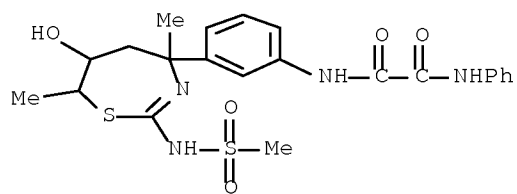
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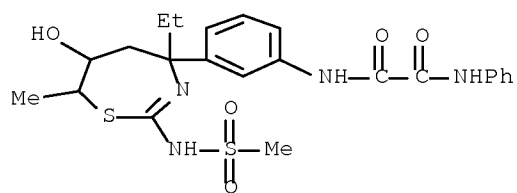
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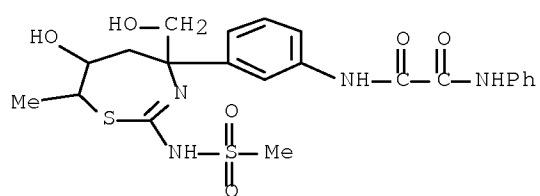
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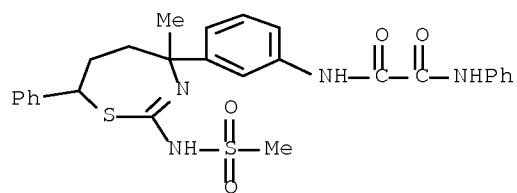
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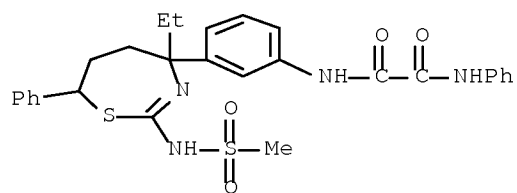
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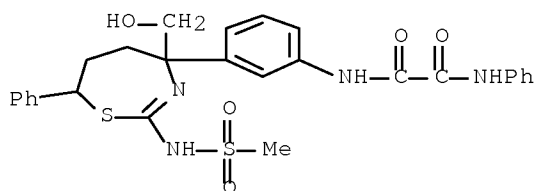
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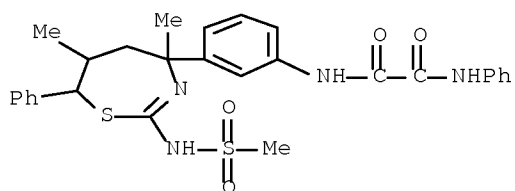
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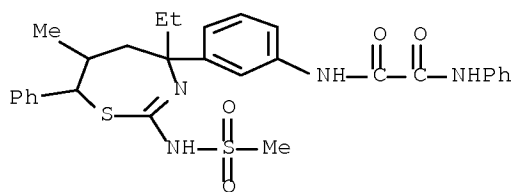
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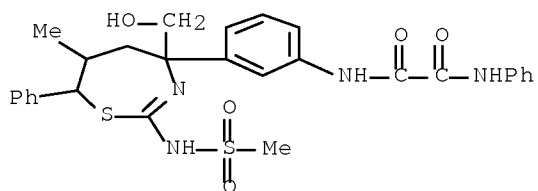
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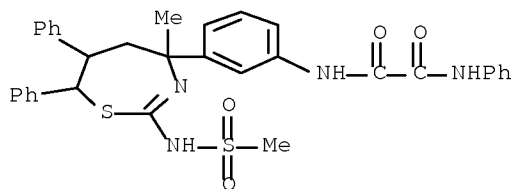
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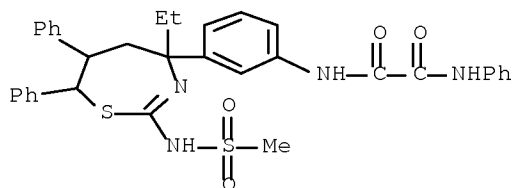
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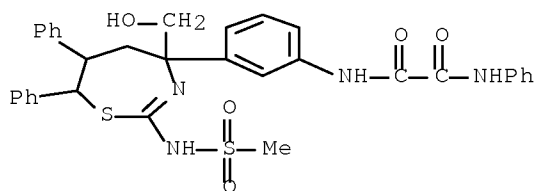
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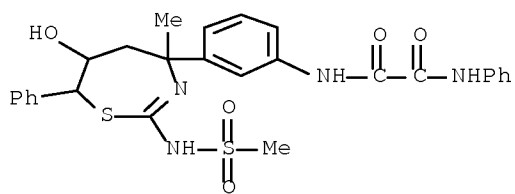
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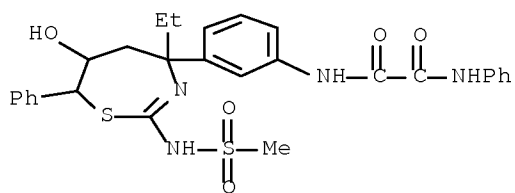
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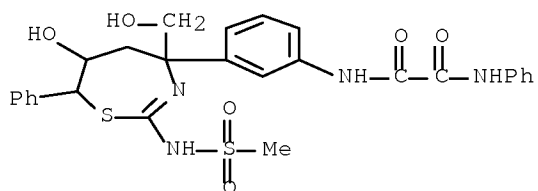
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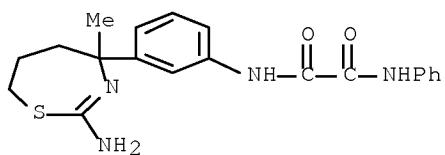
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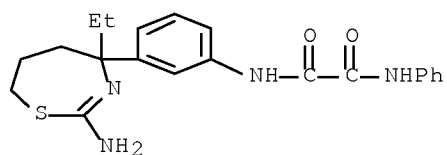
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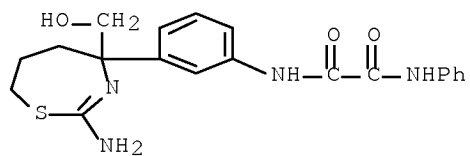
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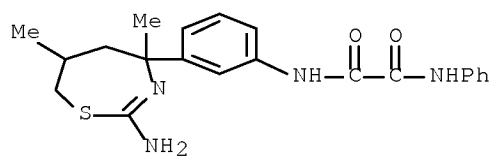
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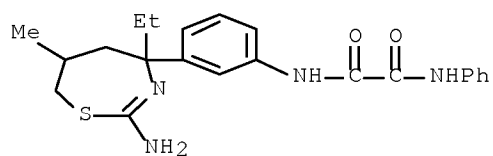
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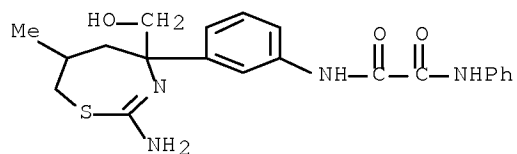
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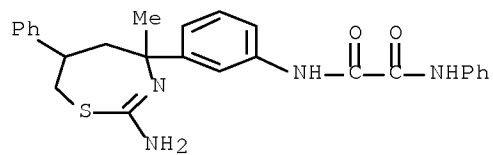
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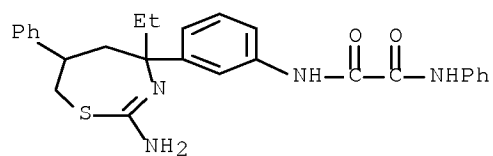
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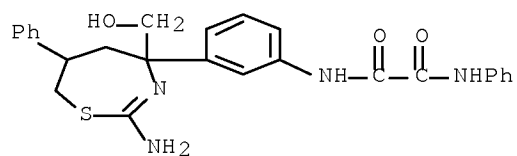
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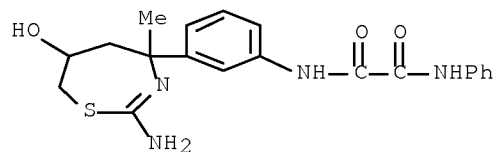
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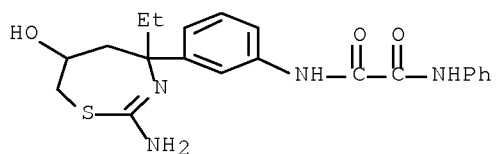
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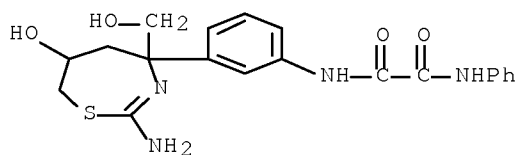
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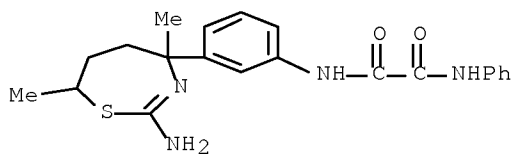
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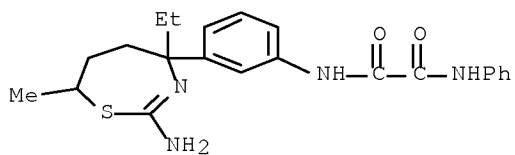
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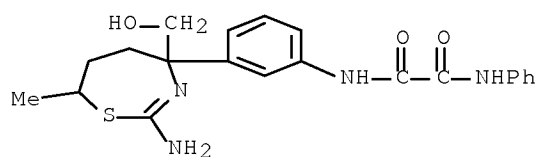


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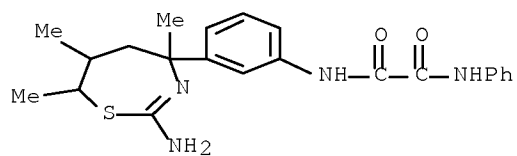


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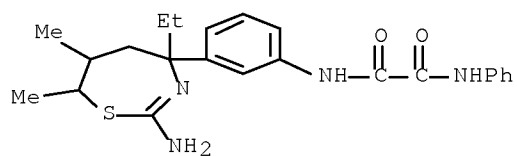




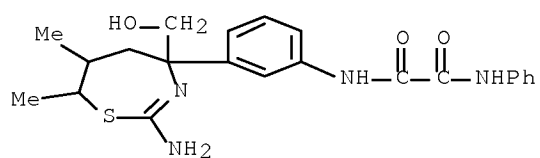
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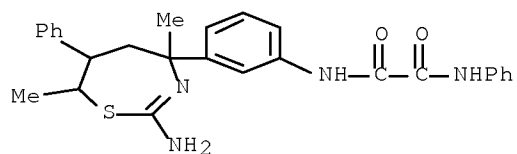
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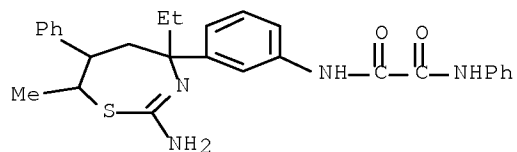
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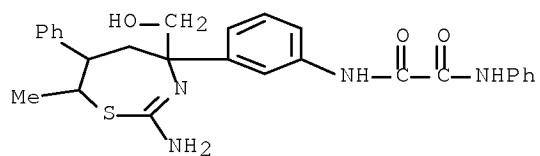
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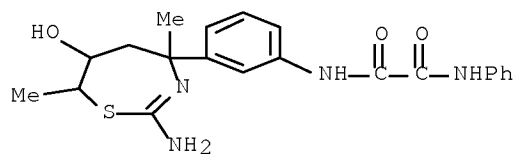
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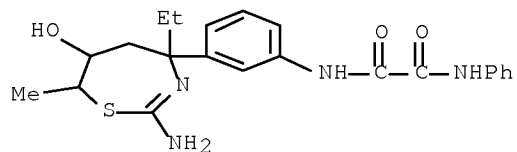
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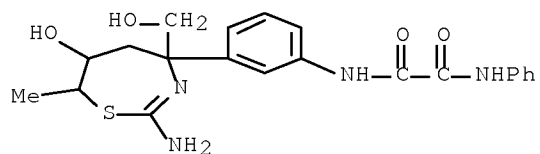
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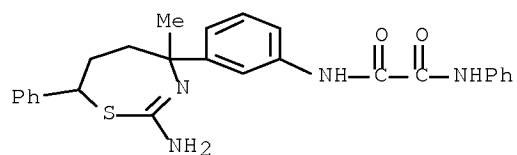
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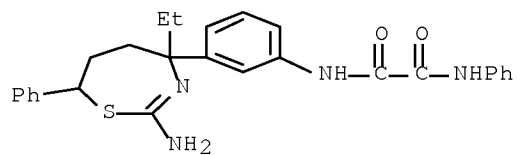
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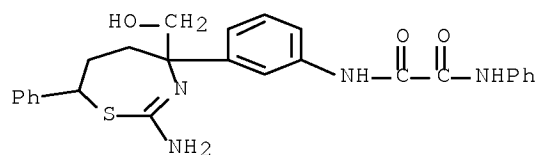
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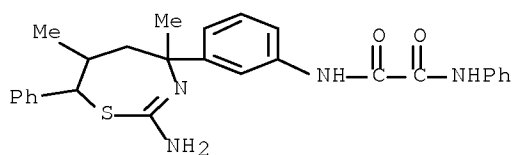
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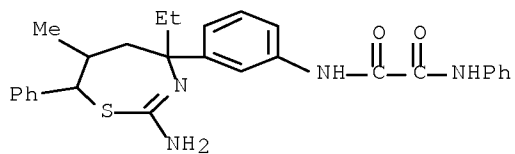
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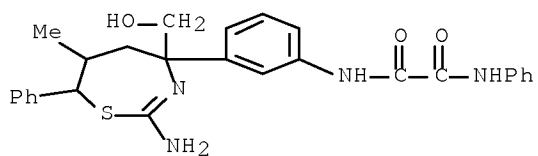
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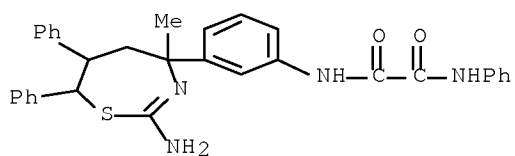
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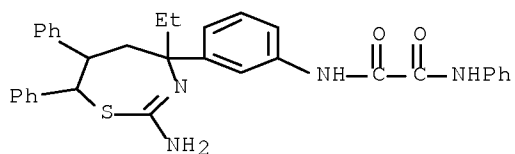
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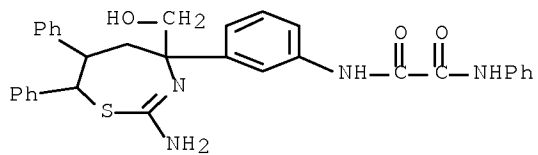
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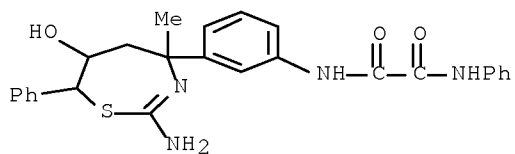
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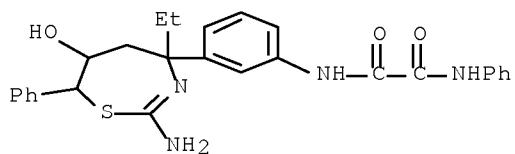
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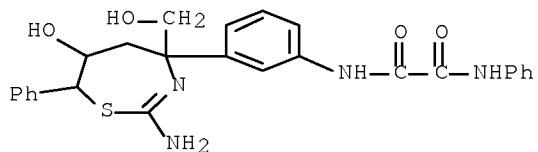
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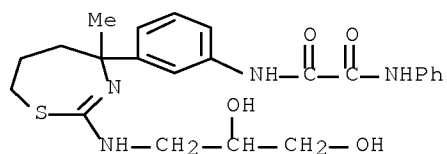
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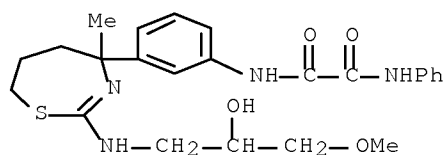
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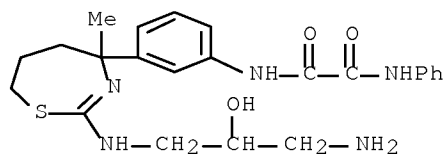
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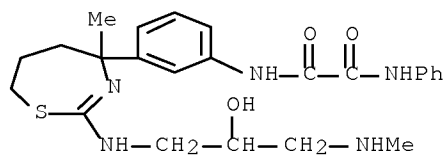
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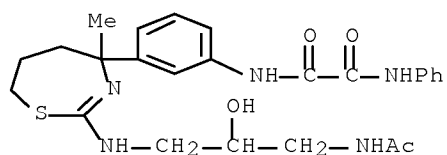
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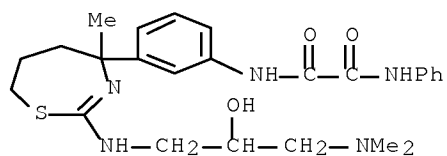
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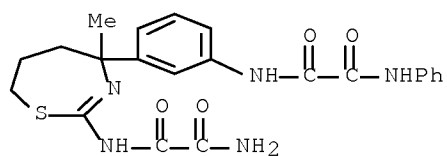
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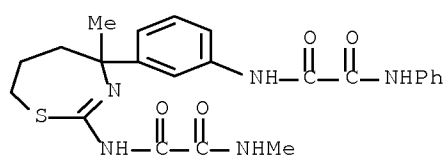
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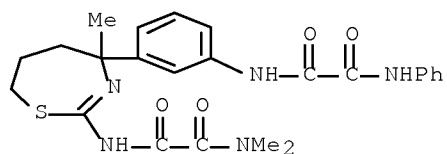
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RN 1084706-90-0 CAPLUS  
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RN 1084707-00-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



L3 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2008:1466046 CAPLUS Full-text  
 DOCUMENT NUMBER: 149:576565  
 TITLE: Preparation of  
 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine  
 derivatives and related compounds for treatment of  
 Alzheimer's disease  
 INVENTOR(S): Kobayashi, Naotake; Ueda, Kazuo; Itoh, Naohiro;  
 Suzuki, Shinji; Sakaguchi, Gaku; Kato, Akira;  
 Yukimasa, Akira; Hori, Akihiro; Kooriyama, Yuji;  
 Haraguchi, Hidekazu; Yasui, Ken; Kanda, Yasuhiko  
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan  
 SOURCE: PCT Int. Appl., No pp. given  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

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PRIORITY APPLN. INFO.:			JP 2007-114764	A 20070424
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. [I; ring A = each (un)substituted carbocyclic or heterocyclic ring group; E = a bond, Alk1, O-Alk1, S-Alk1, N(R0)-Alk1; Alk1 = lower alkylene or alkenylene; R0 = H, lower alkyl, acyl; X = S, O, NR1; R1 = H, lower alkyl; R2a, R2b = H, HO, each (un)substituted lower alkyl, lower alkenyl, NH2, amidino, acyl, CONH2, carbamoylcarbonyl, lower alkylsulfonyl, arylsulfonyl, or heterocyclyl; R3a, R3b, R4a, R4b = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, acyl, lower alkoxycarbonyl, NH2, CONH2, carbocyclyl, or heterocyclyl, CO2H; n, m = an integer of 0-3, provided that n+m = 1-3; R5 = H, each lower alkyl, lower alkenyl, lower alkynyl, carbocyclyl, or heterocyclyl], pharmaceutically acceptable salts thereof, or hydrates thereof were prepared These compds. inhibit proteinase BACE-1 and the production of amyloid  $\beta$  protein and are useful for treatment of diseases induced by the production, secretion, or deposition of amyloid  $\beta$  protein, in particular Alzheimer's disease. Thus, addition reaction of N-(3-acetyl-5-



bromophenyl)-2,2,2- trifluoroacetamide with vinylmagnesium chloride in THF/Et<sub>2</sub>O in a dry ice-acetone bath for 20 min, under ice cooling for 30 min, and at room temperature for 35 min gave an allyl alc. (II) which under went condensation reaction with thiourea in 1 M HCl/EtOAc solution at room temperature for 69 h and at 40° for 45 h to give an isothiurea (III). Cyclization of III in the presence of CF<sub>3</sub>SO<sub>3</sub> in CF<sub>3</sub>CO<sub>2</sub>H at room temperature for 3.5 h gave an 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine (IV; R = H, R<sub>1</sub> = CF<sub>3</sub>CO) which underwent N-protection with di(tert-butyl) dicarbonate in the presence of Et<sub>3</sub>N in THF under ice-cooling for 2 h and at room temperature for 3 h to give IV (R = Boc, R<sub>1</sub> = CF<sub>3</sub>CO). IV (R = Boc, R<sub>1</sub> = CF<sub>3</sub>CO) was treated with a mixture of 1 M NaOH aqueous solution and THF at 50° for 4 h and then with 4 M HCl/1,4-dioxane solution to give IV.2HCl (R = R<sub>1</sub> = H). The compound (V) at 10 mg/kg p.o. in vivo lowered amyloid  $\beta$  protein by 50.1% in rat brain after 3 h. [This abstract record is one of 4 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.].

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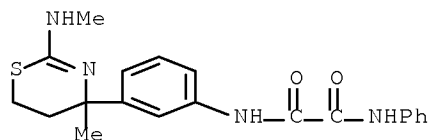
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RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine derivs. and related compds. as inhibitors of proteinase BACE-1 and production of amyloid  $\beta$  protein for treatment of Alzheimer's disease)

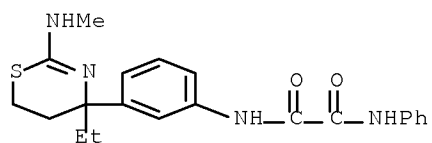
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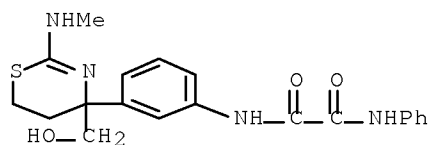


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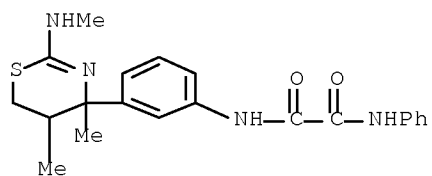
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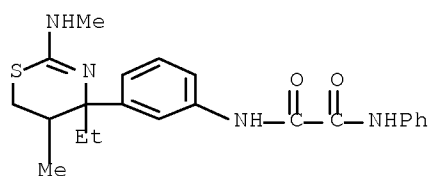
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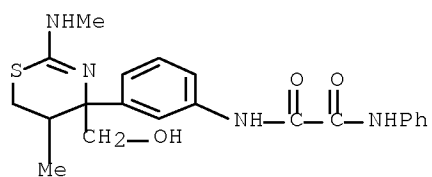
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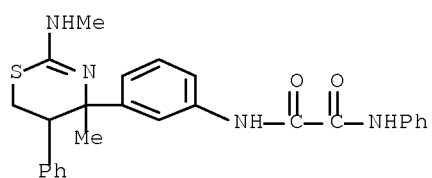
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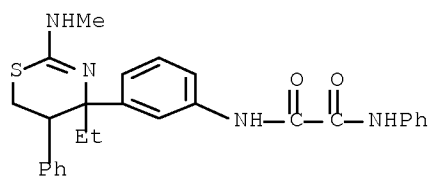
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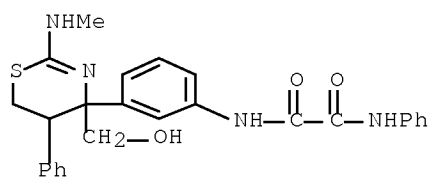
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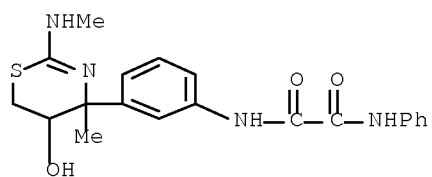
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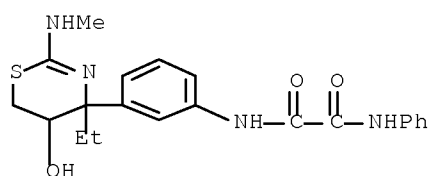
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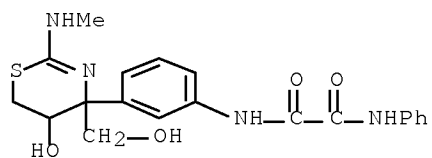
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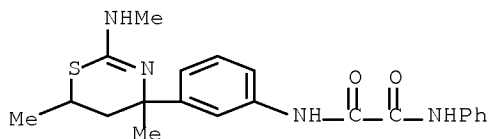
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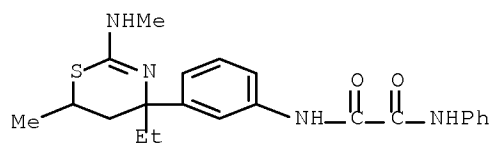
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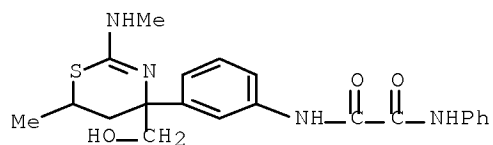
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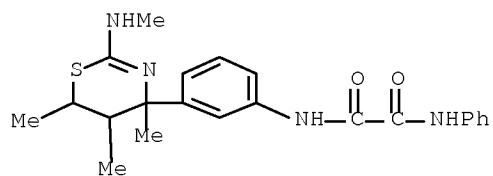
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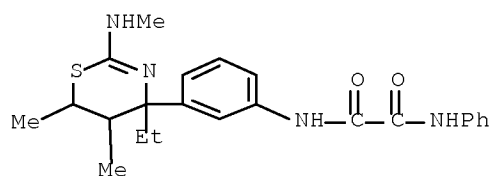
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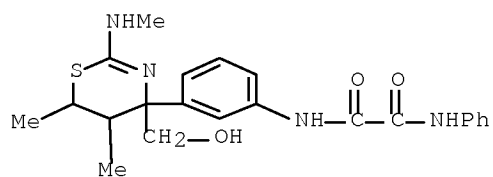
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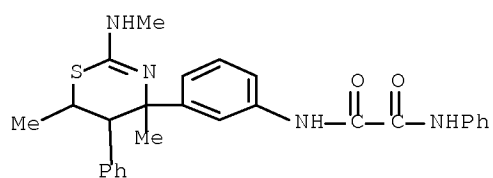
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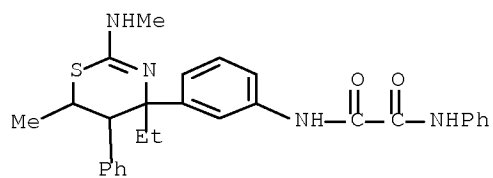
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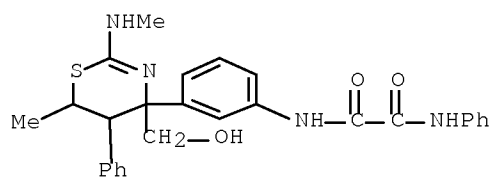
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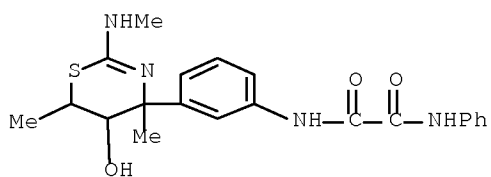
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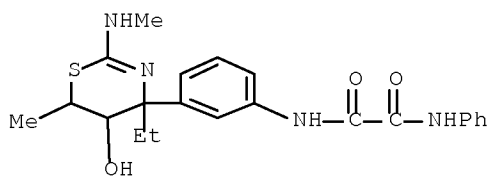
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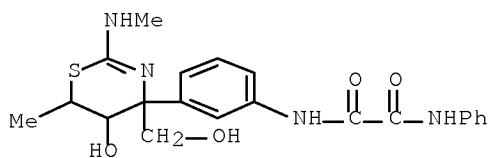
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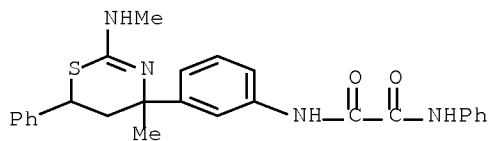
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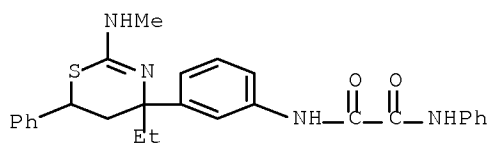


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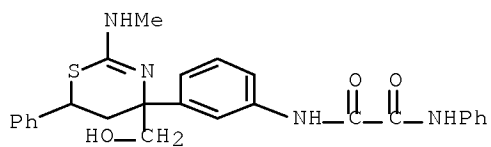


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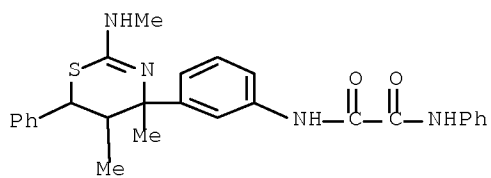




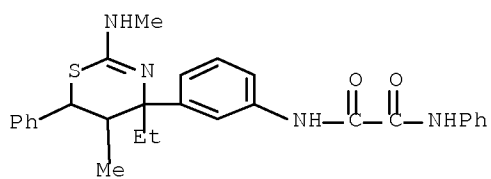
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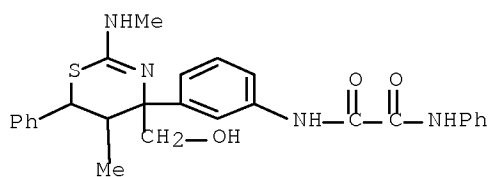
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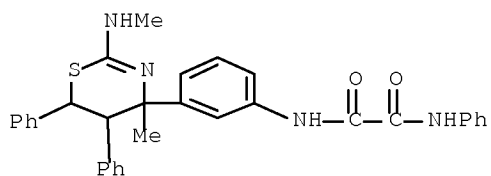
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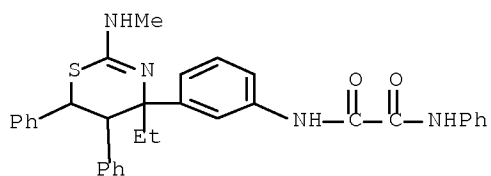
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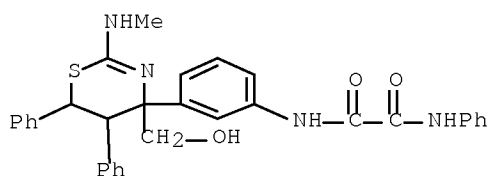
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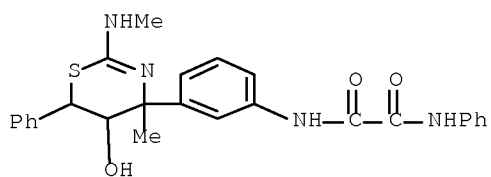
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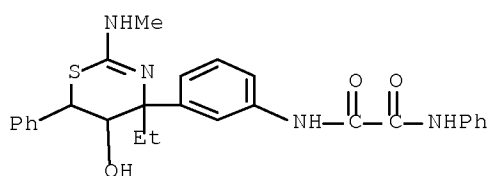
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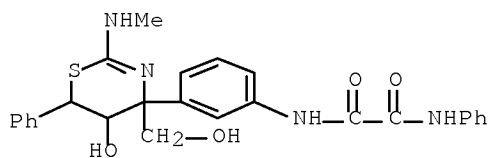
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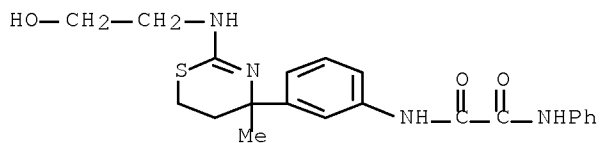
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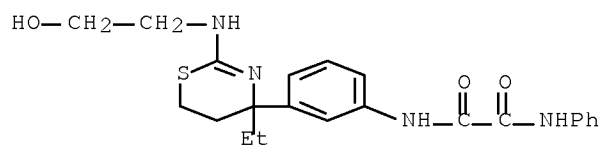
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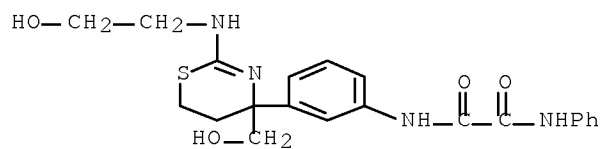
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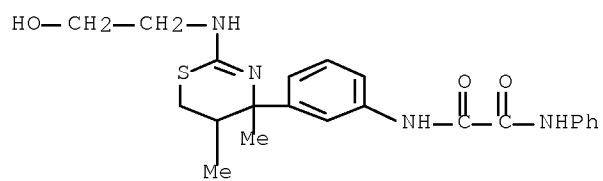
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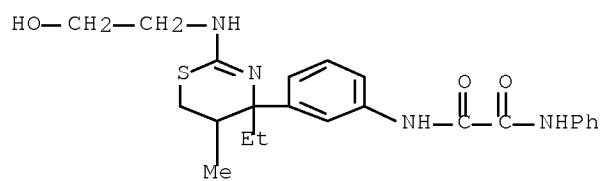
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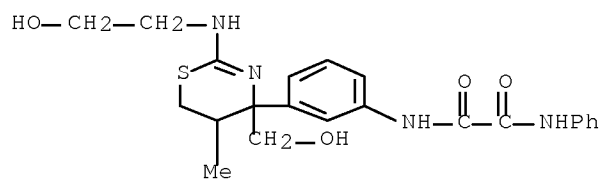
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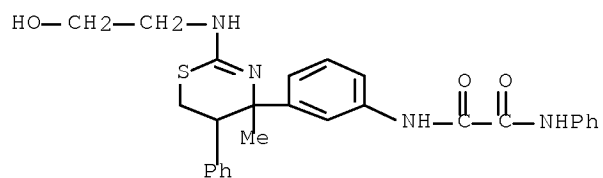
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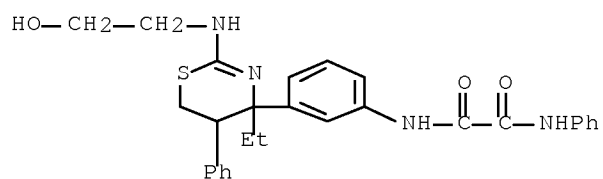
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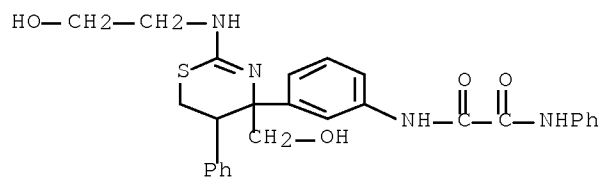
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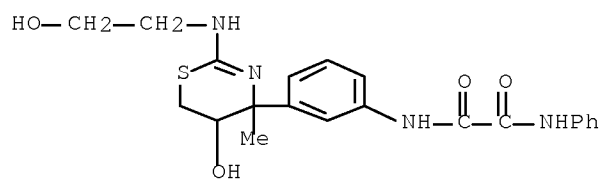
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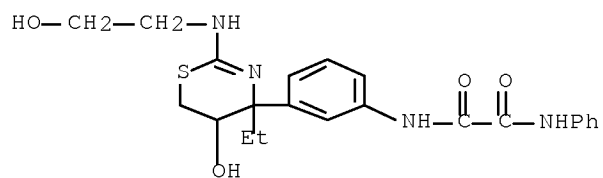
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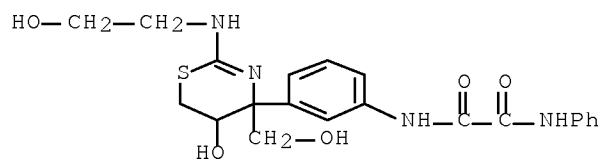
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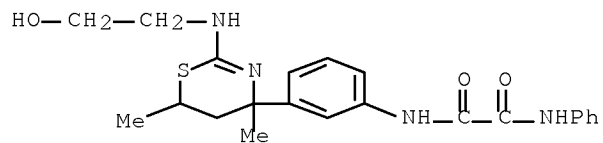
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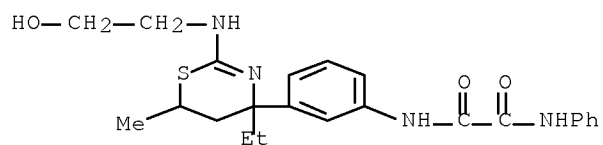
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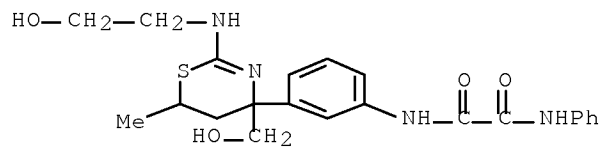
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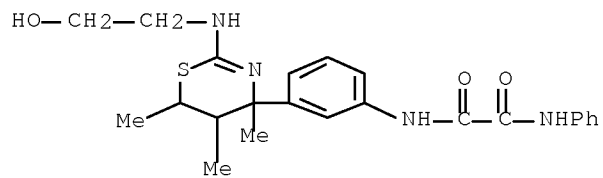
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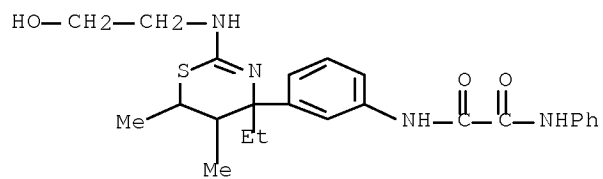
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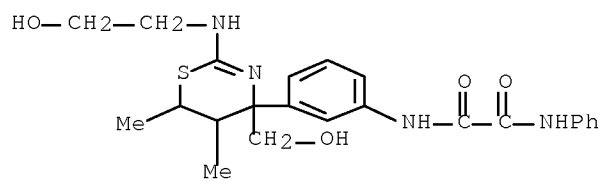
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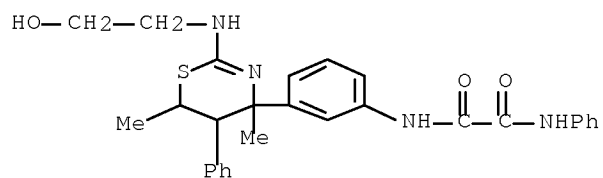
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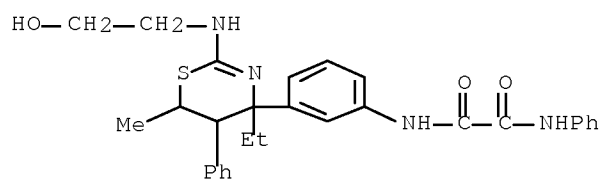
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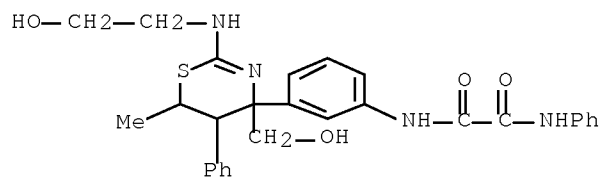
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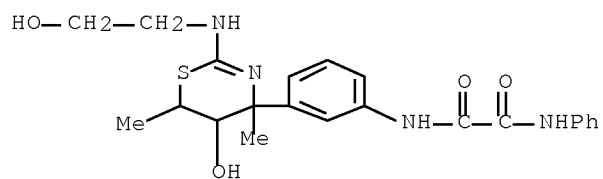


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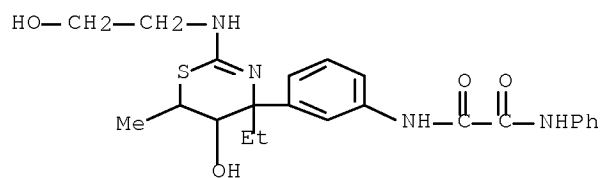


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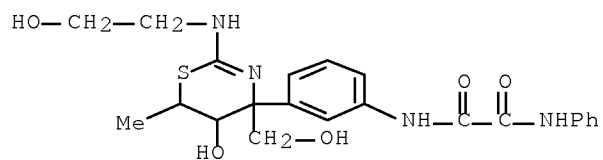




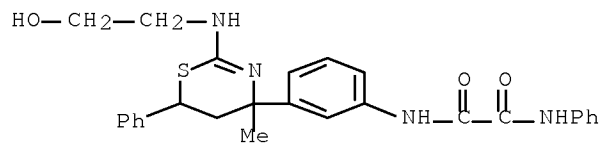
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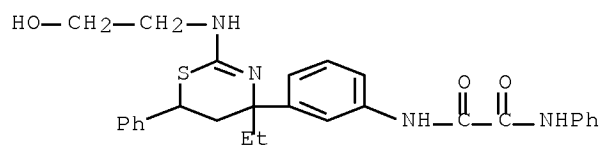
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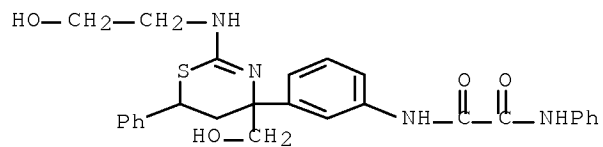
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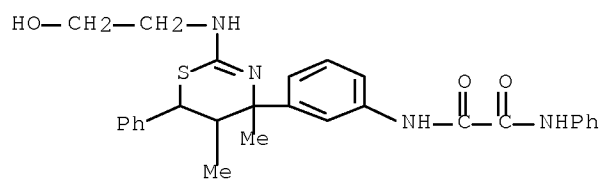
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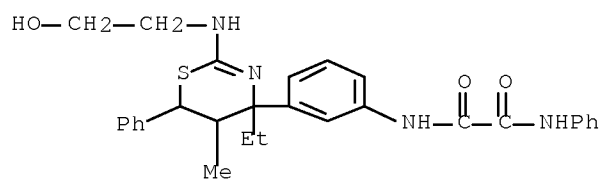
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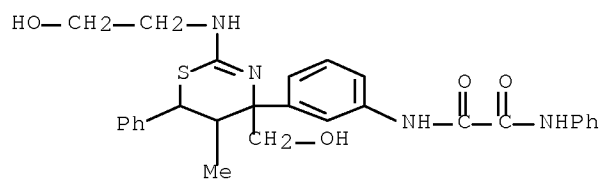
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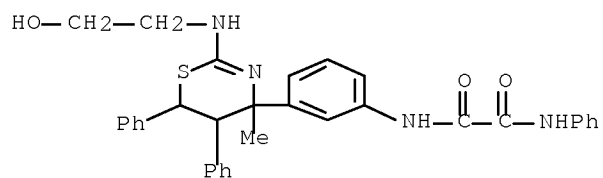
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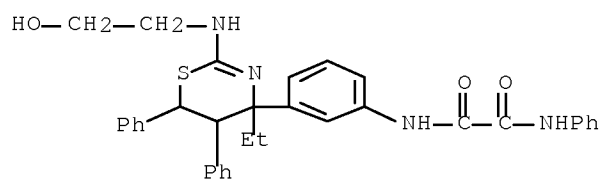
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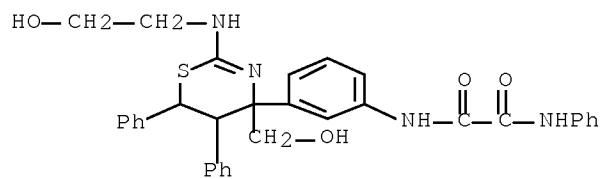
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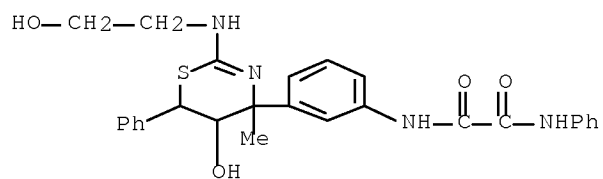
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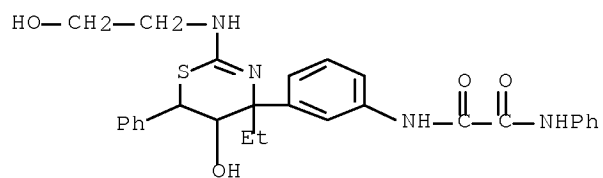
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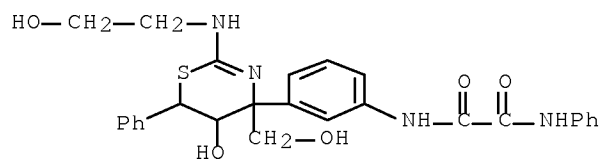
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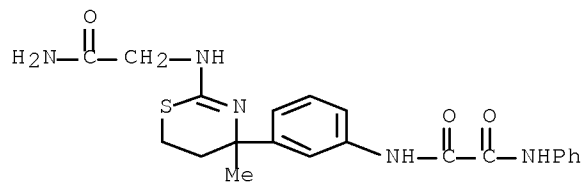
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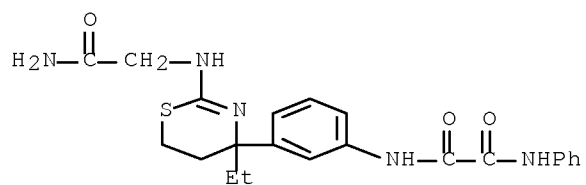
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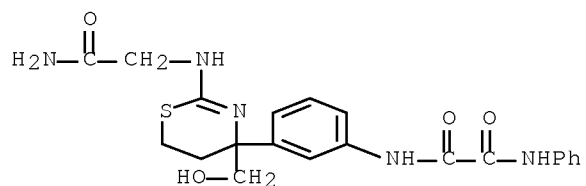
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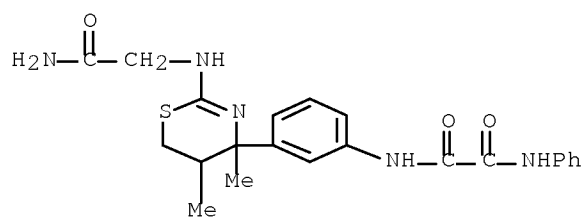
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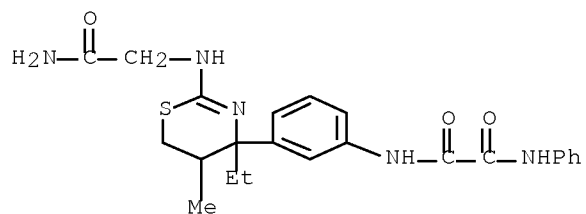
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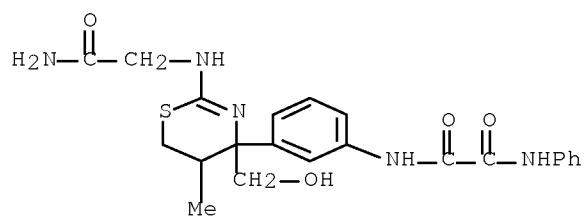
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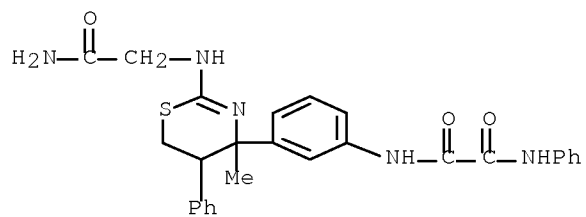
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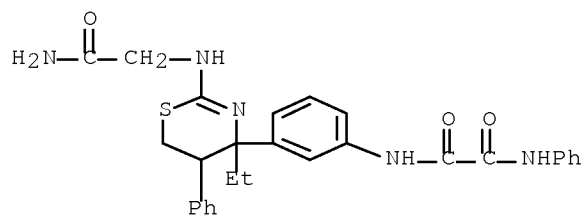
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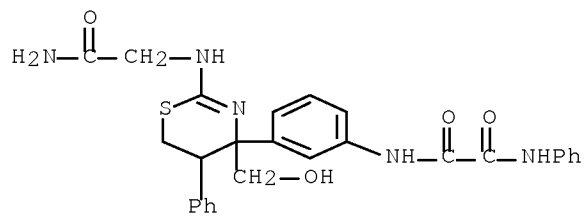
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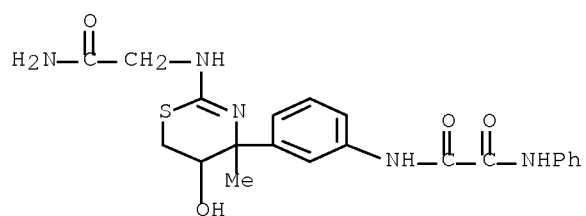
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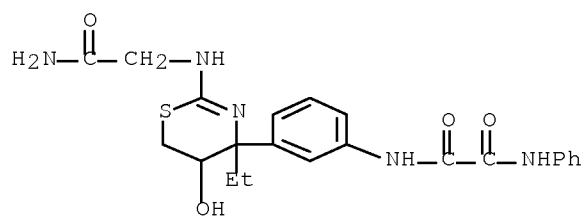
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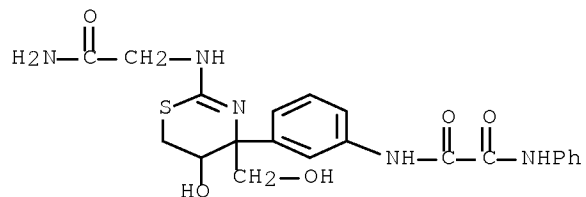
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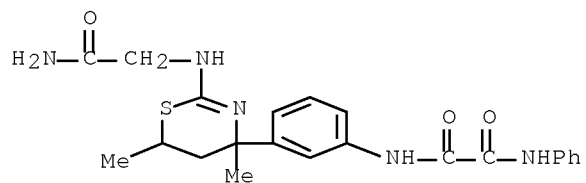
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RN 1082758-18-6 CAPLUS  
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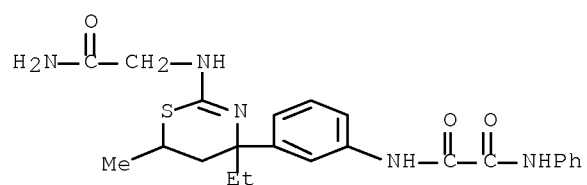


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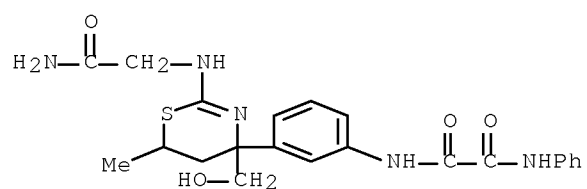
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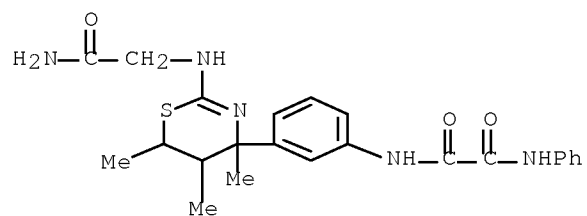
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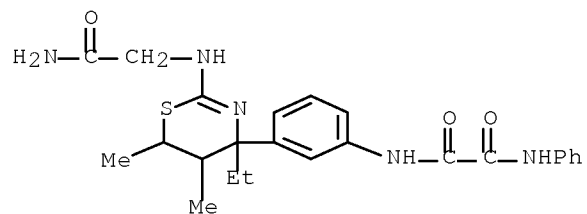
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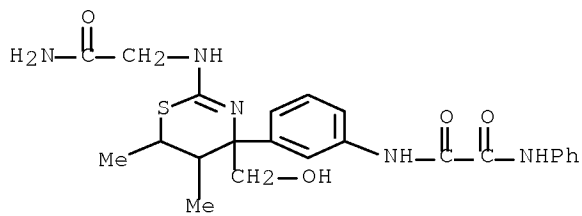
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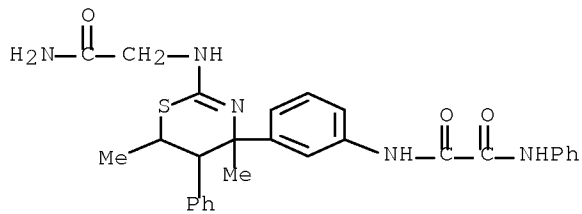


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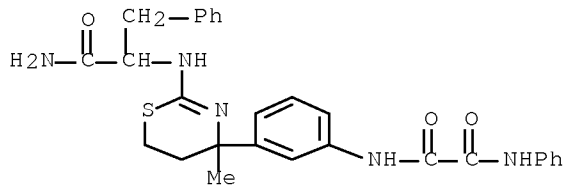
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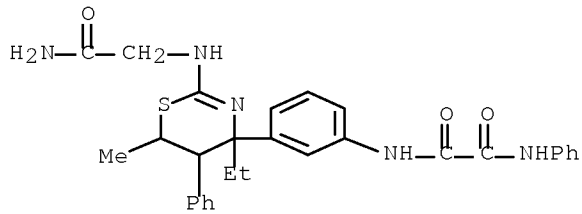
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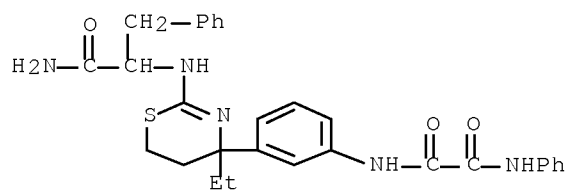


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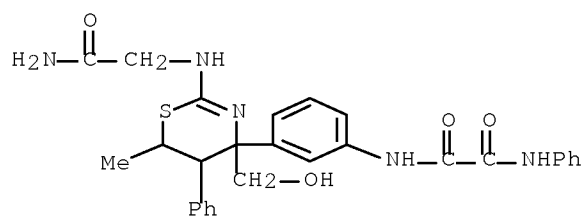
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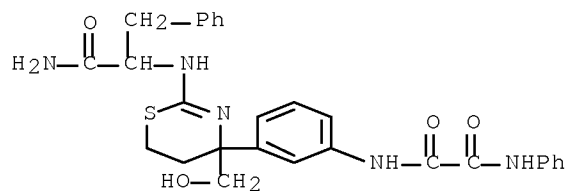
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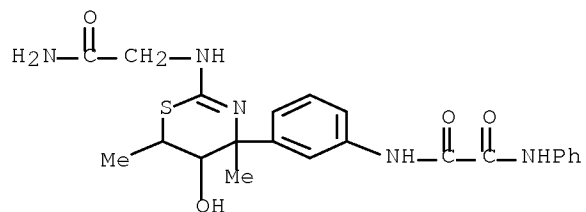
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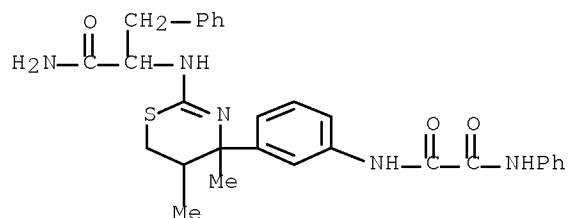
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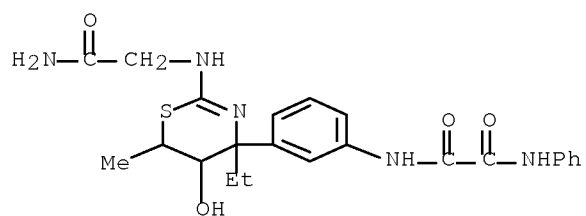
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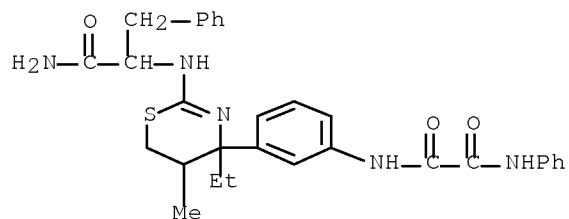
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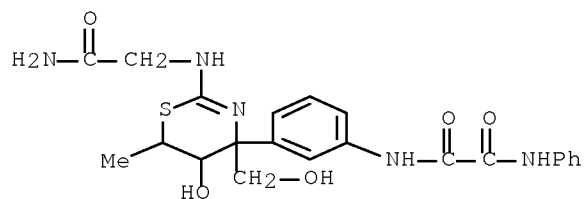
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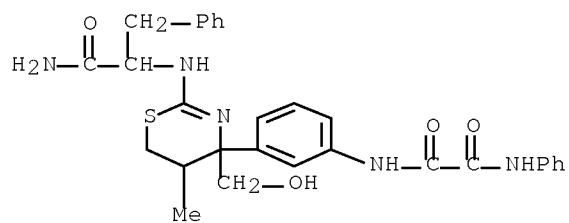
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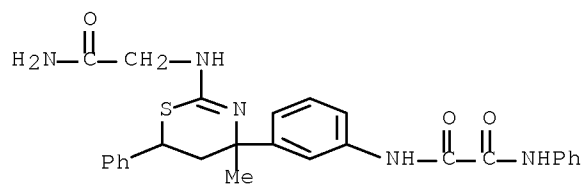
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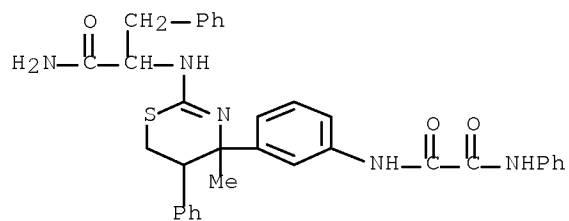
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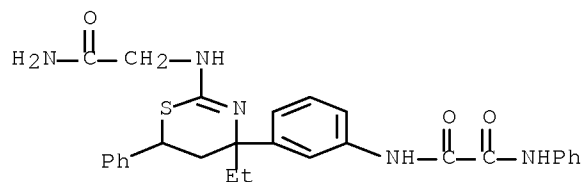
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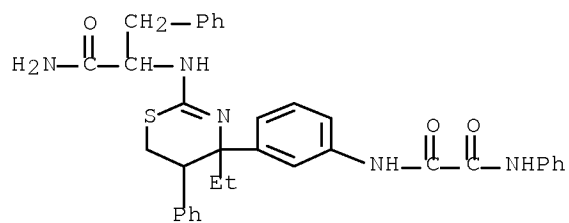
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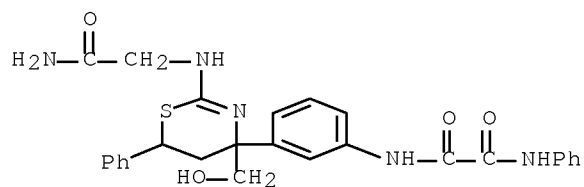
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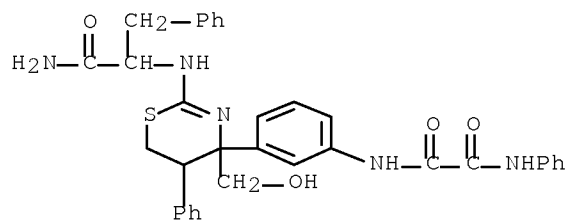
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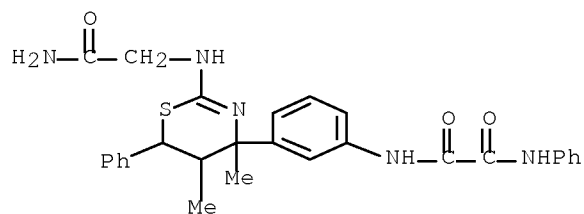
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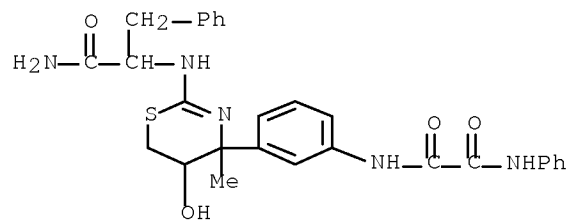
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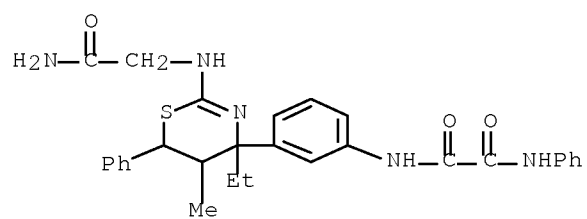
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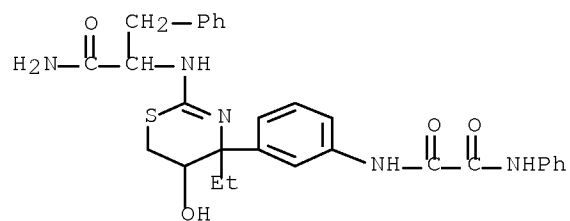
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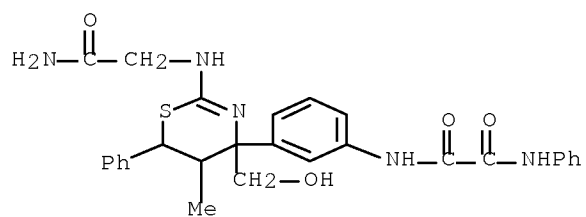
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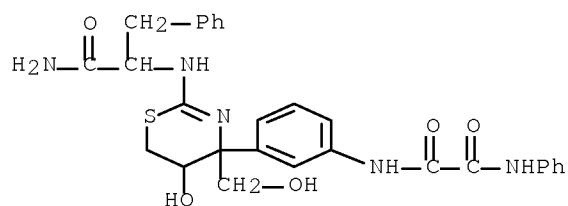
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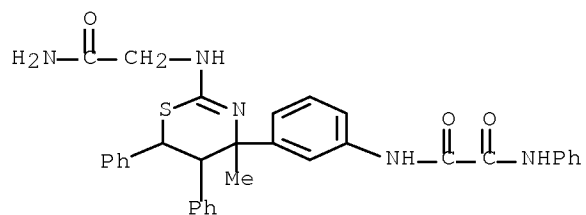
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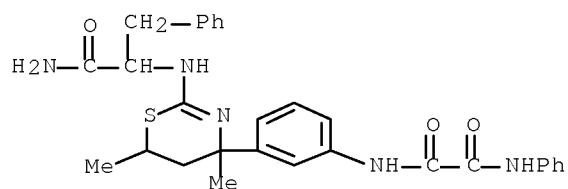
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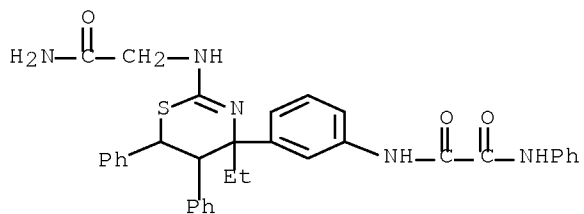
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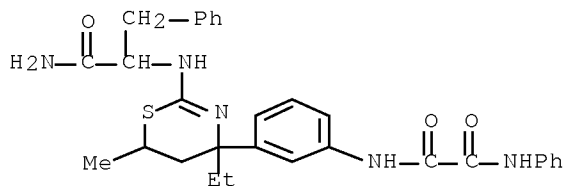
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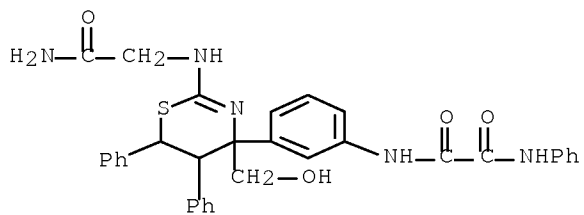
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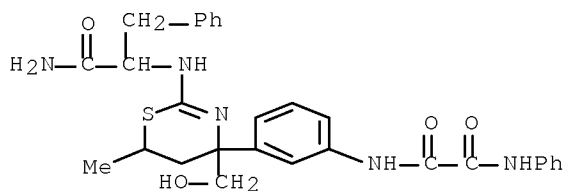
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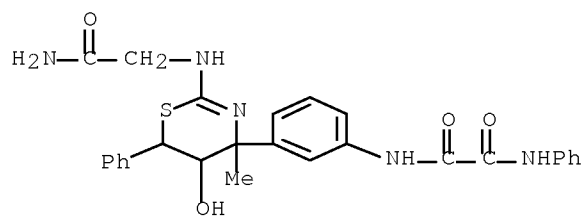


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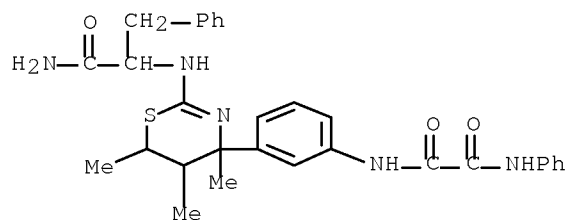


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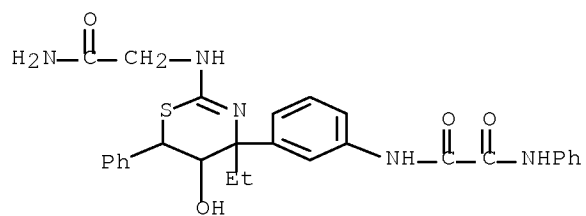




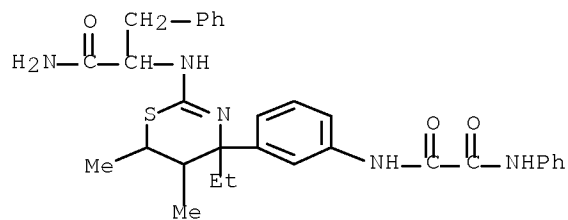
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RN 1082760-61-9 CAPLUS  
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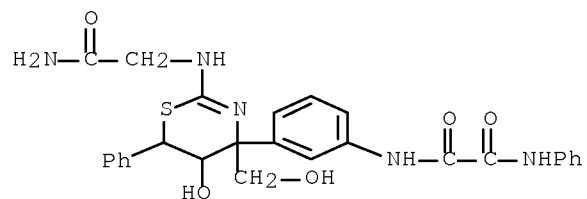


RN 1082760-73-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



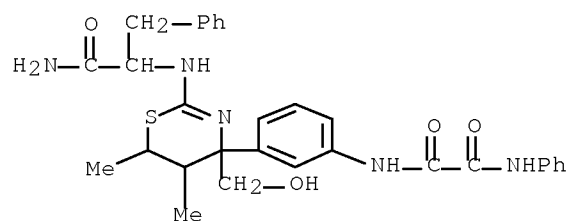
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CN INDEX NAME NOT YET ASSIGNED



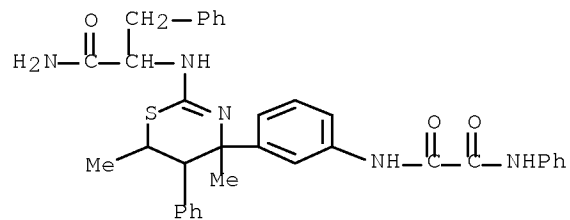
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CN INDEX NAME NOT YET ASSIGNED



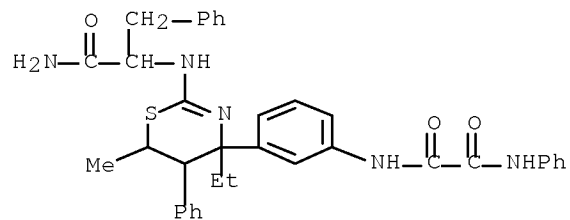
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CN INDEX NAME NOT YET ASSIGNED

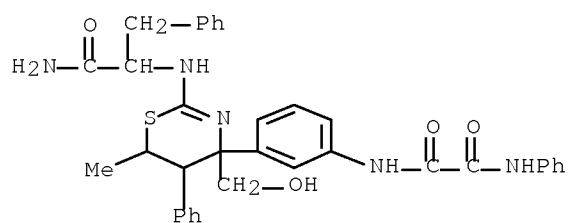


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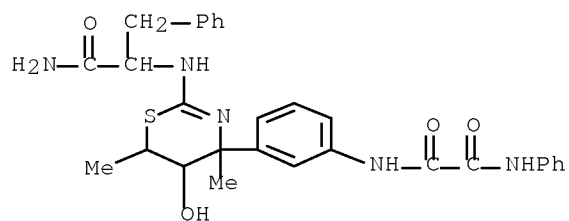
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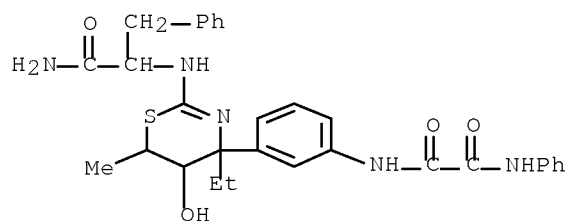
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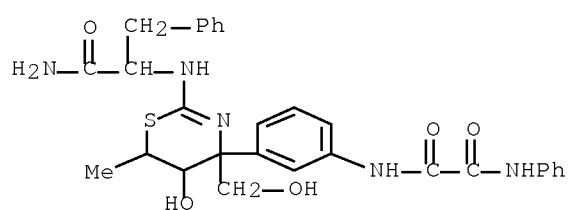
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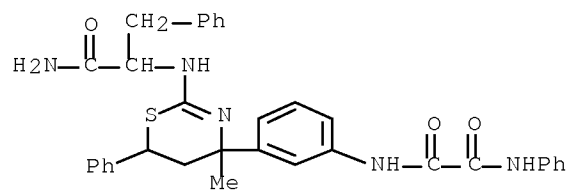
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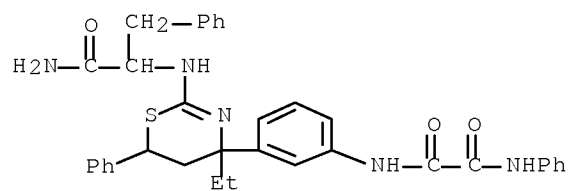
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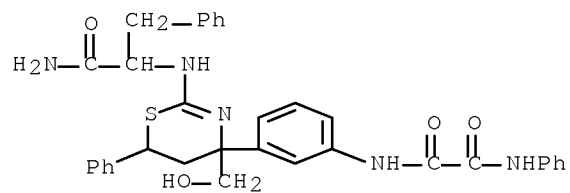
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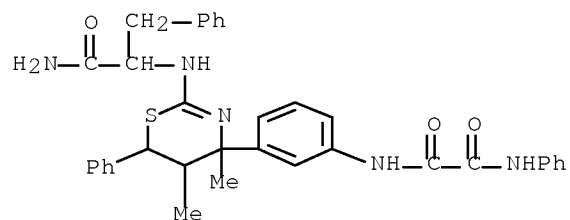
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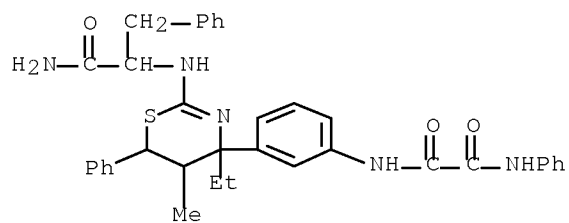
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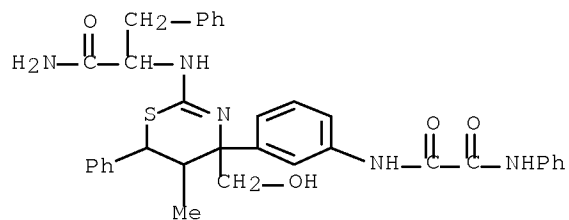
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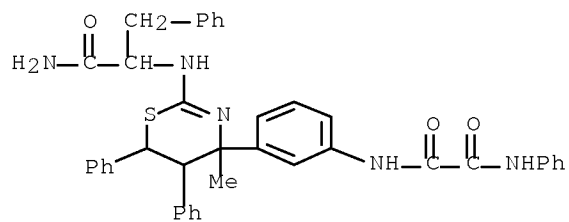
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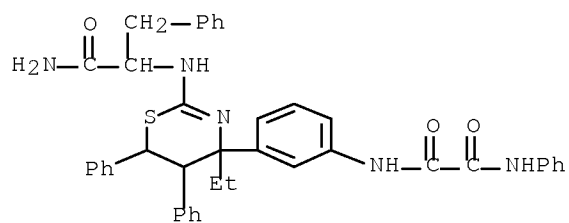
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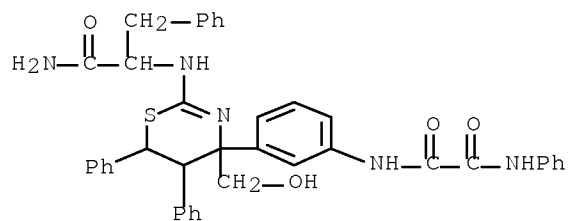
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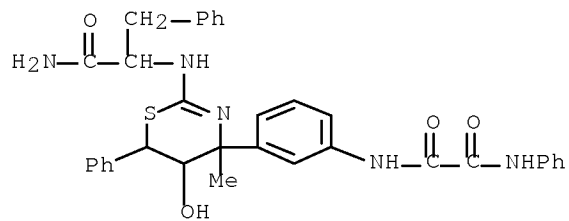
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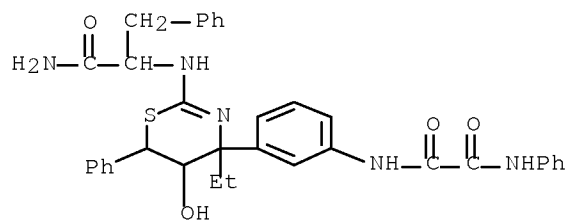
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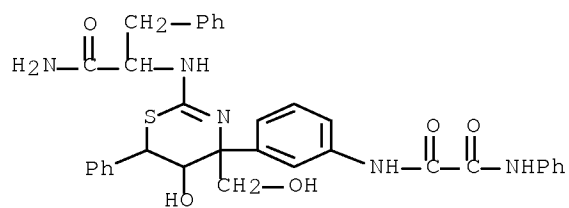
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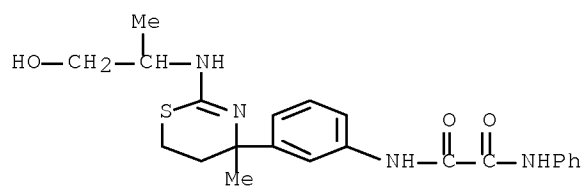
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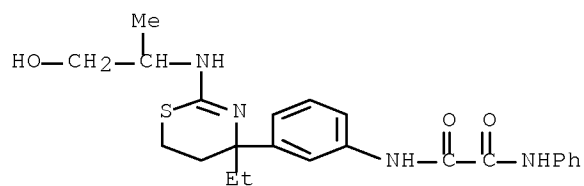
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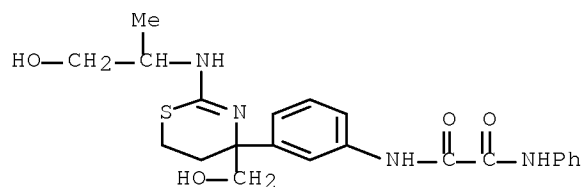
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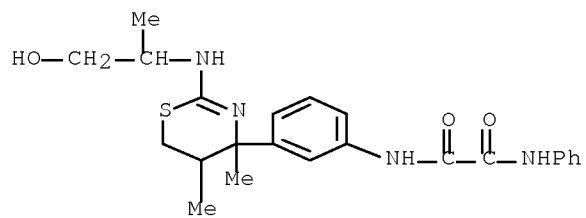
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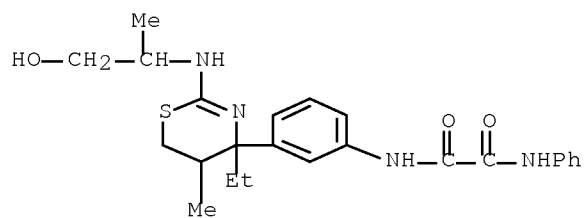
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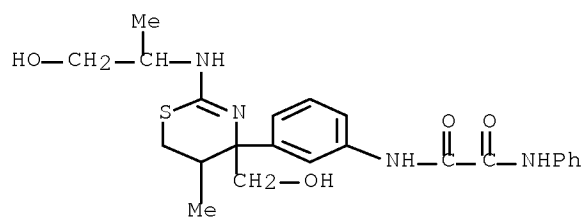
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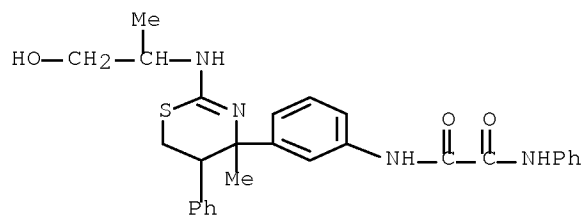
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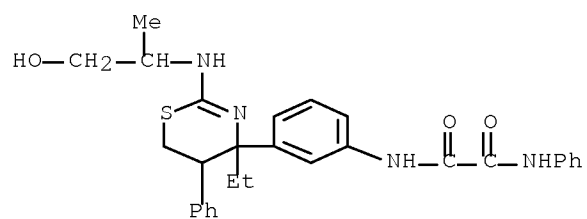
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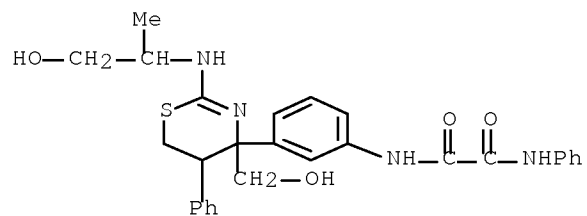


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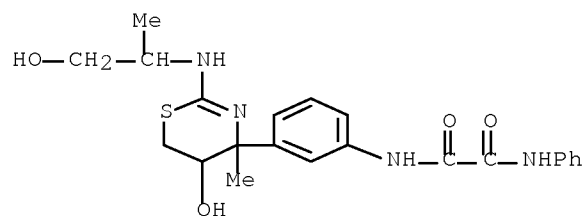
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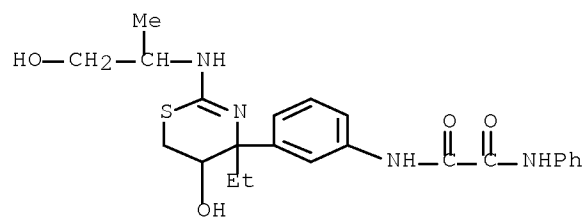
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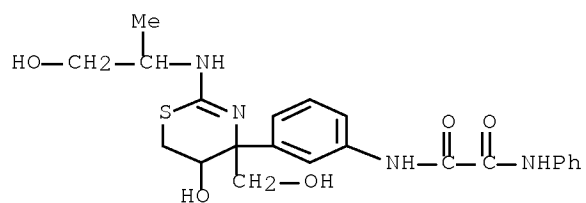


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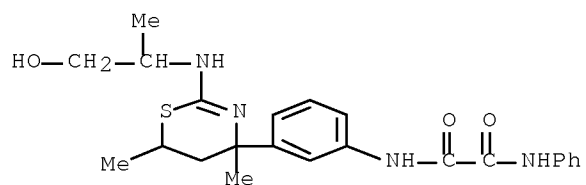
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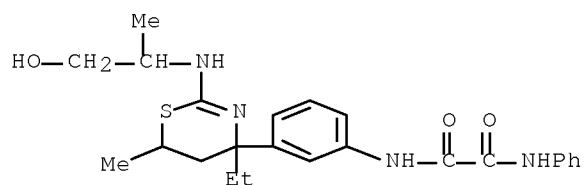
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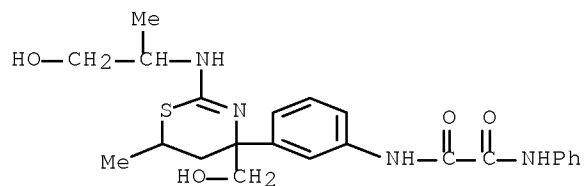
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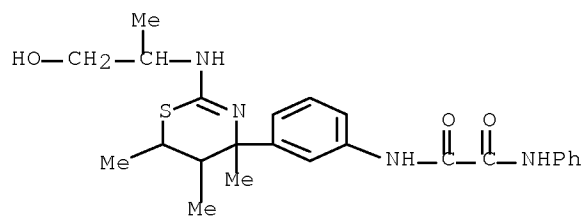
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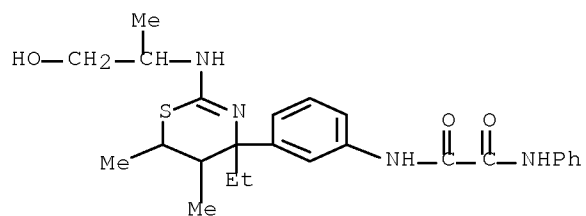
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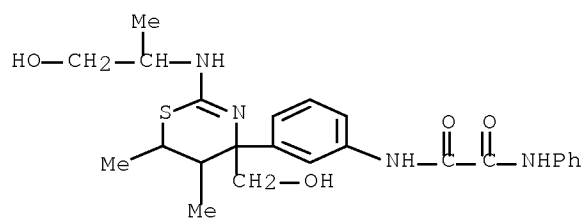
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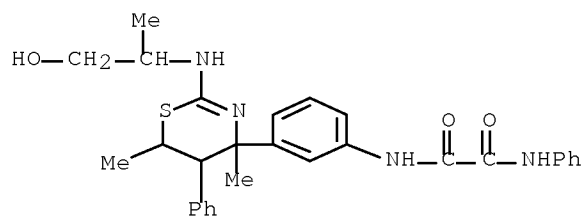
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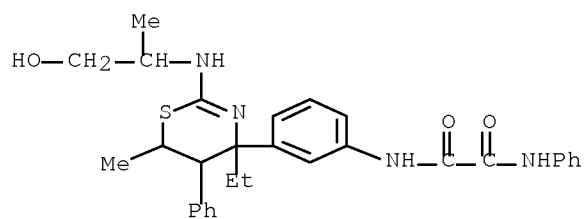
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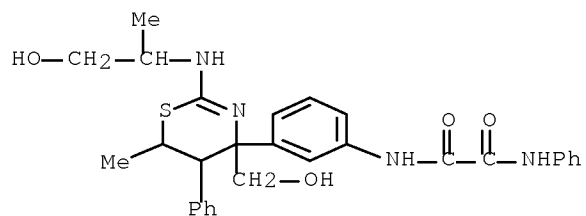
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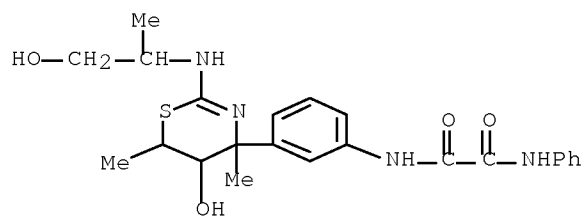
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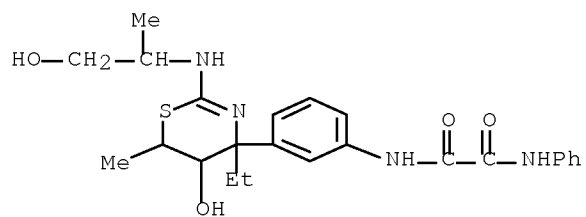
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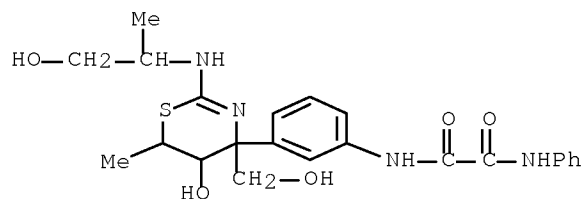
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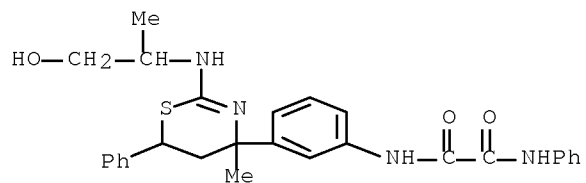
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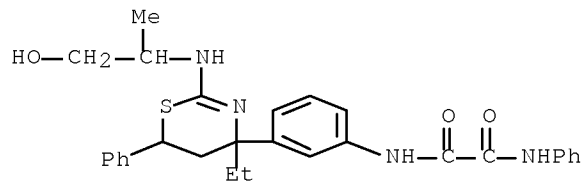
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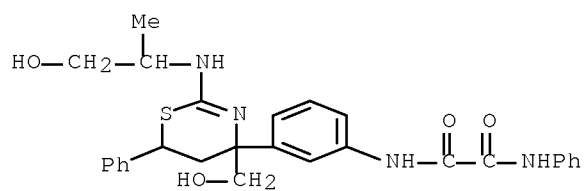
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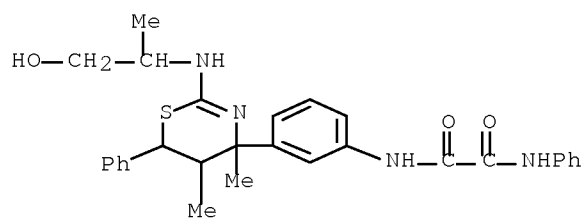
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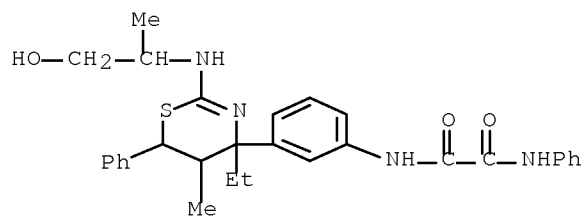
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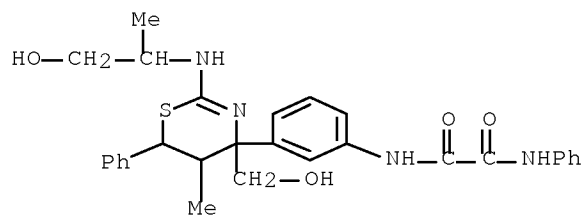
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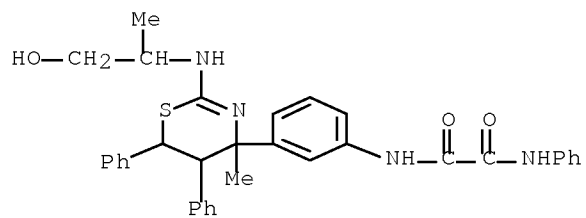
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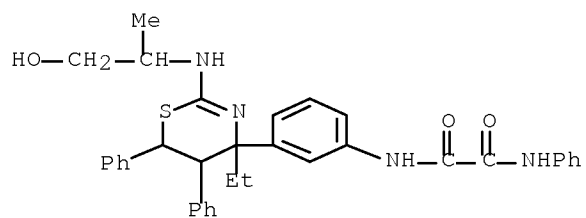
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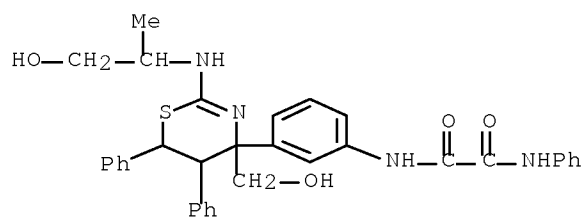
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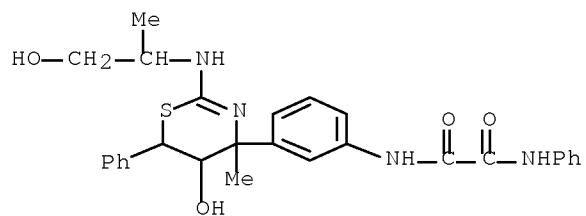
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RN 1082764-20-2 CAPLUS  
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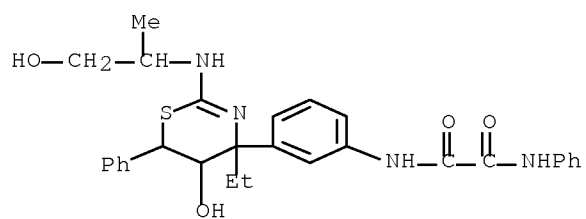


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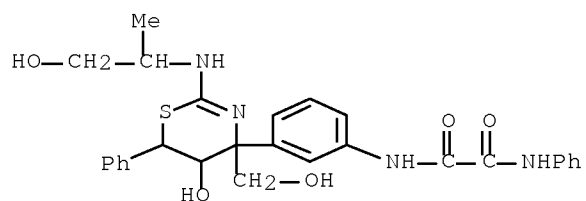
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CN INDEX NAME NOT YET ASSIGNED



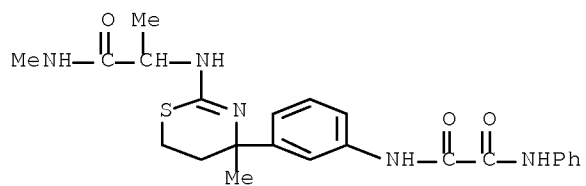
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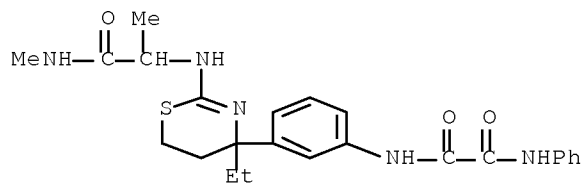
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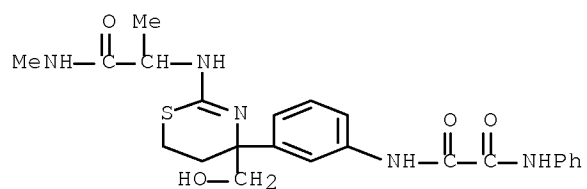
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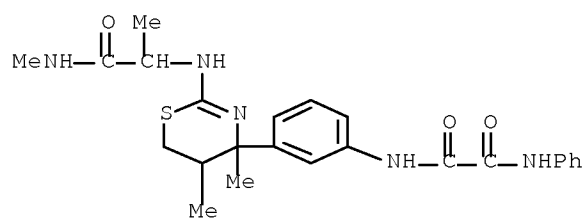


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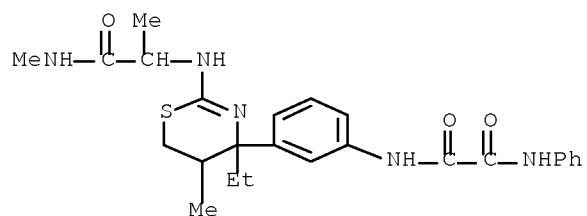
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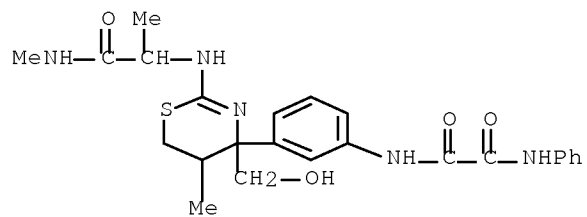
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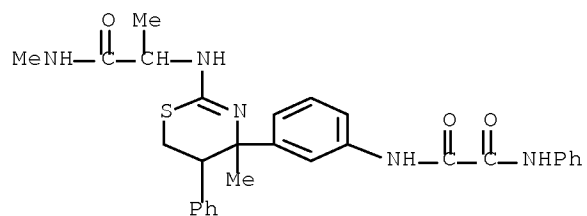


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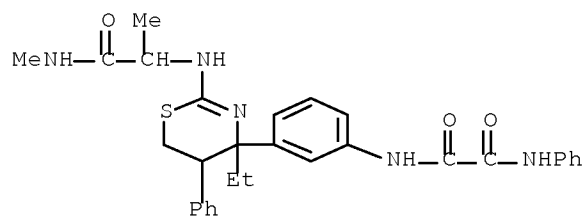
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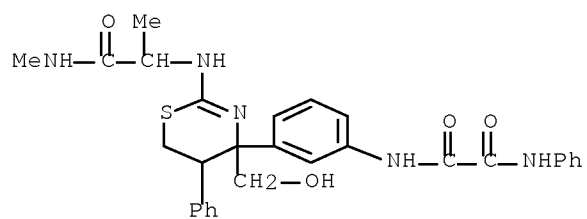
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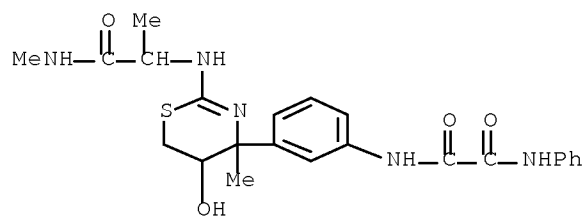
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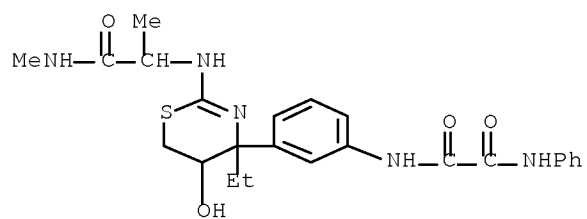
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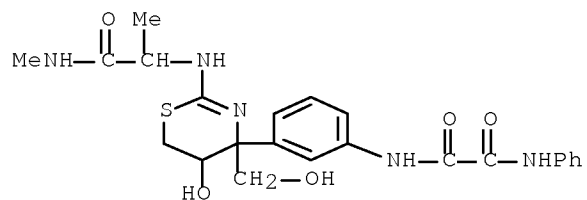
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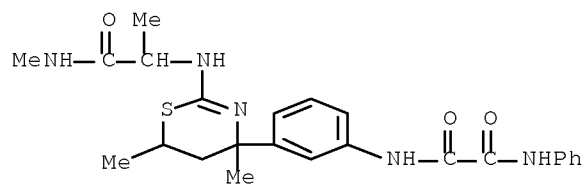
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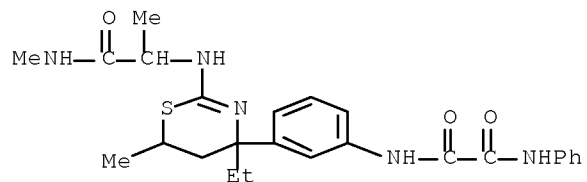
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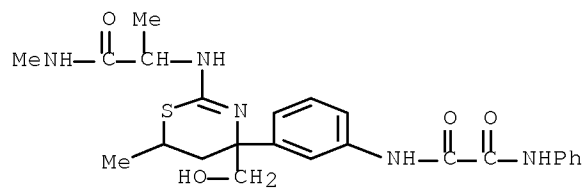
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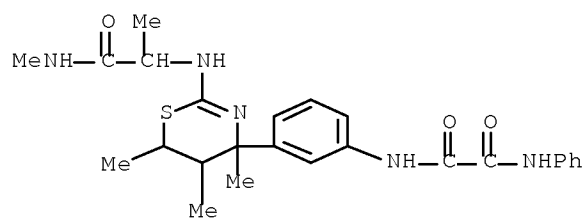
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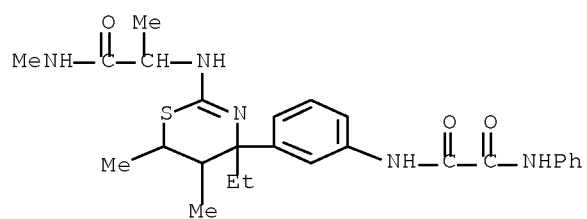
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CN INDEX NAME NOT YET ASSIGNED



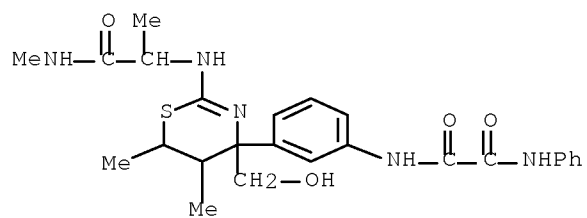
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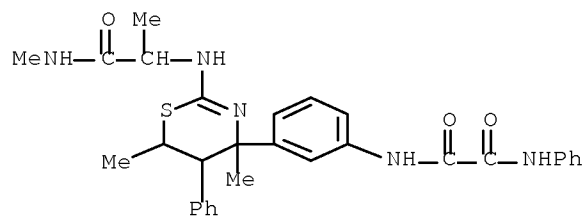
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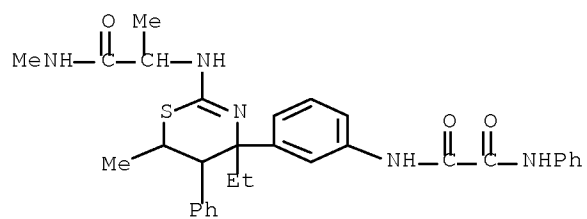
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CN INDEX NAME NOT YET ASSIGNED



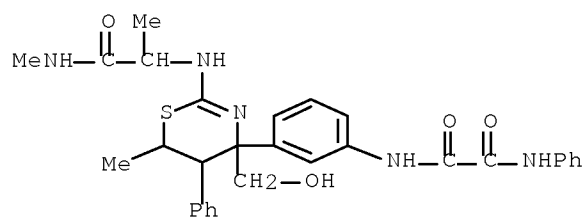
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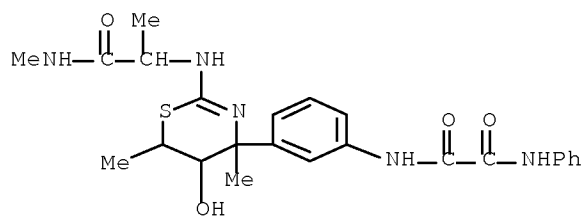
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CN INDEX NAME NOT YET ASSIGNED



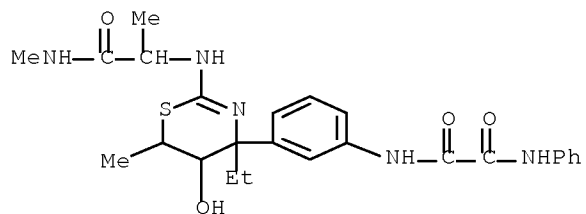
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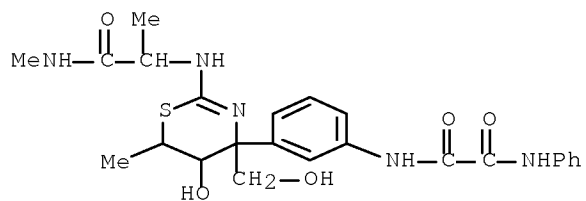
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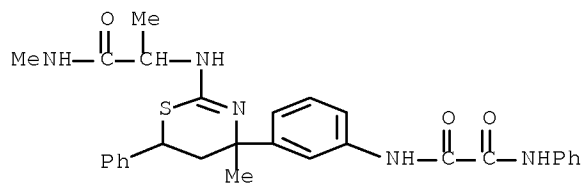
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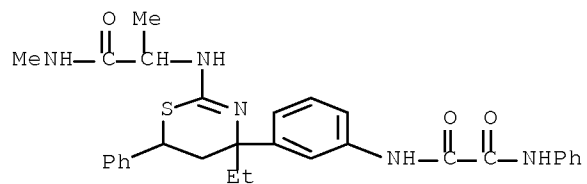
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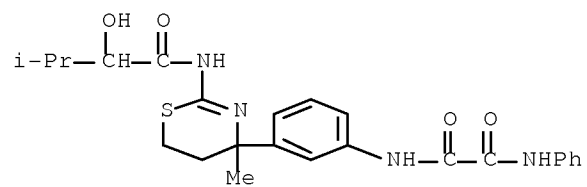
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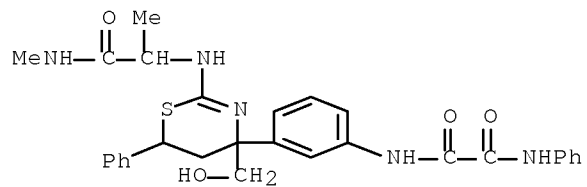
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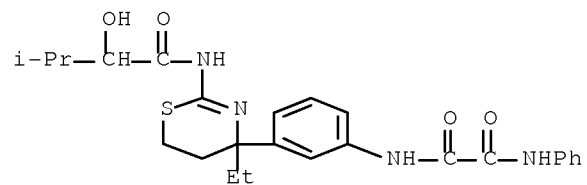
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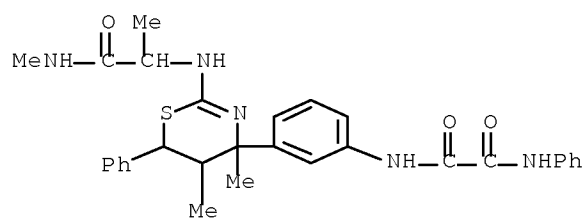
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CN INDEX NAME NOT YET ASSIGNED



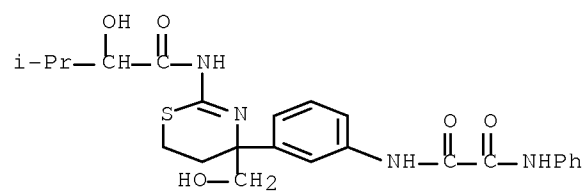
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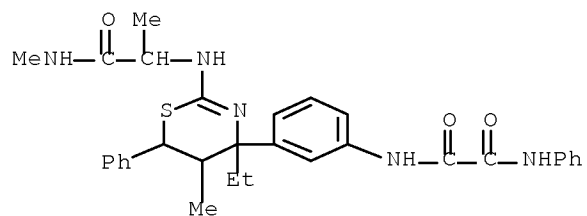
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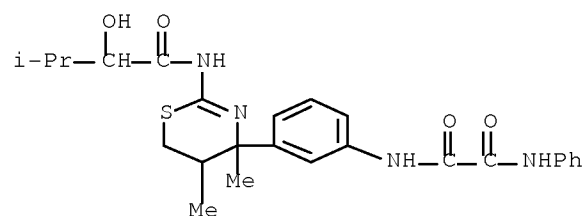
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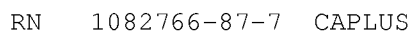
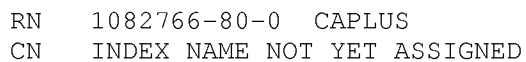
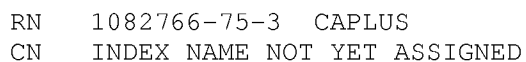
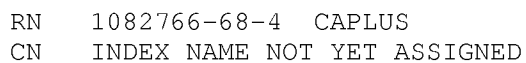


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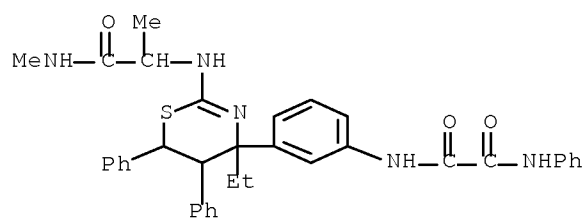


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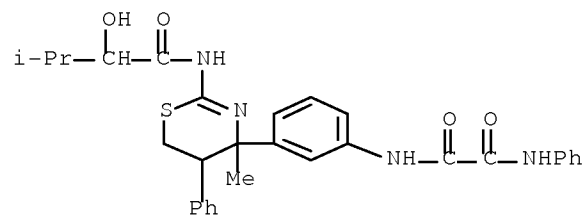


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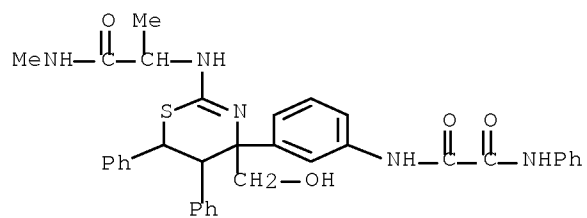
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CN INDEX NAME NOT YET ASSIGNED



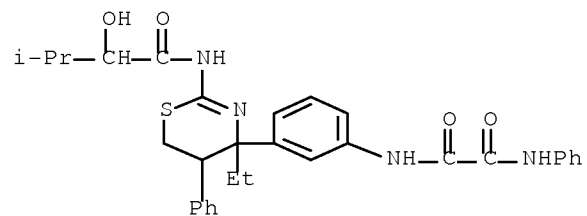
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CN INDEX NAME NOT YET ASSIGNED

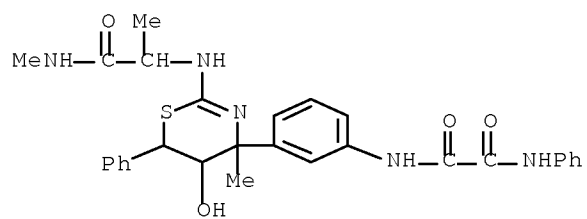


RN 1082767-04-1 CAPLUS

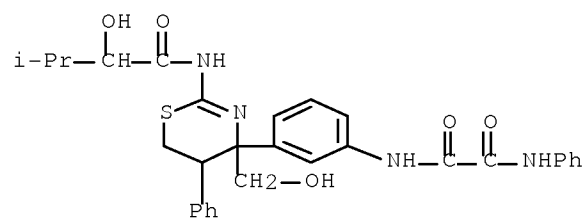
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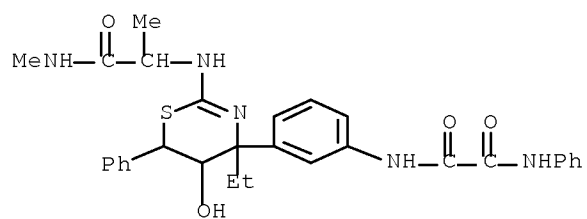
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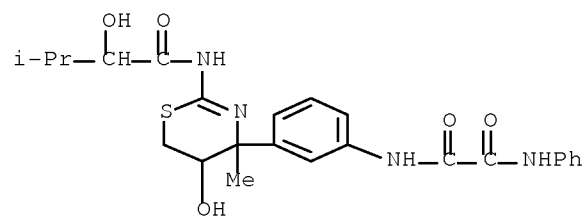
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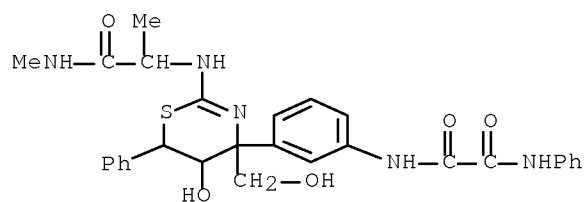
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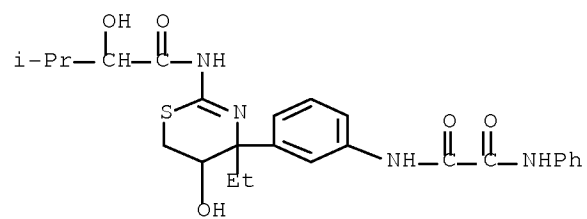
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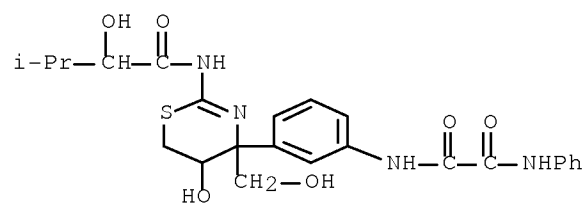
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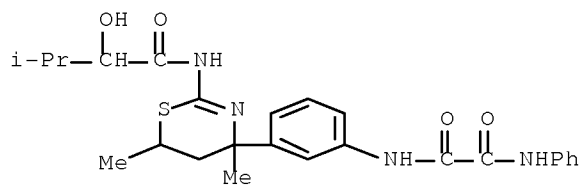
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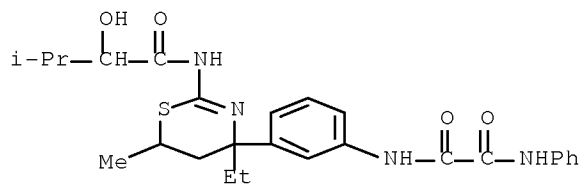
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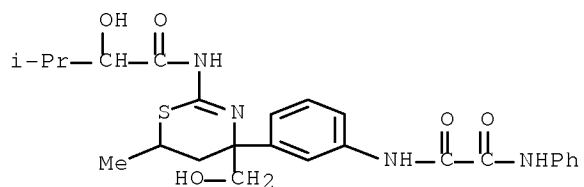
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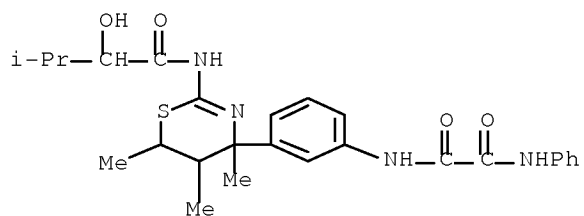
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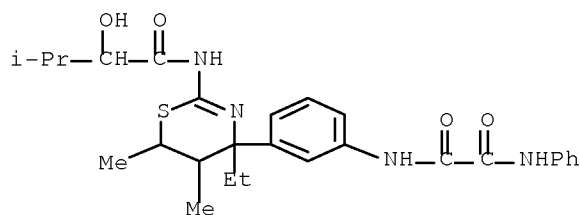
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RN 1082768-11-3 CAPLUS  
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RN 1082768-18-0 CAPLUS  
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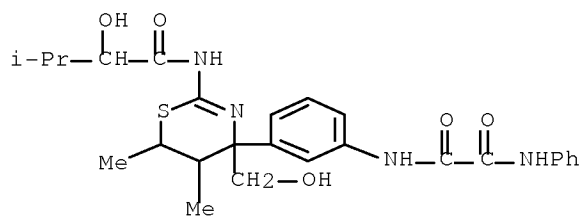
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RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

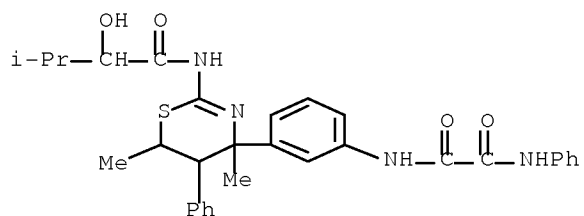
(preparation of 2-amino-4-phenyl-4,5-dihydro-5H-1,3-thiazine derivs. and related compds. as inhibitors of proteinase BACE-1 and production of amyloid  $\beta$  protein for treatment of Alzheimer's disease)

RN 1082768-24-8 CAPLUS

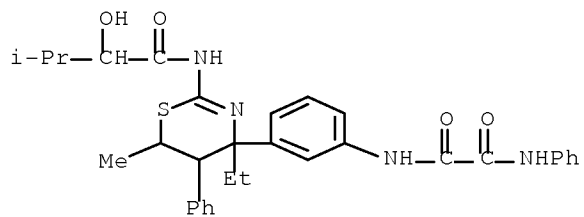
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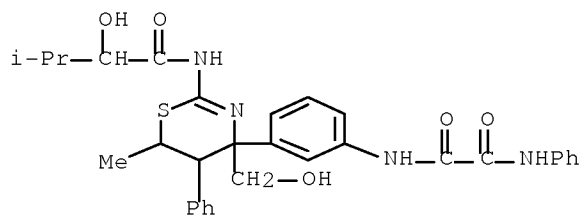
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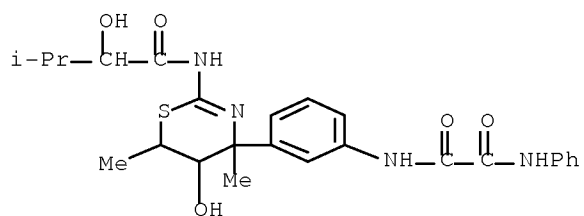
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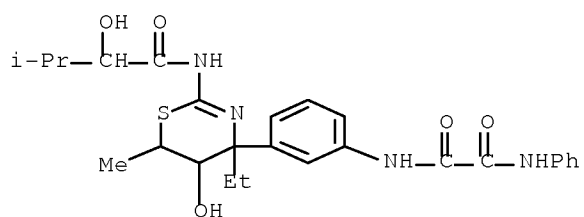
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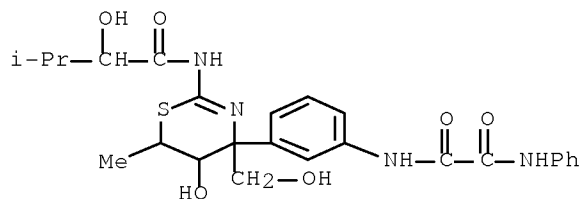
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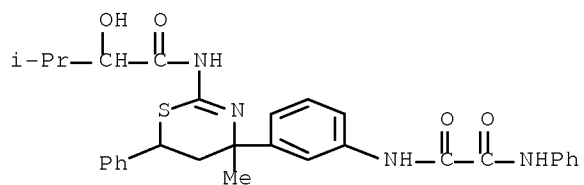
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RN 1082768-61-3 CAPLUS  
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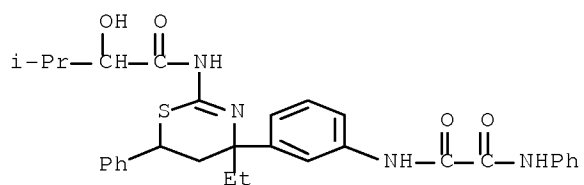
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RN 1082768-75-9 CAPLUS

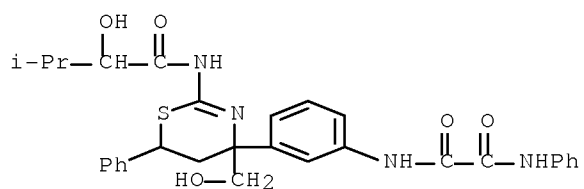


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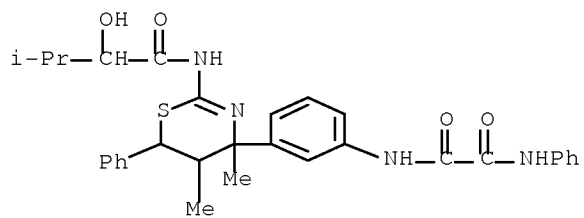
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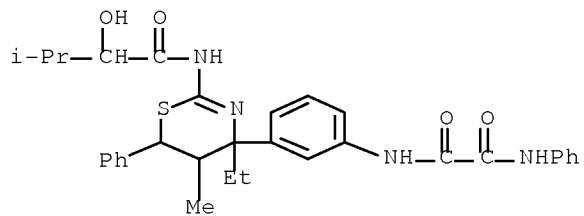
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CN INDEX NAME NOT YET ASSIGNED



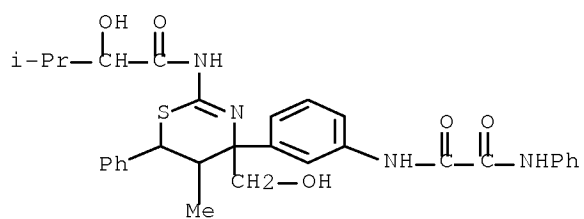
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CN INDEX NAME NOT YET ASSIGNED



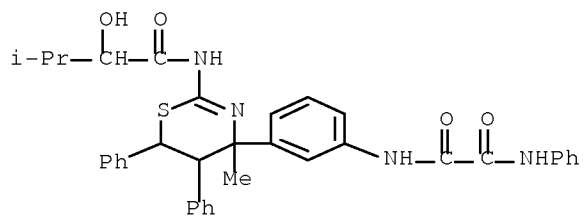
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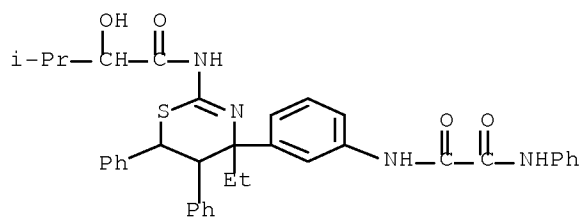
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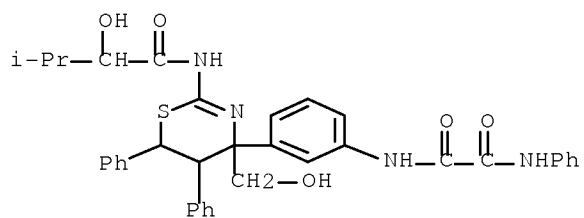
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CN INDEX NAME NOT YET ASSIGNED

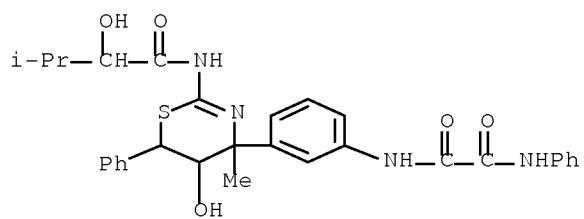


RN 1082769-17-2 CAPLUS

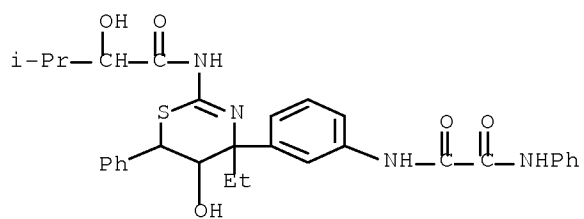
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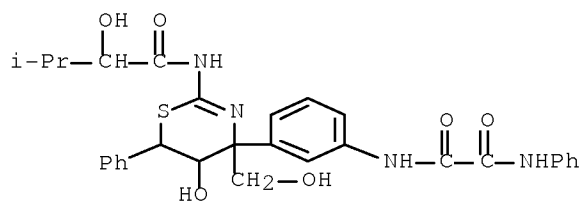
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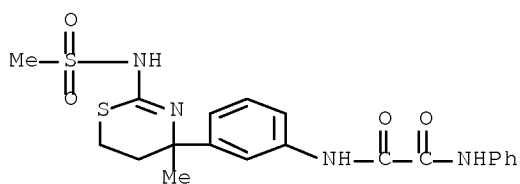
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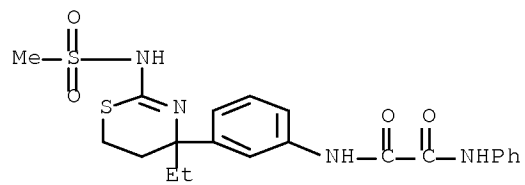
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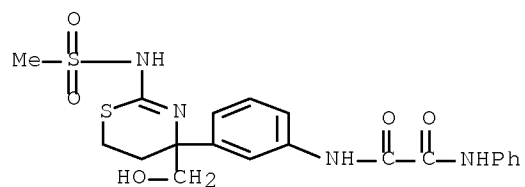
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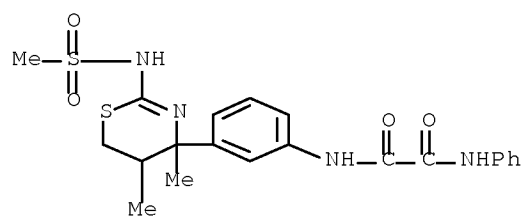
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CN INDEX NAME NOT YET ASSIGNED



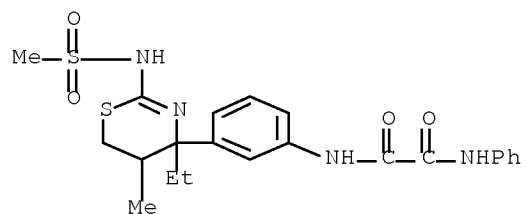
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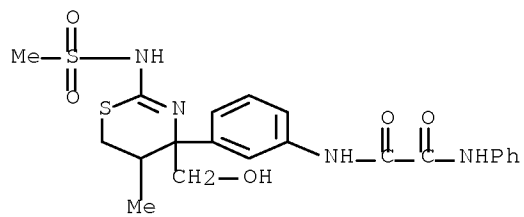
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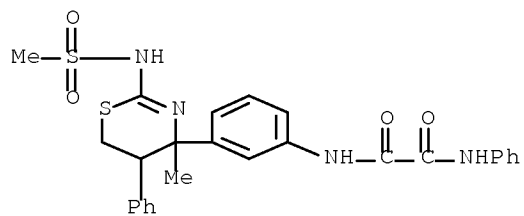
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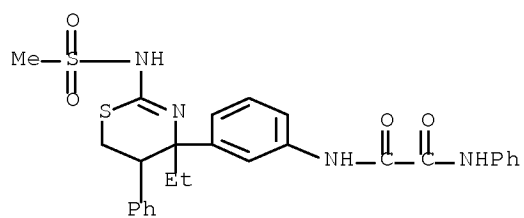
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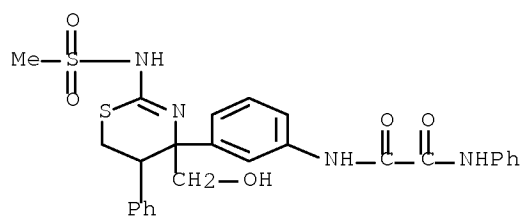
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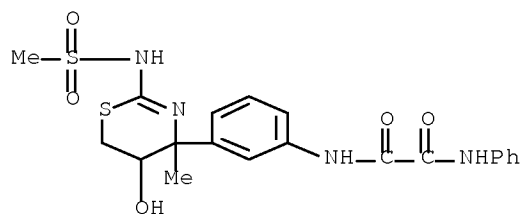
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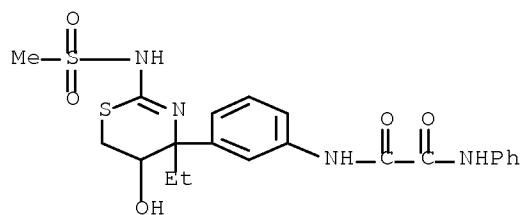
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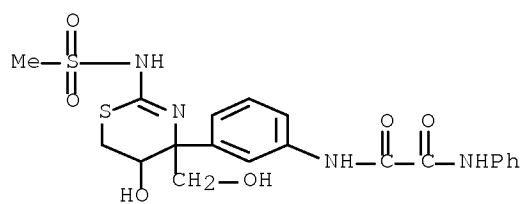
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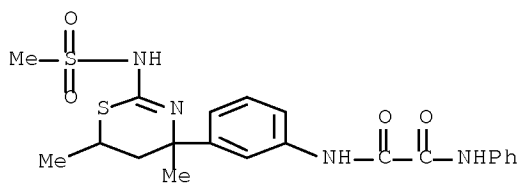
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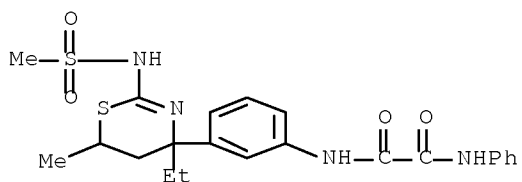
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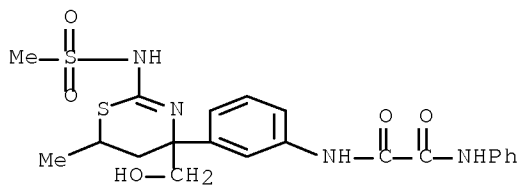
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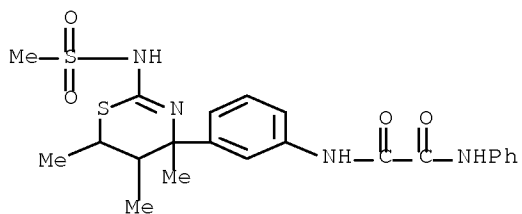
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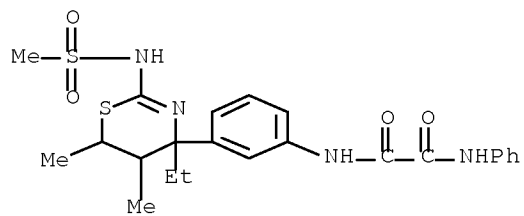
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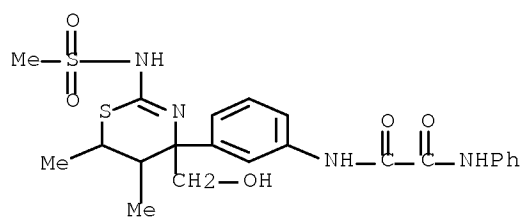
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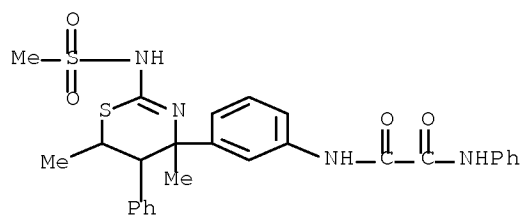
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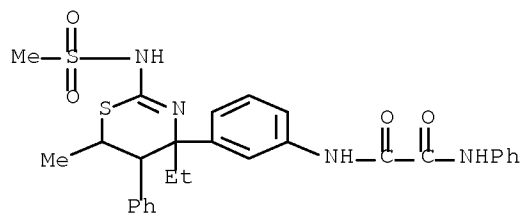
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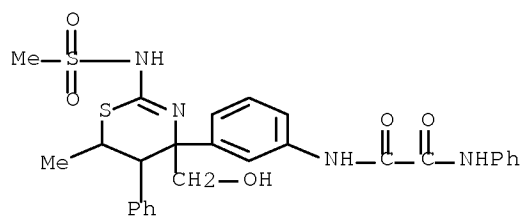
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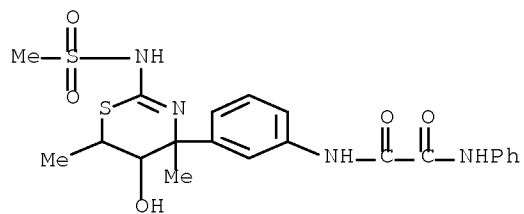


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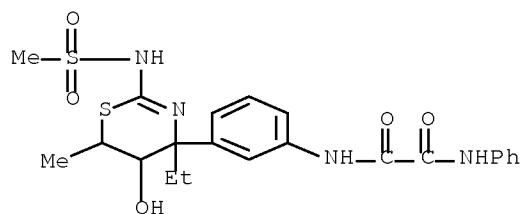
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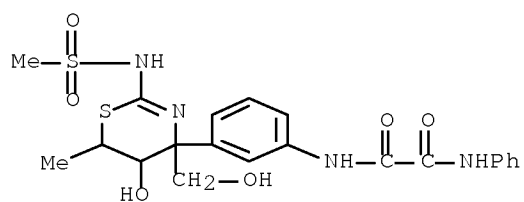
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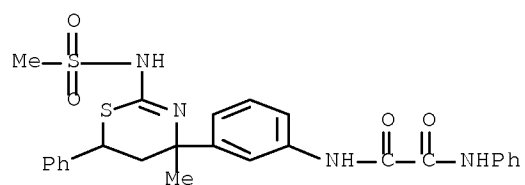


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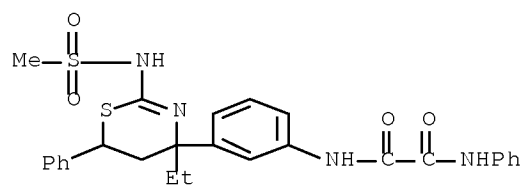
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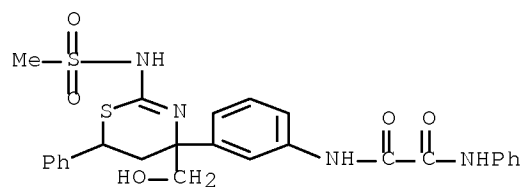
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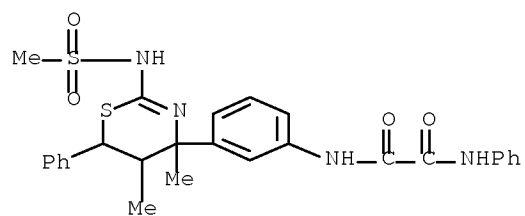
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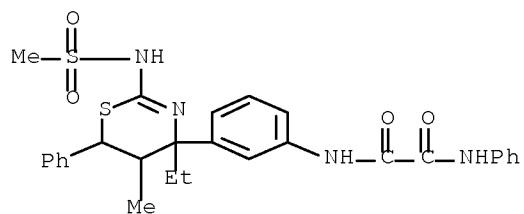
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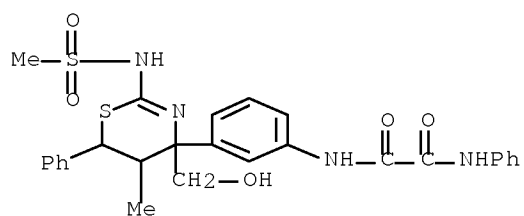
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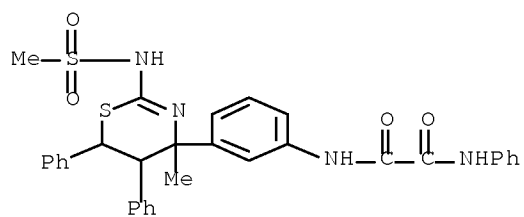
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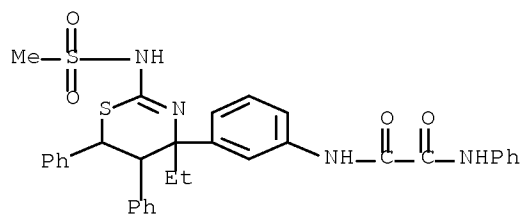
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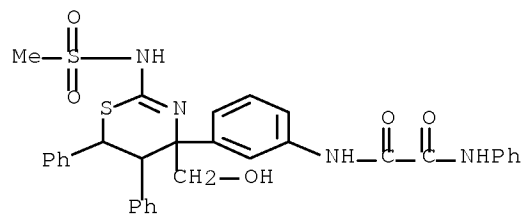
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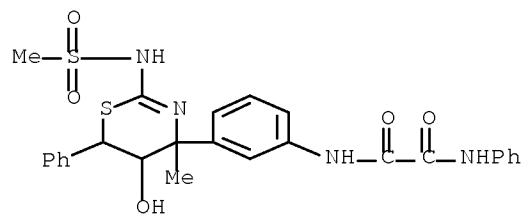
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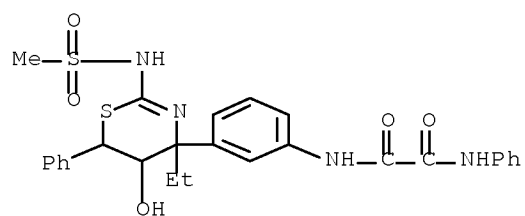
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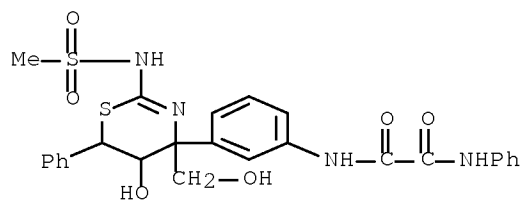
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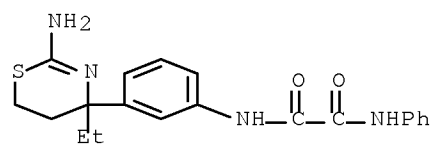
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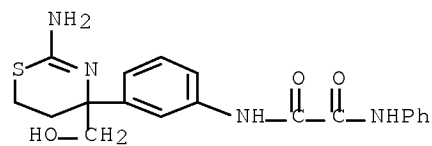
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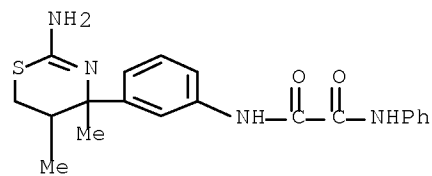
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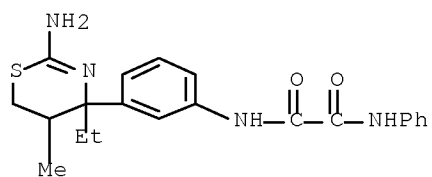
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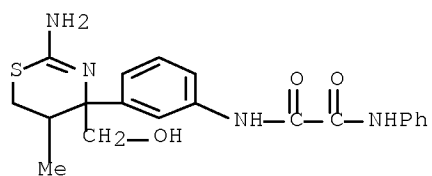
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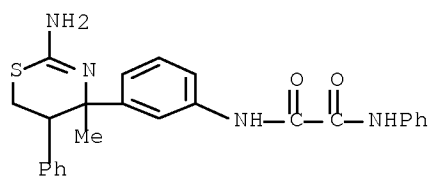
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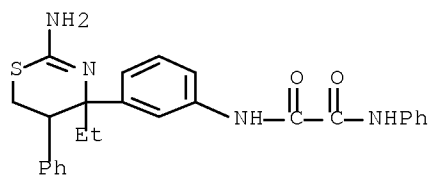
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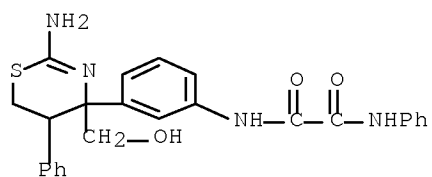
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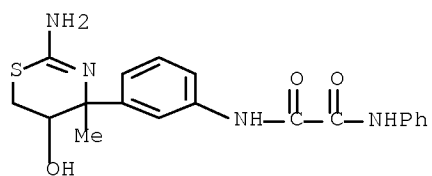
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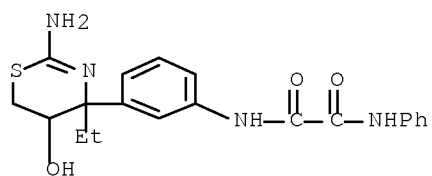
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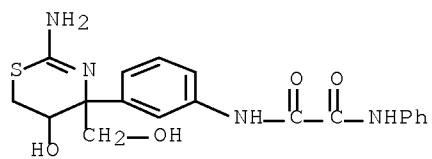
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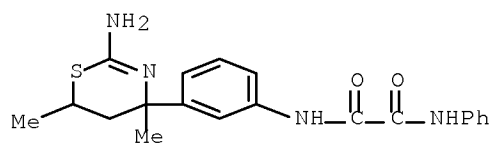
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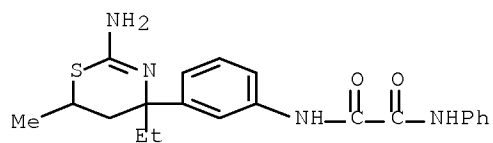
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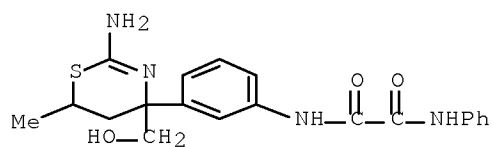
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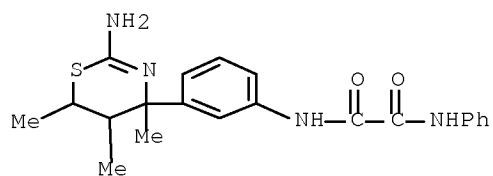
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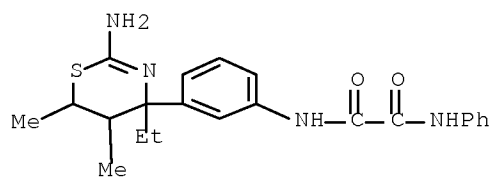


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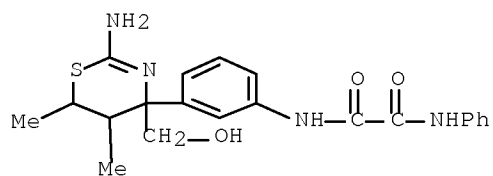


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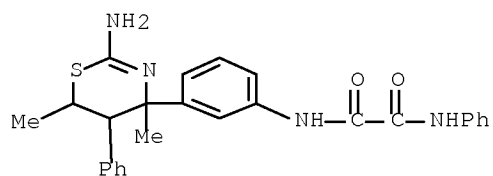




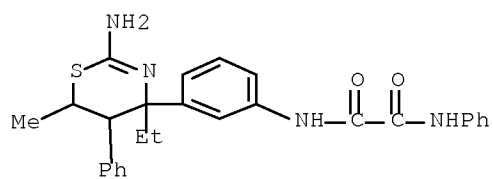
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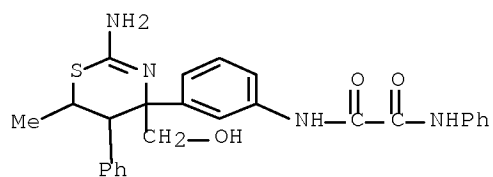
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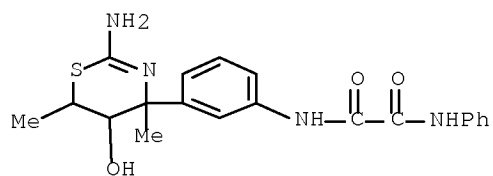
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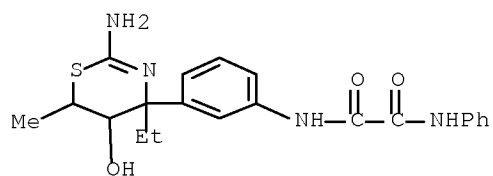
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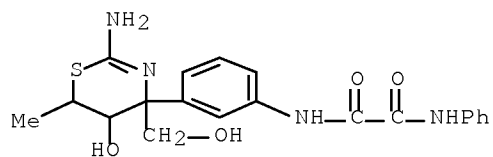
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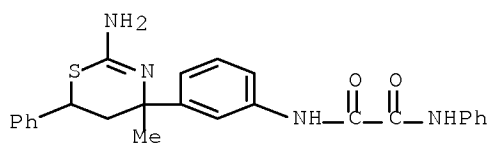
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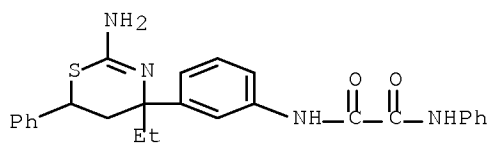
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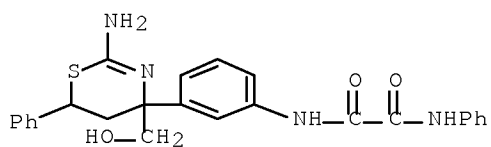
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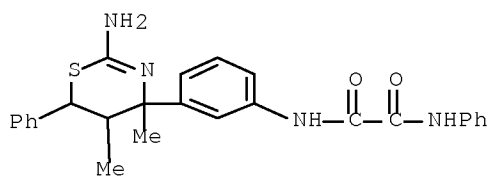
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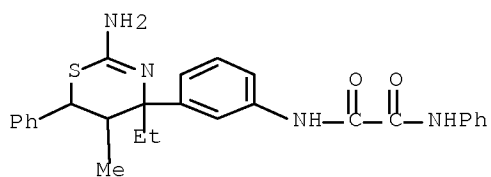
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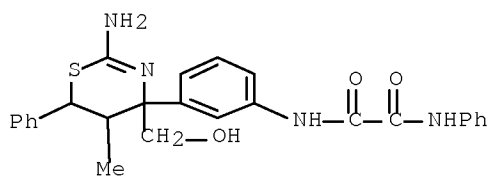
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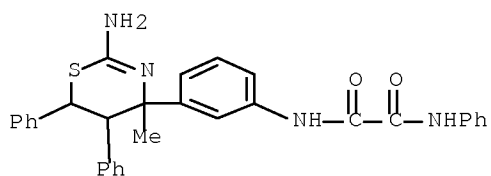
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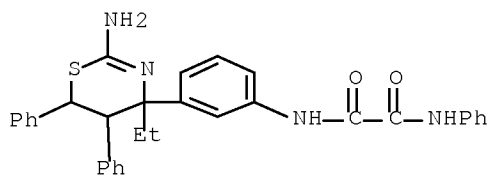
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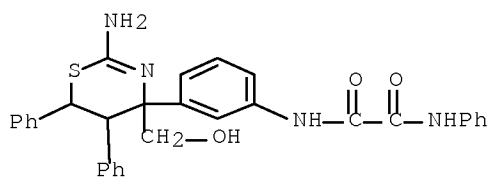
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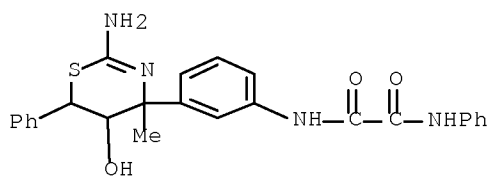
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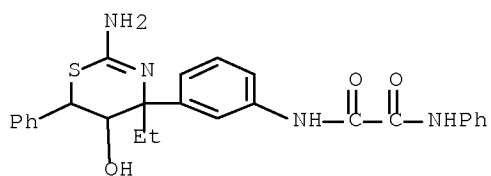
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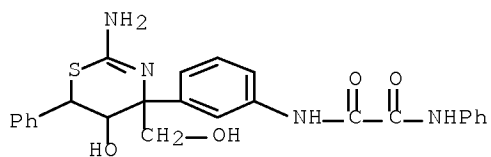
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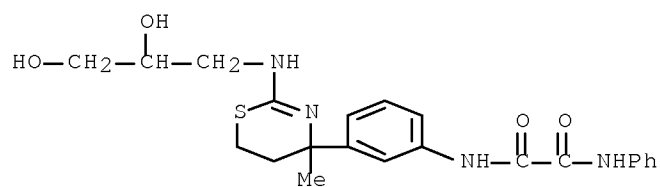
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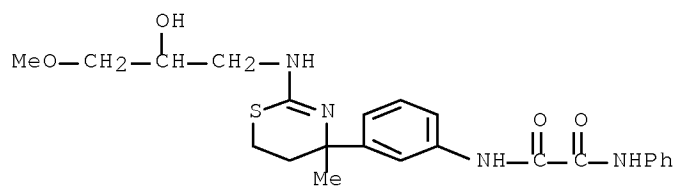
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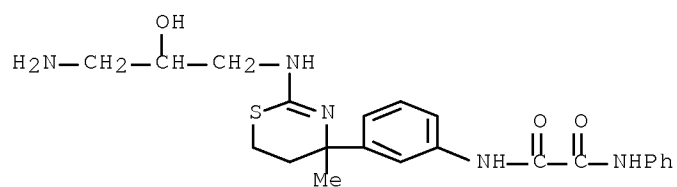
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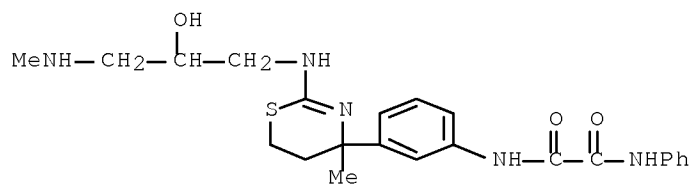
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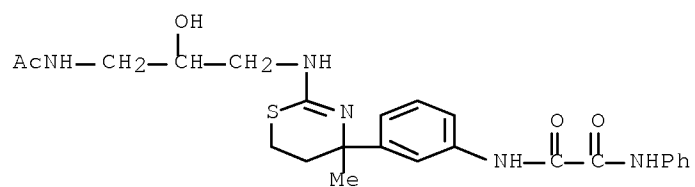
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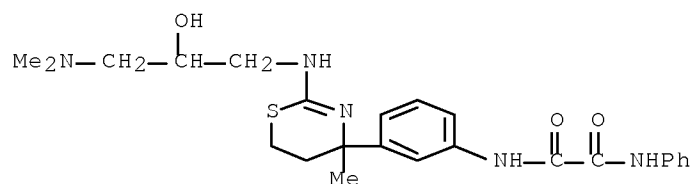
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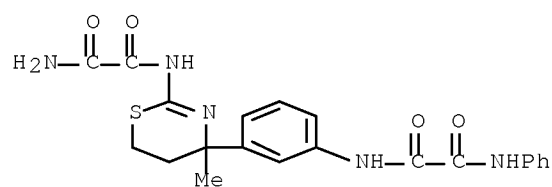
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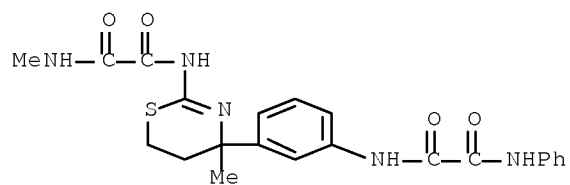
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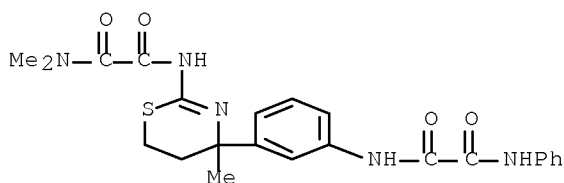
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CN INDEX NAME NOT YET ASSIGNED



RN 1082777-40-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1082777-52-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



L3 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2008:1451089 CAPLUS Full-text  
 DOCUMENT NUMBER: 150:15438  
 TITLE: Heterooligonuclear Group VIII metal complexes of  
 nitrogen polydentate aromatic heterocyclic ligands as  
 high-activity photocatalysts  
 INVENTOR(S): Auth, Matthias; Rau, Sven  
 PATENT ASSIGNEE(S): Friedrich-Schiller-Universitaet Jena, Germany  
 SOURCE: Ger. Offen., 22pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

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DE 102007025424	A1	20081204	DE 2007-102007025424	20070530
PRIORITY APPLN. INFO.:			DE 2007-102007025424	20070530

AB The title complexes [(M<sub>x</sub>LC)<sub>y</sub>M<sub>1</sub>z]<sub>n</sub>(A)<sub>m</sub> (1, M = chromophore moiety, LC = collector ligand, M<sub>1</sub> = catalytic moiety, A = anion, n, m, x, y, z = 1-3), designed as multi-electron transfer photocatalysts, preferably bi- and trinuclear platinum-group metal complexes [(L<sub>1</sub>)<sub>n</sub>M<sub>2</sub>]2(μ-L<sub>2</sub>)M<sub>3</sub>X<sub>2</sub>(L<sub>3</sub>)<sub>m</sub> [A]<sub>k</sub> [2, L<sub>1</sub>, L<sub>3</sub> = (un)substituted 2,2'-bipyridine, 1,10-phenanthroline and similar ligands; L<sub>2</sub> = tetra- or hexadentate bridging aromatic (poly)heterocyclic ligands; n = 2, m = 0, M<sub>2</sub> = Ru, M<sub>3</sub> = Pt, X = halo, A = PF<sub>6</sub>] were prepared by complexation of metal M<sub>2</sub> compound with an appropriate ligands L<sub>1</sub> and bridging precursors L<sub>4</sub>R (preferably, R = B(OH)<sub>2</sub>, halo), followed by coupling of the complexes [(L<sub>1</sub>)<sub>n</sub>M<sub>2</sub>(L<sub>4</sub>)] [A]<sub>1</sub> with bifunctional bridge unit L<sub>5</sub>X<sub>2</sub> [X = B(OH)<sub>2</sub>, halo; L<sub>4</sub>-L<sub>5</sub>-L<sub>4</sub> = L<sub>2</sub>]. In an example, complexation of [(tBu-bpy)<sub>2</sub>RuCl<sub>2</sub>] with 5-chloro-1,10-phenanthroline gave [(tBu-bpy)<sub>2</sub>Ru(5-Cl-phen)][PF<sub>6</sub>]<sub>2</sub>, which upon Suzuki coupling with 4,4'-diboron-2,2'-bipyridine in 2:1 mol. ratio gave the complex [(tBu-bpy)<sub>2</sub>Ru(μ-5-phen-4,4'-C<sub>5</sub>H<sub>4</sub>N-2,2'-C<sub>5</sub>H<sub>4</sub>N-5-phen)Ru(tBu-bpy)][PF<sub>6</sub>]<sub>4</sub> (1a). The complex 1a was reacted with K<sub>2</sub>PtCl<sub>4</sub>, affording [(tBu-bpy)<sub>2</sub>Ru(μ<sub>3</sub>-5-phen-4,4'-C<sub>5</sub>H<sub>4</sub>N-2,2'-C<sub>5</sub>H<sub>4</sub>N-5-phen)PtCl<sub>2</sub>Ru(tBu-bpy)] (1b), with platinum moiety complexed to the central bipyridine chelate site. The compds. serve for the use as high-activity photo catalysts.

IT 1087339-88-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of platinum-group metal heterooligonuclear complexes with  
 chelating and bridging 2,2'-bipyridine and 1,10-phenanthroline ligands  
 as multielectron transfer photocatalysts)

RN 1087339-88-5 CAPLUS

CN Ruthenium(4+), tetrakis[4,4'-bis(1,1-dimethylethyl)-2,2'-bipyridine-  
 κN1,κN1'] [μ-[N1,N2-bis[4-(1,10-phenanthrolin-5-yl-  
 κN1,κN10)phenyl]ethanediamide]]di-, hexafluorophosphate(1-)  
 (1:4) (CA INDEX NAME)



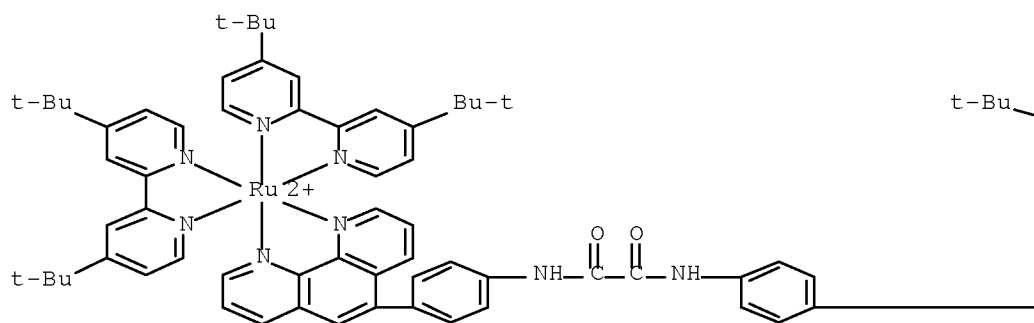
CM 1

CRN 1087339-87-4

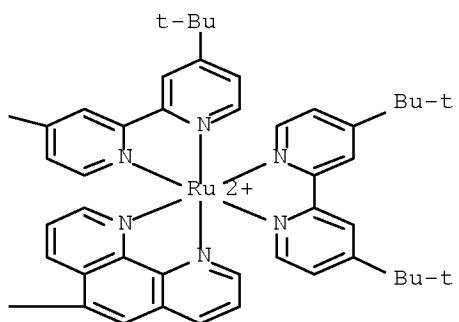
CMF C110 H120 N14 O2 Ru2

CCI CCS

PAGE 1-A



PAGE 1-B

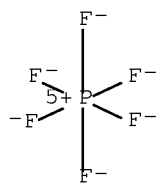


CM 2

CRN 16919-18-9

CMF F6 P

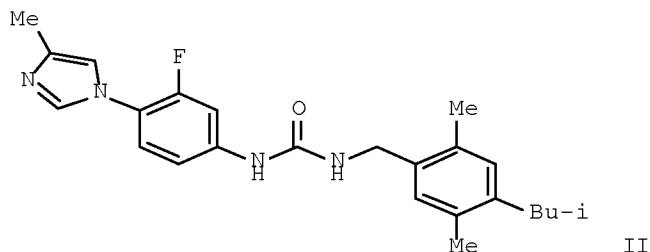
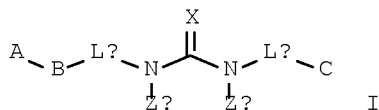
CCI CCS



L3 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2008:1359735 CAPLUS Full-text  
 DOCUMENT NUMBER: 149:556617  
 TITLE: Aryl ureas as modulators of amyloid beta and their  
 preparation and use in the treatment of  
 A $\beta$ -associated diseases  
 INVENTOR(S): Cheng, Soan; Comer, Daniel D.; Mao, Long; Pleyner,  
 David; Yu, Chengzhi  
 PATENT ASSIGNEE(S): TorreyPines Therapeutics, Inc., USA  
 SOURCE: PCT Int. Appl., 247pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008137102	A2	20081113	WO 2008-US5719	20080501
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2007-916246P P 20070504  
 OTHER SOURCE(S): MARPAT 149:556617  
 GI



AB The invention discloses, compds. of formula I that are useful for a variety of  
 therapeutic applications, e.g., for modulating amyloid-beta levels.

Accordingly, invention compds. find use in the treatment of a variety of diseases. Compns. and kits comprising invention compds. are also provided. In one aspect of the invention, there are provided compds. of formula I which have activity in modulating levels of amyloid-beta. As a result, such compds. are applicable for treating diseases associated with aberrant levels of A $\beta$  and/or any condition in which modulation of A $\beta$  levels provides a therapeutic effect. Compds. of formula I wherein A and B are independently (un)substituted (hetero)arylene; C is (un)substituted (hetero)aryl and (un)substituted fused benzocyclohexyl; X is O, S and N-CN; Za and Zb are independently H, (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; La is covalent bond, (un)substituted methylene, O, S, NH and derivs. SO, and SO<sub>2</sub>; Lb is covalent bond, C=C, C.tplbond.C, O, OCH<sub>2</sub>, NH and derivs. N=N, CO, CONH and derivs., etc.; and their pharmaceutically acceptable salts and prodrugs thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their beta-amyloid modulatory activity. From the assay, it was determined that compound II exhibited IC<sub>50</sub> value of < 200 nM.

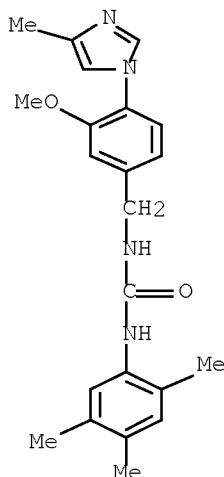
IT 1079169-90-6P 1079169-96-2P 1079169-98-4P  
1079170-01-6P 1079173-74-2P 1079173-75-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl ureas as  $\beta$ -amyloid modulators useful in the treatment of  $\beta$ -amyloid-associated diseases)

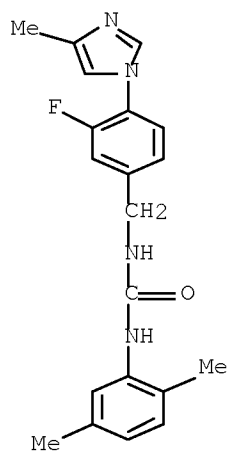
RN 1079169-90-6 CAPLUS

CN Urea, N-[[3-methoxy-4-(4-methyl-1H-imidazol-1-yl)phenyl]methyl]-N'-(2,4,5-trimethylphenyl)- (CA INDEX NAME)



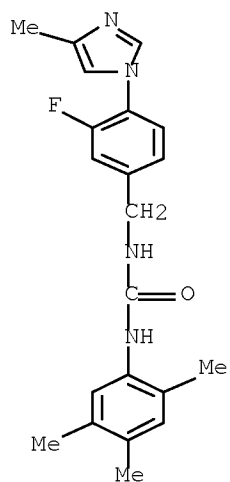
RN 1079169-96-2 CAPLUS

CN Urea, N-(2,5-dimethylphenyl)-N'-[[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



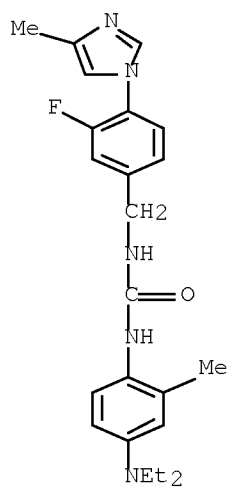
RN 1079169-98-4 CAPLUS

CN Urea, N-[[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]methyl]-N'-(2,4,5-trimethylphenyl)- (CA INDEX NAME)



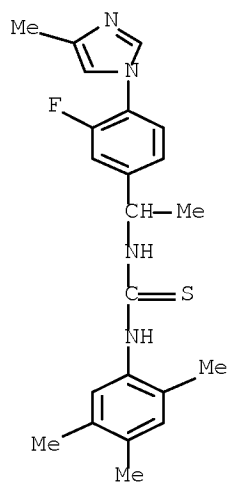
RN 1079170-01-6 CAPLUS

CN Urea, N-[4-(diethylamino)-2-methylphenyl]-N'-[[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]methyl]- (CA INDEX NAME)



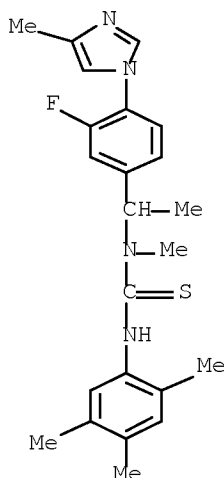
RN 1079173-74-2 CAPLUS

CN Thiourea, N-[1-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]ethyl]-N'-(2,4,5-trimethylphenyl)- (CA INDEX NAME)



RN 1079173-75-3 CAPLUS

CN Thiourea, N-[1-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]ethyl]-N-methyl-N'-(2,4,5-trimethylphenyl)- (CA INDEX NAME)



L3 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2008:1329944 CAPLUS Full-text

DOCUMENT NUMBER: 149:570067

TITLE: 1,3-Dialkyl-8-(hetero)aryl-9-OH-9-deazaxanthines as  
 potent A2B adenosine receptor antagonists: Design,  
 synthesis, structure-affinity and  
 structure-selectivity relationships

AUTHOR(S): Stefanachi, Angela; Nicolotti, Orazio; Leonetti,  
 Francesco; Cellamare, Saverio; Campagna, Francesco;  
 Loza, Maria Isabel; Brea, Jose Manuel; Mazza,  
 Fernando; Gavuzzo, Enrico; Carotti, Angelo

CORPORATE SOURCE: Dipartimento Farmaco-chimico, Universita degli Studi  
 di Bari, Bari, I-70125, Italy

SOURCE: Bioorganic & Medicinal Chemistry (2008), 16(22),  
 9780-9789

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A number of 1,3-dialkyl-8-(hetero)aryl-9-OH-9-deazaxanthines were prepared and  
 evaluated as ligands of recombinant human adenosine receptors (hARs). Several  
 1,3-di-Pr derivs. endowed with nanomolar binding affinity at hA2B receptors,  
 but poor selectivity over hA2A, hA1 and hA3 AR subtypes were identified. A  
 comparison with the corresponding 7-OH- and 7,9-unsubstituted-deazaxanthines  
 revealed that 9-OH-9-deazaxanthines are more potent hA2B ligands with lower  
 partition coeffs. and higher water solubility compared to the other two  
 congeneric classes of deazaxanthines. An optimization of the para-substituent  
 of the 8-Ph ring of 9-OH-9-deazaxanthines led to the discovery of compound 38,  
 which exhibited outstanding hA2B affinity ( $K_i = 1.0$  nM), good selectivity over  
 hA2A, hA1 and hA3 (selectivity indexes = 100, 79 and 1290, resp.) and  
 excellent antagonist potency in a functional assay on rat A2B ( $pA_{2B} = 9.33$ ).

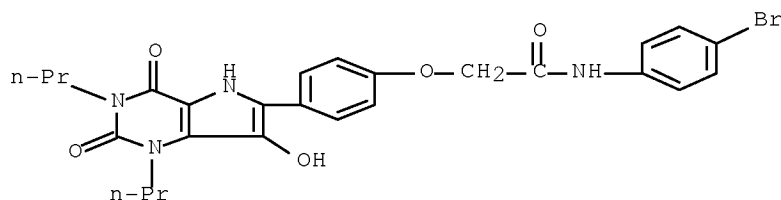
IT 1084328-44-8F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(dialkyl (hetero)aryl hydroxy deazaxanthines as A2B adenosine receptor  
 antagonists)

RN 1084328-44-8 CAPLUS

CN Acetamide, N-(4-bromophenyl)-2-[4-(2,3,4,5-tetrahydro-7-hydroxy-2,4-dioxo-1,3-dipropyl-1H-pyrrolo[3,2-d]pyrimidin-6-yl)phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2008:1221331 CAPLUS Full-text  
TITLE: Synthesis and antibacterial activity of  
4-benzoyl-1-(4-carboxyphenyl)-5-phenyl-1H-pyrazole-3-  
carboxylic acid and derivatives  
AUTHOR(S): Bildirici, Ishak; Sener, Ahmet; Atalan, Ekrem; Battal,  
Abdulhamit; Genc, Hasan  
CORPORATE SOURCE: Organic Chemistry Division, Chemistry Department,  
Faculty of Arts and Sciences, Yuzuncu Yil University,  
Van, 65080, Turk.  
SOURCE: Medicinal Chemistry Research No pp. yet given  
CODEN: MCREEB; ISSN: 1054-2523  
PUBLISHER: Birkhaeuser Boston  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

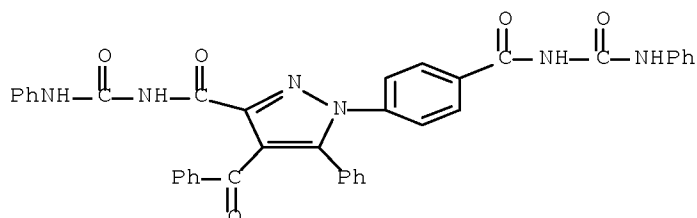
AB A new 1H-pyrazole-3-carboxylic acid I, along with hydrazono-pyridazinone II, a byproduct, and its derivs., e.g., diesters and diamides of I and pyrazolopyridazines III (R = H, Me, Ph, 4-carboxyphenyl), were synthesized and the structures confirmed by IR and 1H and 13C NMR data. These new compds. were evaluated for their antibacterial activities against Gram-pos. and Gram-neg. bacteria using the tube dilution method. The minimal inhibitory concentration expts. revealed that most compds. exerted inhibitor effects against Klebsiella pneumonia, Escherichia coli, Bacillus subtilis, and Xanthomonas compestris test microorganisms. Moreover, the results showed that III were the best compds. of the series, exhibiting antibacterial activity against both Gram-pos. and Gram-neg. bacteria.

IT 1083091-51-3F  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and antibacterial activity of  
4-benzoyl-1-(4-carboxyphenyl)-5-phenyl-1H-pyrazole-3-carboxylic acid  
and derivs.)

RN 1083091-51-3 CAPLUS

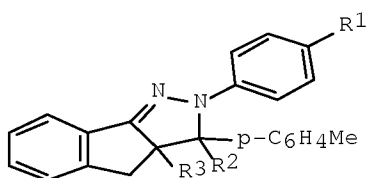
CN 1H-Pyrazole-3-carboxamide, 4-benzoyl-5-phenyl-N-[(phenylamino)carbonyl]-1-

[4-[[[(phenylamino)carbonyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2008:757237 CAPLUS Full-text  
TITLE: Synthesis and in vitro antitumor activity of some fused pyrazole and pyrazoline ring systems  
AUTHOR(S): Al-Saadi, Mohammed S. M.  
CORPORATE SOURCE: Division of Medicinal Chemistry, Faculty of Medicine, King Abdul-Aziz University, Jeddah, 21589, Saudi Arabia  
SOURCE: Saudi Pharmaceutical Journal (2008), 16(2), 135-145  
CODEN: SPJOEM; ISSN: 1319-0164  
PUBLISHER: Saudi Pharmaceutical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Fused-ring pyrazole and pyrazoline derivs. with biol.-active functional groups were prepared and selected by the NCI for in-vitro antitumor screening. Six compds. I (e.g., R1 = SO2NH2, R2R3 = bond; R1 = SO2NHCONHPh, R2 = R3 = H, etc.) successfully passed through the primary 3-cell line assay and were promoted for the full panel 60-cell line assay. These active compds. exhibited broad spectra of antitumor activity against most of the tested tumor cell lines. Compound I [R1 = SO2NHCONH(c-C6H11), R2R3 = bond] proved to be the most active antitumor agent in the present study with GI50, TGI and LC50 MG-MID values of 8.12, 25.7 and 69.2  $\mu$ M, resp., with high sensitivity towards some leukemia, melanoma and renal cell lines. The other five active compds. showed variable degrees of appreciable antitumor activity (GI50 and TGI MG-MID values range 14.1-19.5 and 38.0-53.7  $\mu$ M, resp.).

IT 1135219-50-9P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

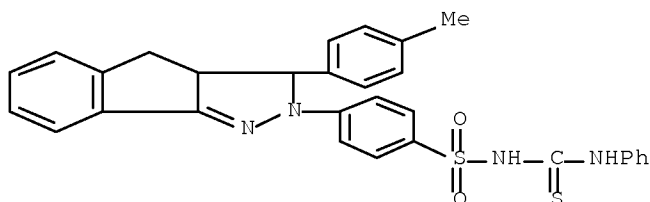


preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(preparation and antitumor activity of fused pyrazole and pyrazoline derivs.)

RN 1135219-50-9 CAPLUS

CN Benzenesulfonamide, 4-[3a,4-dihydro-3-(4-methylphenyl)indeno[1,2-c]pyrazol-2(3H)-yl]-N-[(phenylamino)thioxomethyl]- (CA INDEX NAME)



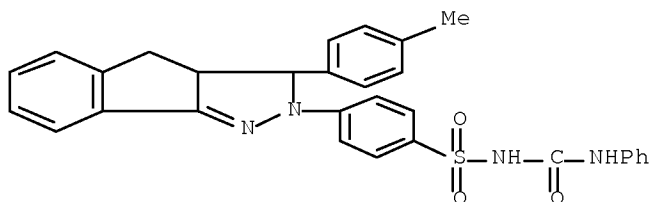
IT 1135219-35-0P 1135241-72-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antitumor activity of fused pyrazole and pyrazoline derivs.)

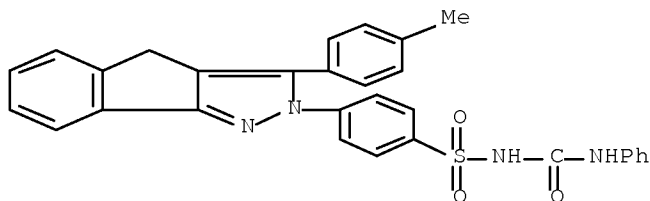
RN 1135219-35-0 CAPLUS

CN Benzenesulfonamide, 4-[3a,4-dihydro-3-(4-methylphenyl)indeno[1,2-c]pyrazol-2(3H)-yl]-N-[(phenylamino)carbonyl]- (CA INDEX NAME)



RN 1135241-72-3 CAPLUS

CN Benzenesulfonamide, 4-[3-(4-methylphenyl)indeno[1,2-c]pyrazol-2(4H)-yl]-N-[(phenylamino)carbonyl]- (CA INDEX NAME)



REFERENCE COUNT:

20

THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS

L3 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:577925 CAPLUS Full-text

DOCUMENT NUMBER: 150:121028

TITLE: Synthesis, crystal structure and configuration of resorcinarene amides

AUTHOR(S): Han, Jun; Yan, Chao-Guo

CORPORATE SOURCE: College of Chemistry and Chemical Engineering, Yangzhou University, Yangzhou, 225002, Peop. Rep. China

SOURCE: Journal of Inclusion Phenomena and Macrocyclic Chemistry (2008), 61(1-2), 119-126  
CODEN: JIPCF5; ISSN: 1388-3127

PUBLISHER: Springer

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Multi-functional carbamoyloxyl groups were introduced on the outer periphery of tetraaryl and tetraferrocenyl resorcinarenes by two practical synthetic procedures. The first one is direct alkylation of phenolic hydroxyl groups of resorcinarenes with N,N-dialkyl- $\alpha$ -chloroacetamide in the system of K<sub>2</sub>CO<sub>3</sub>/KI/acetone. The second one is aminolysis of ester derivs. of resorcinarenes with excess amine such as dimethylamine and butylamine. Determined by the single crystal structures these resorcinarene amides usually show rctt (cis-trans-trans) and rccc (all-cis) configuration. The electrochem. properties of ferrocenyl resorcinarenes amides were also studied by cyclic voltammetry.

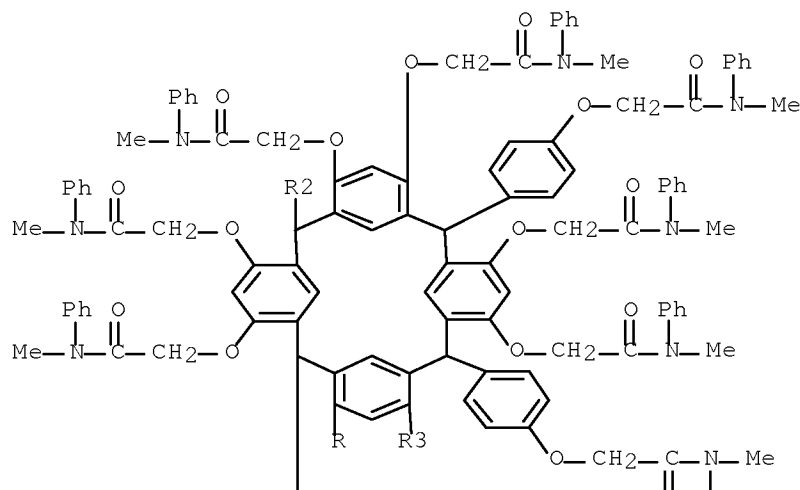
IT 1100052-99-0P

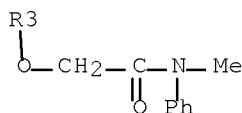
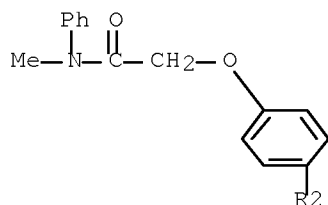
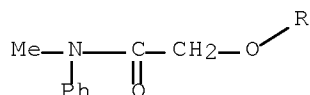
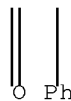
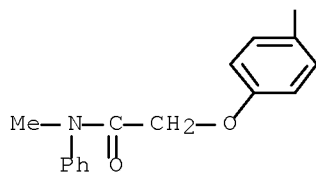
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(crystal structure; synthesis, crystal structure and configuration of resorcinarene amides)

RN 1100052-99-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

PAGE 1-A





REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2007:1366359 CAPLUS Full-text  
 DOCUMENT NUMBER: 149:545397  
 TITLE: 2-(2-{3-[4-(Dimethylamino)phenyl]-1,2,4-oxadiazol-5-yl}phenoxy)-N-(2,6-dimethylphenyl)acetamide  
 AUTHOR(S): Zeng, Hai-Su; Wang, Hai-Bo; Kang, Si-Shun; Li, Hai-Lin  
 CORPORATE SOURCE: College of Science, Nanjing University of Technology, Nanjing, 210009, Peop. Rep. China  
 SOURCE: Acta Crystallographica, Section E: Structure Reports Online (2007), E63(12), o4745, o4745/1-o4745/8  
 CODEN: ACSEBH; ISSN: 1600-5368  
 URL: <http://journals.iucr.org/e/issues/2007/12/00/hb2606/hb2606.pdf>  
 PUBLISHER: Blackwell Publishing Ltd.  
 DOCUMENT TYPE: Journal; (online computer file)  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 149:545397

AB In 2-(2-{3-[4-(dimethylamino)phenyl]-1,2,4-oxadiazol-5-yl}phenoxy)-N-(2,6-dimethylphenyl)acetamide, C<sub>26</sub>H<sub>26</sub>N<sub>4</sub>O<sub>3</sub>, a bifurcated intramol. N-H...O(N) H bond helps to establish the mol. conformation. The dihedral angles between

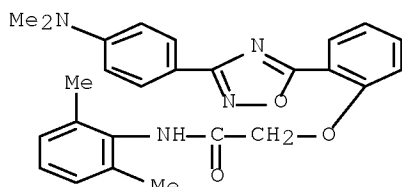
the oxadiazole ring and the adjacent benzene rings are 14.10(19) and 17.90(18)° for the central benzene ring and the (dimethylamino)phenyl ring, resp. Crystallog. data are given.

IT 1078712-79-4P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation and crystal and mol. structure of)

RN 1078712-79-4 CAPLUS

CN Acetamide, 2-[2-[3-[4-(dimethylamino)phenyl]-1,2,4-oxadiazol-5-yl]phenoxy]-  
N-(2,6-dimethylphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:555466 CAPLUS Full-text

DOCUMENT NUMBER: 137:125096

TITLE: Preparation of phenyl derivatives containing  
inhibitors of coagulation factor for prophylaxis  
and/or therapy of thromboembolic disorders

INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Tsaklakidis,  
Christos; Cezanne, Bertram; Gleitz, Johannes; Barnes,  
Christopher

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002057236	A1	20020725	WO 2001-EP14296	20011205
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10102322	A1	20020725	DE 2001-10102322	20010119
CA 2434937	A1	20020725	CA 2001-2434937	20011205
AU 2002227993	A1	20020730	AU 2002-227993	20011205
AU 2002227993	B2	20070809		
EP 1351938	A1	20031015	EP 2001-989580	20011205

EP 1351938 B1 20070411  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
BR 2001016804 A 20040217 BR 2001-16804 20011205  
CN 1518541 A 20040804 CN 2001-823061 20011205  
JP 2004535362 T 20041125 JP 2002-557917 20011205  
JP 4180375 B2 20081112  
HU 2005000110 A2 20050628 HU 2005-110 20011205  
AT 359271 T 20070515 AT 2001-989580 20011205  
ES 2284718 T3 20071116 ES 2001-989580 20011205  
MX 2003006483 A 20030922 MX 2003-6483 20030718  
IN 2003KN01033 A 20060602 IN 2003-KN1033 20030813  
ZA 2003006419 A 20041118 ZA 2003-6419 20030818  
US 20040087582 A1 20040506 US 2003-466680 20031218  
US 7273867 B2 20070925

PRIORITY APPLN. INFO.:

DE 2001-10102322 A 20010119  
WO 2001-EP14296 W 20011205

OTHER SOURCE(S): MARPAT 137:125096

AB Novel compds. of the formula R1R2C6H3-W-X-Y-T in which W, X, Y, T, R1 and R2 are as defined in Patent Claim 1, are inhibitors of coagulation factor Xa and can be employed for the prophylaxis and/or therapy of thromboembolic disorders. Thus, 3-(5-methyl-1,2,4-oxadiazol-3-yl)phenol wa reacted with Et 2-bromovalerate, sodium hydroxide, thionyl chloride, 4-morpholin-4-ylaniline, followed a hydrogenation in acetic acid to give 2-(3-amidinophenoxy)-N-(4-morpholin-4-ylphenyl)valeramide acetate, showing IC50=3x10<sup>-7</sup> M and IC50=4.9x10<sup>-7</sup> M.

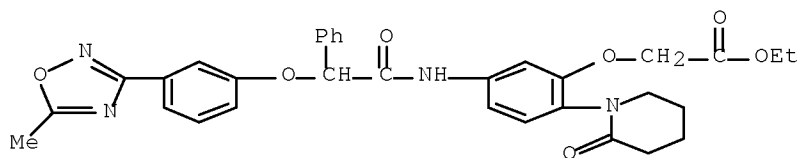
IT 1101046-62-1 1101046-64-3

RL: PRPH (Prophetic)

(Preparation of phenyl derivatives containing inhibitors of coagulation factor for prophylaxis and/or therapy of thromboembolic disorders)

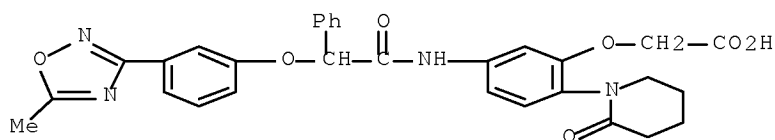
RN 1101046-62-1 CAPLUS

CN Acetic acid, 2-[5-[[2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-2-phenylacetyl]amino]-2-(2-oxo-1-piperidiny]phenoxy]-, ethyl ester (CA INDEX NAME)



RN 1101046-64-3 CAPLUS

CN Acetic acid, 2-[5-[[2-[3-(5-methyl-1,2,4-oxadiazol-3-yl)phenoxy]-2-phenylacetyl]amino]-2-(2-oxo-1-piperidiny]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

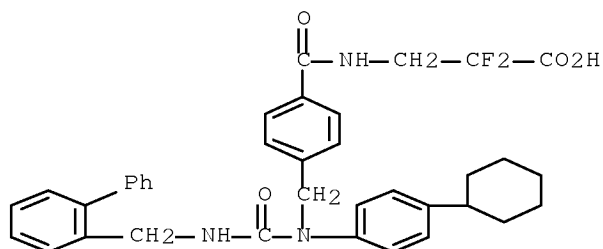
L3 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:391685 CAPLUS Full-text  
 DOCUMENT NUMBER: 136:385945  
 TITLE: Preparation of  
 ureidomethylbenzoylaminodifluoropropionates as  
 glucagon antagonists/inverse agonists.  
 INVENTOR(S): Jorgensen, Anker Steen; Madsen, Peter  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.  
 SOURCE: PCT Int. Appl., 85 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040446	A1	20020523	WO 2001-DK760	20011115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002023502	A	20020527	AU 2002-23502	20011115
EP 1345891	A1	20030924	EP 2001-996529	20011115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513936	T	20040513	JP 2002-542774	20011115
US 20030027849	A1	20030206	US 2001-995987	20011116
US 6821960	B2	20041123		
US 20050059611	A1	20050317	US 2004-963289	20041012
PRIORITY APPLN. INFO.:				
			DK 2000-1733	A 20001117
			US 2000-252322P	P 20001120
			WO 2001-DK760	W 20011115
			US 2001-995987	A3 20011116

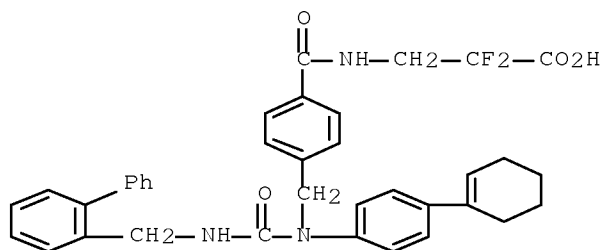
OTHER SOURCE(S): MARPAT 136:385945

AB HO<sub>2</sub>CCF<sub>2</sub>CH<sub>2</sub>NHCOZCHR<sub>2</sub>N(E)XD [R<sub>2</sub> = H, alkyl; Z = (substituted) arylene, heteroarylene; X = (CH<sub>2</sub>)<sub>q</sub>(CR<sub>12</sub>R<sub>13</sub>)<sub>r</sub>(CH<sub>2</sub>)<sub>s</sub>, CO(CR<sub>12</sub>R<sub>13</sub>)<sub>r</sub>(CH<sub>2</sub>)<sub>s</sub>, NR<sub>11</sub>CO(CR<sub>12</sub>R<sub>13</sub>)<sub>r</sub>(CH<sub>2</sub>)<sub>s</sub>, etc.; r = 0, 1; s = 0-3; R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub> = H, alkyl; D = (substituted) Ph, naphthyl, pyridyl, indenyl, benzothienyl, thienyl, furyl, benzofuryl, etc.; E = (substituted) cyclohexyl, Ph, PhCH<sub>2</sub>, PhCH<sub>2</sub>CH<sub>2</sub>, indanyl, benzhydryl, etc.], were prepared Thus, Me 4-[(4-cyclohex-1-enylphenylamino)methyl]benzoate (preparation given) in CH<sub>2</sub>Cl<sub>2</sub> containing diisopropylethylamine was treated with 3,5-dichlorophenyl isocyanate to give a residue which was saponified with LiOH. The resulting acid in DMF was treated with 3-[(dimethyliminium)(dimethylamino)methyl]-1,2,3-benzotriazol-1-ium-1-olate hexafluorophosphate, diisopropylethylamine, Me 3-amino-2,2-difluoropropionate hydrochloride to give the uncharacterized amide ester, which was saponified with aqueous LiOH in THF/MeOH to give 3-[4-[1-(4-cyclohex-1-enylphenyl)-3-(3,5-dichlorophenyl)ureidomethyl]benzoylamino]-2,2-difluoropropionic acid. In a human glucagon receptor binding assay, title compds. showed IC<sub>50</sub><1000 nM.

IT 1102410-84-3 1102412-17-8  
 RL: PRPH (Prophetic)  
 (Preparation of ureidomethylbenzoylaminodifluoropropionates as glucagon  
 antagonists/inverse agonists.)  
 RN 1102410-84-3 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



RN 1102412-17-8 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED

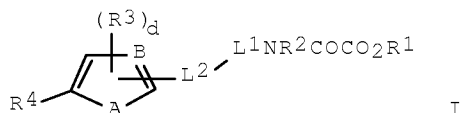


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:221217 CAPLUS [Full-text](#)  
 DOCUMENT NUMBER: 136:247349  
 TITLE: Preparation of amino(oxo)acetic acid derivatives as  
 protein tyrosine phosphatase inhibitors  
 INVENTOR(S): Liu, Gang; Li, Yihong; Janowick, David A.; Pei,  
 Zhonghua  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 28 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020035136	A1	20020321	US 2001-934765	20010822
US 6627767	B2	20030930		
PRIORITY APPLN. INFO.:			US 2000-228656P	P 20000829

OTHER SOURCE(S): MARPAT 136:247349  
GI



AB The title compds. I [A = NH, O, S, N:CH, CH:CH; B = N, CH; d = 0-2; L1 = bond, O; L2 = CHR6, CH2CHR6; R1 = H, carboxy protecting group; R2 = H, aminoalkyl, alkyl, cycloalkyl, etc.; R3 = H, alkoxy, alkoxyalkenyl, carboxy, etc.; R4 = H, alkoxy, aryl, heteroaryl, etc.], protein tyrosine kinase PTP1B inhibitors, were prepared. E.g., N-benzyl-2-hydroxy-N-((4,1'-binaphth-1-yl)methyl)amino(oxo)acetic acid was prepared I may be used for treatment of type II diabetes or obesity.

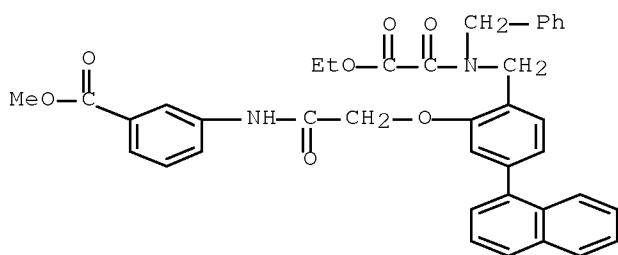
IT 1098592-11-0

RL: PRPH (Prophetic)

(Preparation of amino(oxo)acetic acid derivatives as protein tyrosine phosphatase inhibitors)

RN 1098592-11-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



L3 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2002:171839 CAPLUS [Full-text](#)  
DOCUMENT NUMBER: 136:232060  
TITLE: Preparation of amino(oxo)acetic acid protein tyrosine phosphatase inhibitors  
INVENTOR(S): Liu, Gang; Li, Yihong; Janowick, David A.; Pei, Zhonghua  
PATENT ASSIGNEE(S): Abbott Laboratories, USA  
SOURCE: PCT Int. Appl., 61 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018321	A2	20020307	WO 2001-US26133	20010821



WO 2002018321

A3

20030410

W: CA, JP, MX

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,  
PT, SE, TR

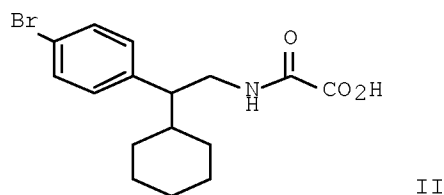
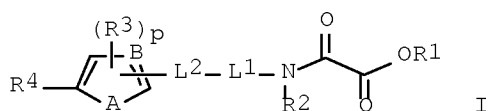
PRIORITY APPLN. INFO.:

US 2000-650923

A 20000829

OTHER SOURCE(S): MARPAT 136:232060

GI



AB Title compds. I [A = N(H), O, S, N=C(H), C(H)=C(H), etc.; B = N, C(H); with the proviso that when A is N=C(H) or C(H)=C(H), B is C(H); p = 0-2; L<sup>1</sup> = bond, O; L<sup>2</sup> = CHR<sub>6</sub>, CH<sub>2</sub>CHR<sub>6</sub>; R<sub>1</sub> = H, carboxy protecting group; R<sub>2</sub> = H, aminoalkyl, cycloalkyl(alkyl), cycloalkenyl(alkyl), (hetero)aryl, heterocycle, etc.; R<sub>3</sub> = H, alkoxy, alkoxyalk(en)yl, alkoxyalkoxy, alkoxyacarbonyl, alkoxyacarbonylalkyl, alkoxyacarbonylalkenyl, alkoxyacarbonylalkoxy, aryl, arylalkyl, arylalkenyl, arylalkoxy, carboxamido, carboxamidoalkyl, etc.; R<sub>4</sub> = H, alkoxy, loweralkoxy, alkoxyacarbonylalkyl, alkoxyacarbonylalkenyl, aryl, arylalkyl, arylalkoxy, arylthioxyalkyl, carboxamidoalkenyl, carboxamidoalkyl, carboxyalkyl, carboxylalkenyl, heteroaryl, etc.; with the proviso that at when R<sub>4</sub> = H, at least one of R<sub>3</sub> is other than H; R<sub>6</sub> = H, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, etc.] were prepared Over 70 synthetic examples were provided. For instance, 4-bromophenylacetonitrile was alkylated with cyclohexyl bromide (DMF/benzene, NaH, 0°C) to give (4-bromophenyl)(cyclohexyl)acetonitrile which was subsequently reduced to the amine (PhMe, DIBAL-H → BH<sub>3</sub>•THF), the amine acylated with Et oxalyl chloride (CH<sub>2</sub>Cl<sub>2</sub>, 0°C) and saponified to give II. Example compds. were found to inhibit protein tyrosine phosphatase PTP1B with inhibitory potencies in a range of about of about 3 μM to about 100 μM. I are used for the treatment of type II diabetes and obesity.

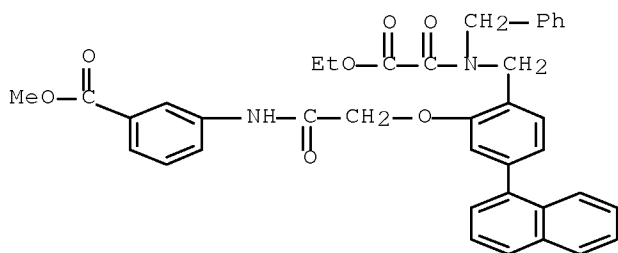
IT 1098592-11-0

RL: PRPH (Prophetic)

(Preparation of amino(oxo)acetic acid protein tyrosine phosphatase inhibitors)

RN 1098592-11-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:72061 CAPLUS Full-text

DOCUMENT NUMBER: 136:118465

TITLE: Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors

INVENTOR(S): Gardelli, Cristina; Giuliano, Claudio; Harper, Steven; Koch, Uwe; Narjes, Frank; Ontoria Ontoria, Jesus Maria; Poma, Marco; Ponzi, Simona; Stansfield, Ian; Summa, Vincenzo

PATENT ASSIGNEE(S): Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A., Italy

SOURCE: PCT Int. Appl., 162 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006246	A1	20020124	WO 2001-EP7955	20010711
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2418288	A1	20020124	CA 2001-2418288	20010711
EP 1309566	A1	20030514	EP 2001-951664	20010711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504304	T	20040212	JP 2002-512150	20010711
AU 2001272530	B2	20060803	AU 2001-272530	20010711
US 20040106627	A1	20040603	US 2003-333431	20030709
US 7091209	B2	20060815		

PRIORITY APPLN. INFO.: GB 2000-17676 A 20000719

WO 2001-EP7955 W 20010711

OTHER SOURCE(S): MARPAT 136:118465

AB RR1 (R1 = 4-carboxy-5,6-dihydroxy-2-pyrimidinyl)[I; R = (un)substituted (hetero)aryl] were prepared Thus, 2-(O2N)C6H4C(:NOH)NH2 (preparation given) N-was alkenylated by MeO2CC.tplbond.CC02Me and the product cyclized to give,

after reduction, N-acylation, and saponification, I [R = 2-(2-ClC6H4CH2NHCONH)C6H4]. Data for biol. activity of I were given.

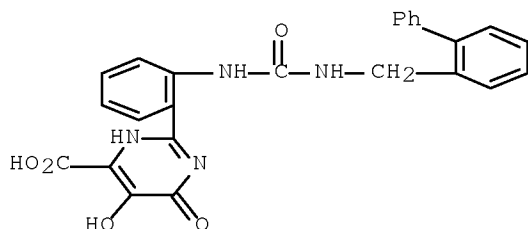
IT 1102364-00-0

RL: PRPH (Prophetic)

(Preparation of 2-aryldihydroxypyrimidine-4-carboxylic acids as hepatitis C viral polymerase inhibitors)

RN 1102364-00-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:10432 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 136:85669

TITLE: Preparation of (e.g.) N-alkylaryl-N'-aryl ureas as glucagon antagonists/inverse agonists

INVENTOR(S): Jorgensen, Anker Steen; Christensen, Inge Thoger; Kodra, Janos Tibor; Madsen, Peter; Behrens, Carsten; Sams, Christian; Lau, Jesper

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 201 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

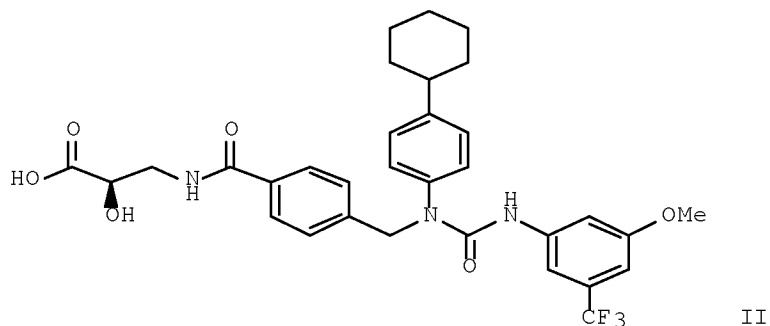
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000612	A1	20020103	WO 2001-DK435	20010621
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2411552	A1	20020103	CA 2001-2411552	20010621
BR 2001011908	A	20030401	BR 2001-11908	20010621
EP 1296942	A1	20030402	EP 2001-943189	20010621
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
HU 2003001501	A2	20030828	HU 2003-1501	20010621

JP 2004501897	T	20040122	JP 2002-505360	20010621
US 20020143186	A1	20021003	US 2001-888137	20010622
US 6562807	B2	20030513		
ZA 2002009713	A	20030911	ZA 2002-9713	20021129
MX 2002012273	A	20030425	MX 2002-12273	20021211
NO 2002006149	A	20030221	NO 2002-6149	20021220
IN 2002CN02119	A	20050225	IN 2002-CN2119	20021220
US 20040024045	A1	20040205	US 2003-372536	20030224
US 6953812	B2	20051011		
PRIORITY APPLN. INFO.:			DK 2000-984	A 20000623
			DK 2000-1734	A 20001117
			US 2000-215059P	P 20000629
			US 2000-252320P	P 20001120
			WO 2001-DK435	W 20010621
			US 2001-888137	A1 20010622
OTHER SOURCE(S):			MARPAT 136:85669	
GI				



AB Title compds. R1OC(O)-A-CR2R3-N(R4)-C(O)-Z-CHR5-N(E)-X-D [R1-5 = H, alkyl; A = C(O), CH-alkoxy, CHF; Z = (un)substituted arylene or a divalent radical derived from a 5 or 6 membered heteroarom. ring containing 1 or 2 heteroatoms selected from N, O and S; X = alkyl, acyl, amido, etc.; D = (un)substituted Ph, naphthyl, pyridyl, benzothiophenyl, etc.; E = (un)substituted cyclohexyl, Ph, benzyl, phenethyl, etc.; I] were prepared Examples include data for 73 compds., two glucagon receptor binding assays and a glucose-dependent insulinotropic peptide (GIP) receptor binding assay. E.g., 4-cyclohexylaniline was reductively alkylated with 4-formyl benzoic acid Me ester (MeOH, HOAc, NaCNBH<sub>3</sub>) in 87% yield. The amine was added to an isocyanate derived from 5-methoxy-3-trifluoromethylaniline (preparation given; CH<sub>2</sub>Cl<sub>2</sub>, room temperature) to give a urea as an oil that was saponified (EtOH, NaOH, room temperature, 16 h) to give the solid carboxylic acid in 49% yield. The carboxylic acid was coupled to (R)-isoserine Et ester (DMF, HOBt, EDAC) followed by hydrolysis to give example compound II as a crystalline solid. In a glucagon receptor binding assay, compds. of the invention had IC<sub>50</sub> < 1500 nM and many were below 250 nM. I are useful in the treatment or prevention of any diseases wherein a glucagon antagonistic action is beneficial, such as hyperglycemia, type 1 diabetes, type 2 diabetes, disorders of lipid metabolism and obesity.

IT 1098966-88-1 1098967-53-3 1098968-10-5  
1098968-11-6 1098968-12-7 1098968-20-7  
1098968-70-7 1098968-71-8 1098968-72-9  
1098969-14-2 1098969-15-3 1098969-16-4

1098969-18-6 1098969-19-7 1098969-20-0  
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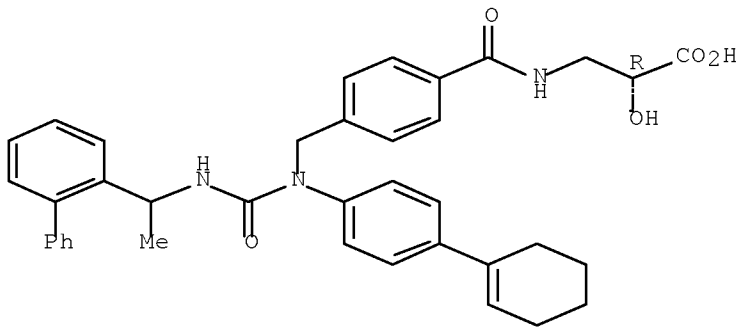
RL: PRPH (Prophetic)

(Preparation of (e.g.) N-alkylaryl-N-aryl-N'-aryl ureas as glucagon antagonists/inverse agonists)

RN 1098966-88-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

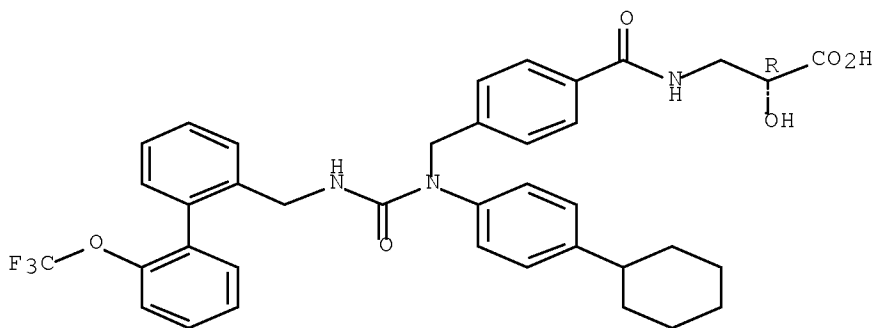
Absolute stereochemistry.



RN 1098967-53-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

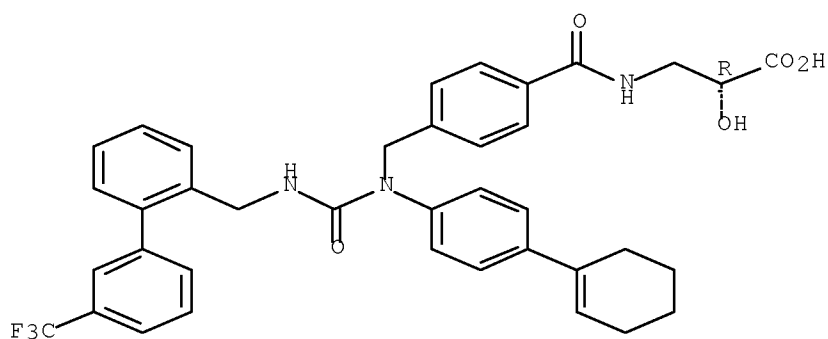
Absolute stereochemistry.



RN 1098968-10-5 CAPLUS

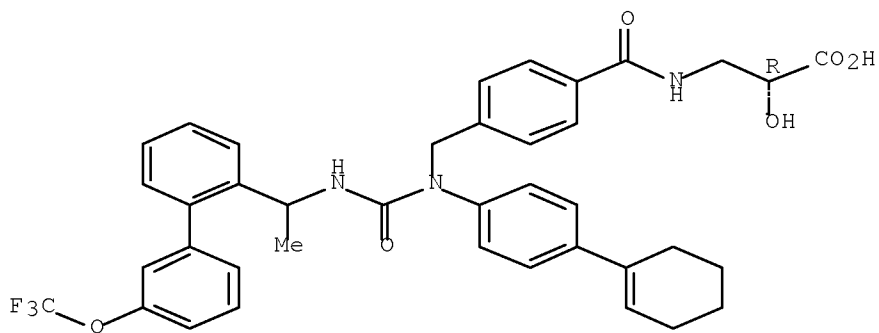
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



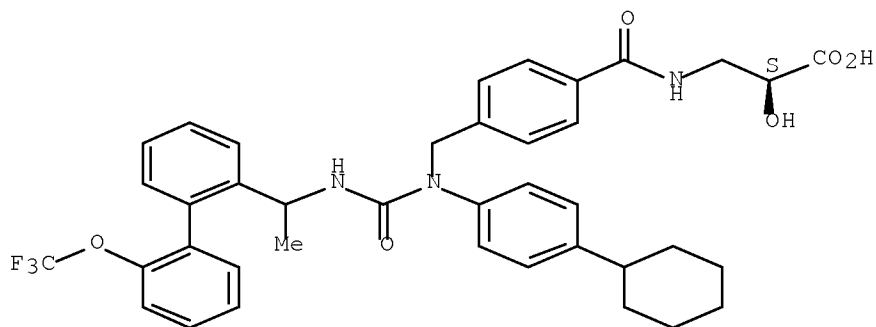
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



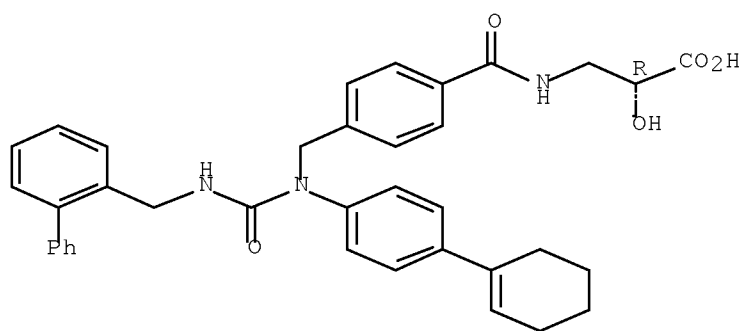
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



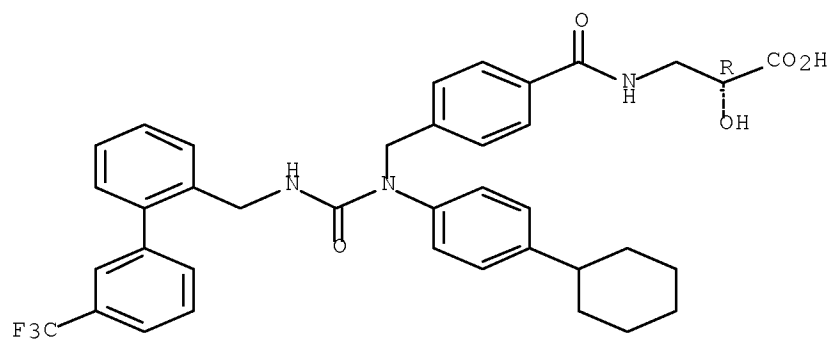
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



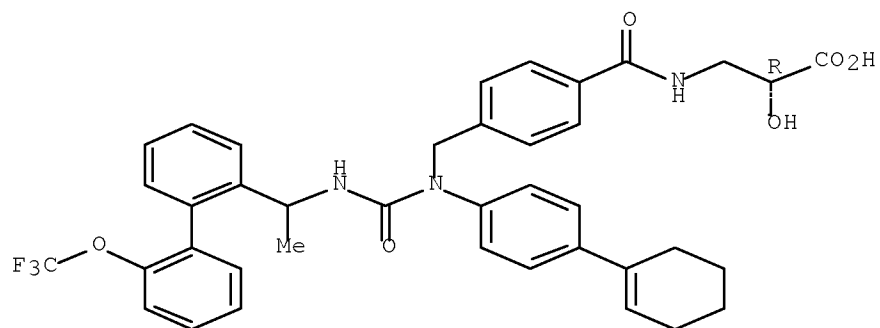
RN 1098968-70-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1098968-71-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

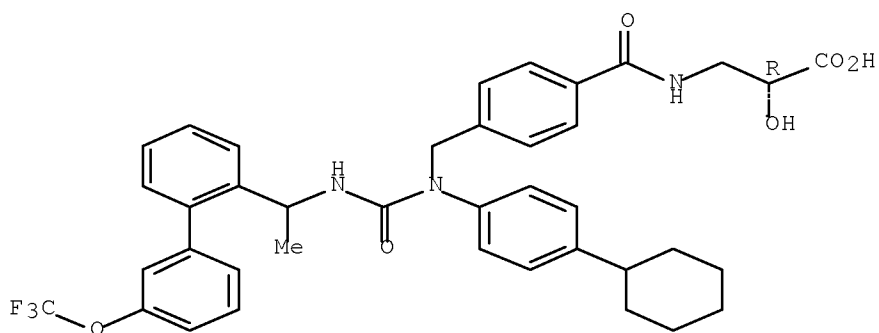
Absolute stereochemistry.



RN 1098968-72-9 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

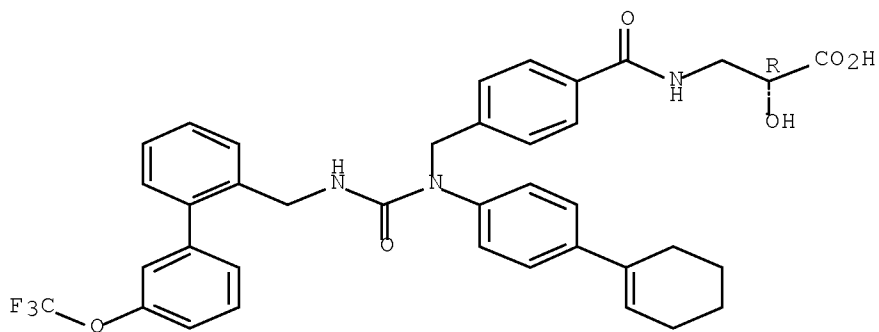
Absolute stereochemistry.



RN 1098969-14-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

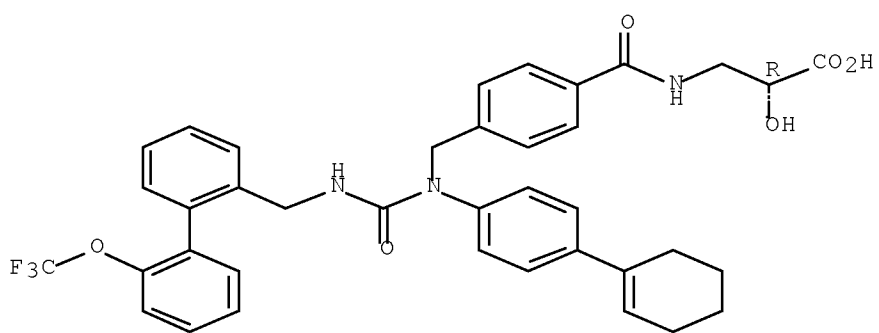
Absolute stereochemistry.



RN 1098969-15-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

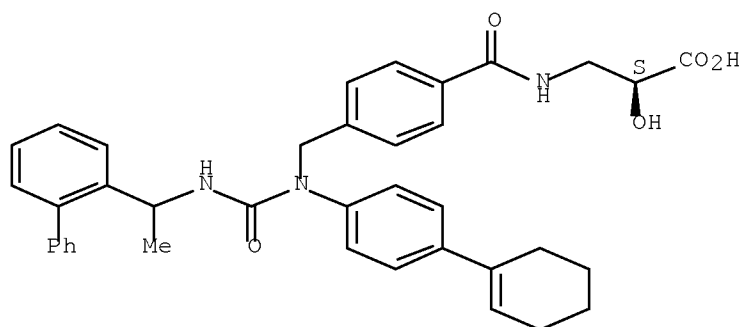
Absolute stereochemistry.





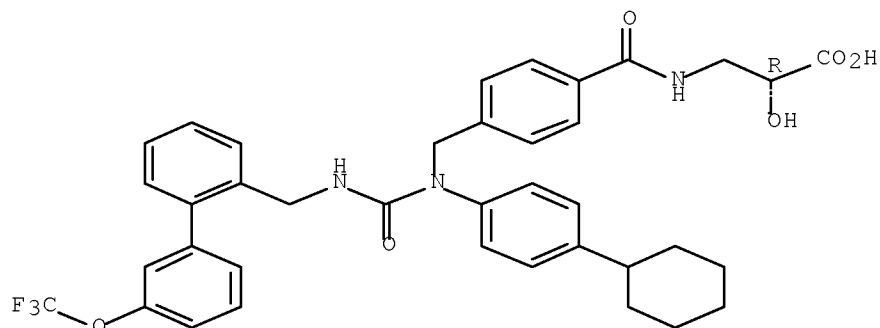
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



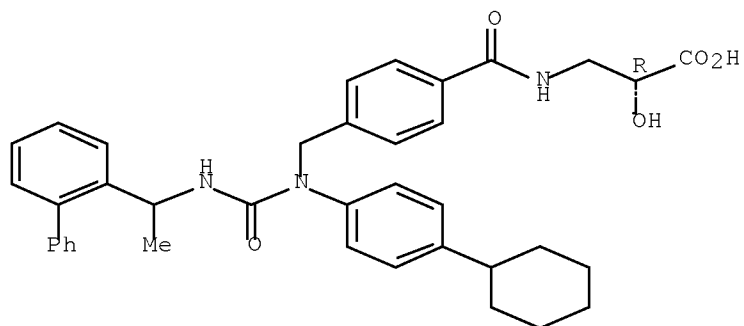
RN 1098969-18-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



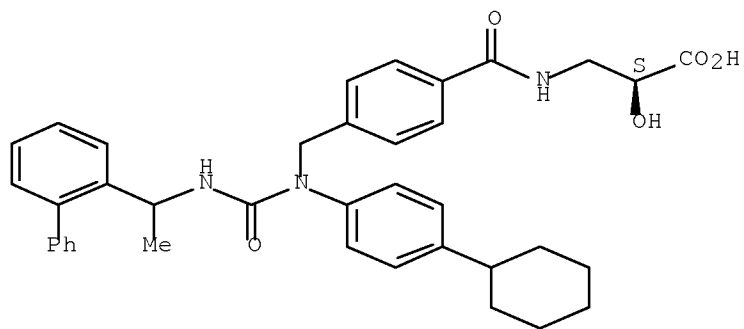
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



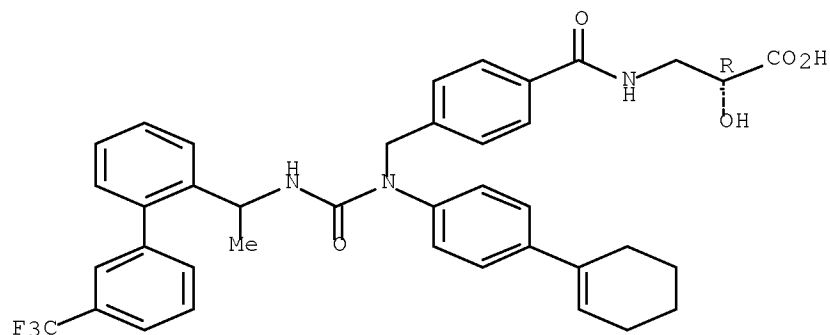
RN 1098969-20-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



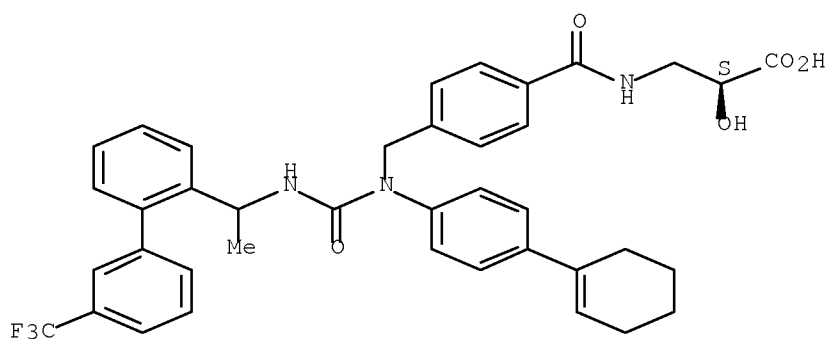
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



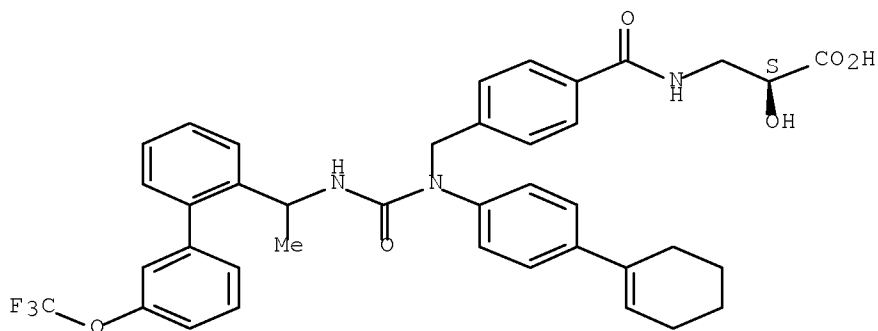
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



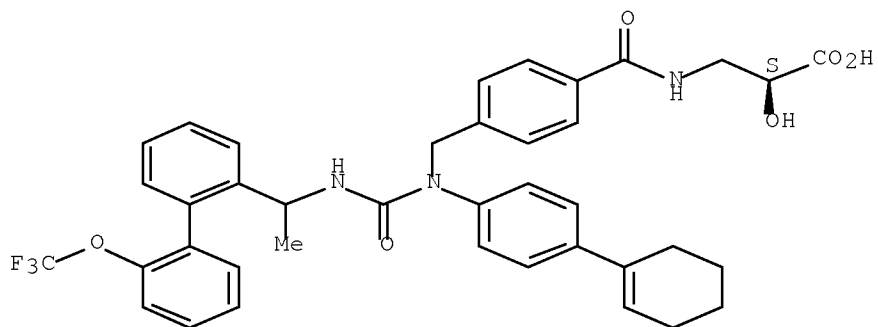
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Absolute stereochemistry.



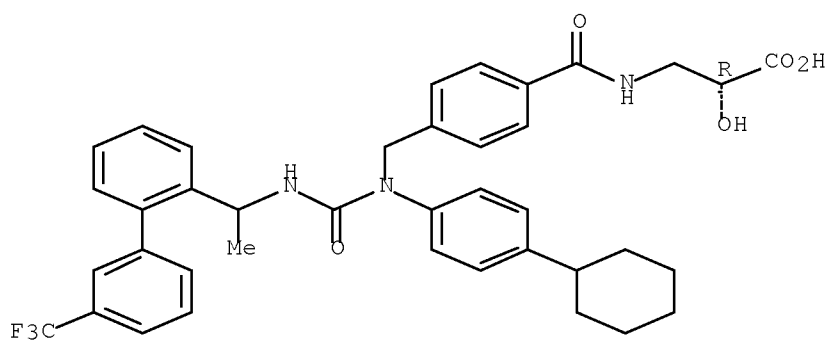
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



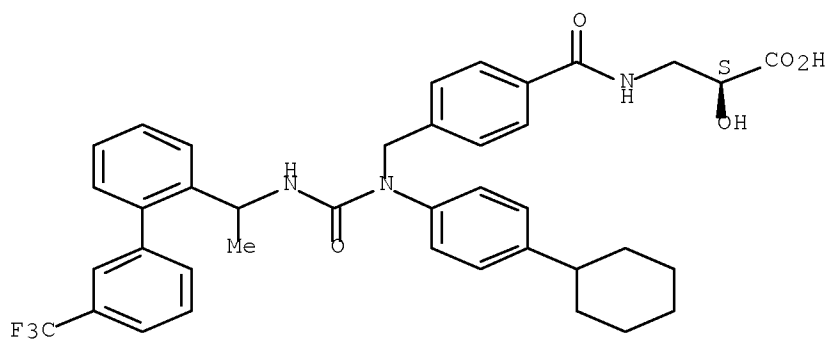
RN 1098969-26-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



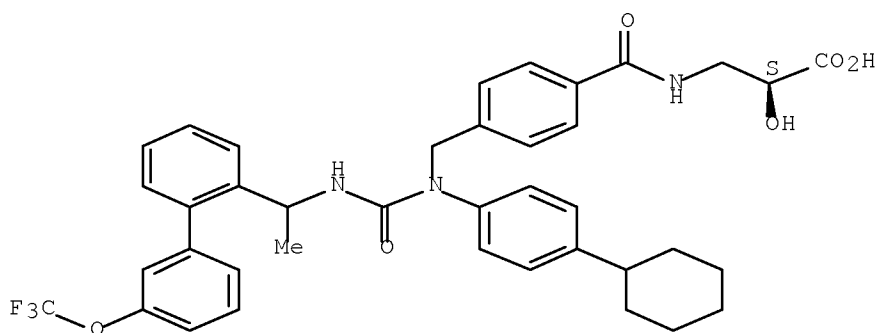
RN 1098969-27-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1098969-28-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

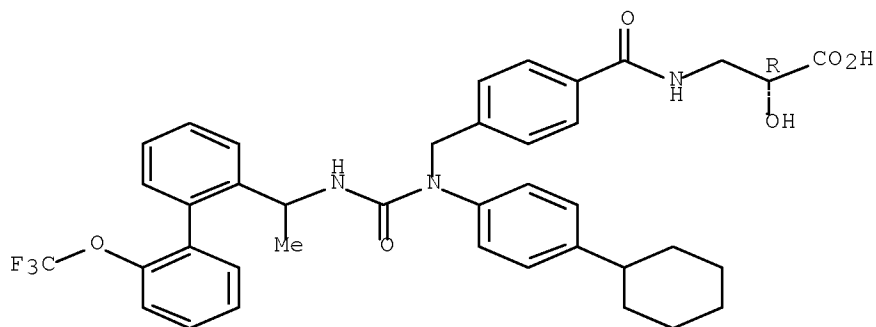
Absolute stereochemistry.



RN 1098969-62-0 CAPLUS

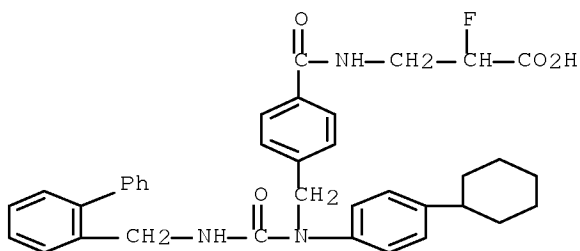
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Absolute stereochemistry.



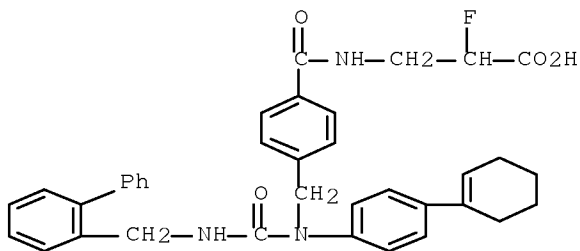
RN 1098970-63-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1098970-65-0 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

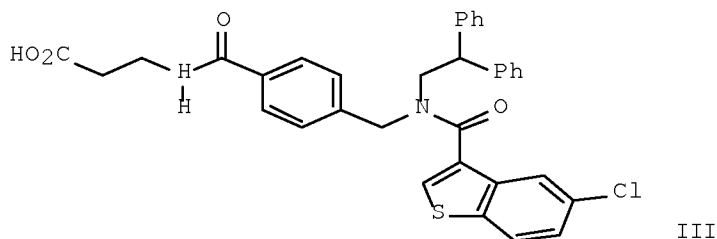
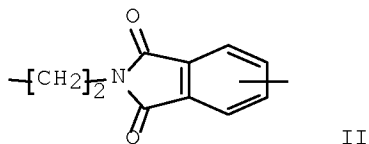
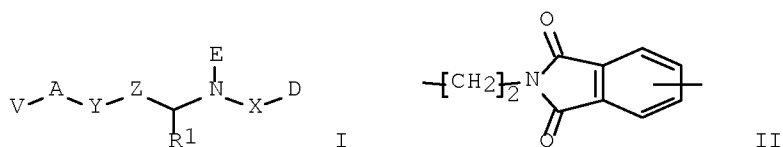
ACCESSION NUMBER: 2000:824211 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 134:4764

TITLE: Preparation of 3-(benzoylamino)propionic acid

INVENTOR(S): derivatives as glucagon antagonists/inverse agonists  
 Ling, Anthony; Plewe, Michael Bruno; Truesdale, Larry  
 Kenneth; Lau, Jesper; Madsen, Peter; Sams, Christian;  
 Behrens, Carsten; Vagner, Josef; Christensen, Inge  
 Thoger; Lundt, Behrend Frederik; Sidelmann, Ulla  
 Grove; Thogersen, Henning  
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Agouron Pharmaceuticals, Inc.  
 SOURCE: PCT Int. Appl., 564 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000069810	A1	20001123	WO 2000-DK264	20000516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1183229	B1	20051026		
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BR 2000010651	A	20020319	BR 2000-10651	20000516
HU 2002001033	A2	20020729	HU 2002-1033	20000516
HU 2002001033	A3	20030328		
JP 2002544254	T	20021224	JP 2000-618228	20000516
AT 307798	T	20051115	AT 2000-926725	20000516
ES 2250128	T3	20060416	ES 2000-926725	20000516
ZA 2001008560	A	20020613	ZA 2001-8560	20011018
NO 2001005607	A	20020117	NO 2001-5607	20011116
MX 2001011757	A	20020604	MX 2001-11757	20011116
US 20030220350	A1	20031127	US 2002-233851	20020830
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US 20050203108	A1	20050915	US 2004-980199	20041103
PRIORITY APPLN. INFO.:			DK 1999-684	A 19990517
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			US 1999-134415P	P 19990517
			US 2000-191685P	P 20000323
			US 2000-572553	A3 20000516
			WO 2000-DK264	W 20000516
			US 2002-233851	A3 20020830
OTHER SOURCE(S):	MARPAT 134:4764			
GI				



AB The title compds. [I; V = CO<sub>2</sub>R<sub>2</sub>, CONR<sub>2</sub>R<sub>3</sub>, CONR<sub>2</sub>OR<sub>3</sub>, etc. (wherein R<sub>2</sub>, R<sub>3</sub> = H, alkyl); A = (CH<sub>2</sub>)<sub>n</sub>(CR<sub>8</sub>R<sub>9</sub>)<sub>b</sub>NR<sub>7</sub>, (CR<sub>8</sub>R<sub>9</sub>)<sub>b</sub>(CH<sub>2</sub>)<sub>n</sub>NR<sub>7</sub>, (CR<sub>8</sub>R<sub>9</sub>)<sub>b</sub>(CH<sub>2</sub>)<sub>n</sub>, etc. (b = 0-1; n = 0-3; R<sub>7</sub> = H, alkyl, (cycloalkyl)alkyl; R<sub>8</sub>, R<sub>9</sub> = H, alkyl); Y = CO, SO<sub>2</sub>, O, a bond; Z = (un)substituted phenylene, divalent radical derived from 5-6 membered heteroarom. ring containing 1-2 heteroatoms selected from N, O and S; or AYZ together = II; R<sub>1</sub> = H, alkyl; X = CO(CR<sub>13</sub>R<sub>14</sub>)<sub>r</sub>(CH<sub>2</sub>)<sub>s</sub>, SO<sub>2</sub>(CR<sub>13</sub>R<sub>14</sub>)<sub>r</sub>(CH<sub>2</sub>)<sub>s</sub>, CO<sub>2</sub>(CR<sub>13</sub>R<sub>14</sub>)<sub>r</sub>(CH<sub>2</sub>)<sub>s</sub>, etc. (r = 0-1; s = 0-3; R<sub>13</sub>, R<sub>14</sub> = H, alkyl); D = (un)substituted Ph, pyridyl, cyclopropyl, etc.; E = (un)substituted quinolinyl, 2,5-dioxopiperidinyl, biphenylalkyl, etc.] which act to antagonize the action of the glucagon hormone on the glucagon receptor (data given), and therefore may be suitable for the treatment and/or prevention of any glucagon-mediated conditions and diseases such as hyperglycemia, Type 1 diabetes, Type 2 diabetes and obesity, were prepared and formulated. E.g., a multi-step solid phase synthesis of III was given. Compds. I are effective at 0.05-10 mg/kg/day.

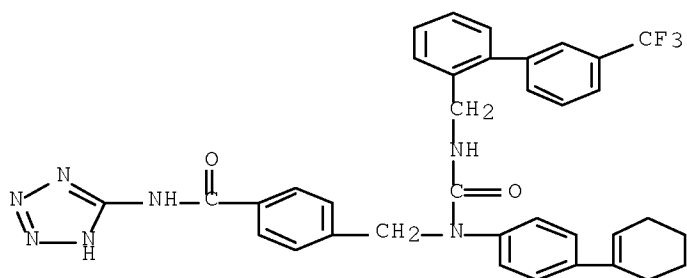
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 1106001-06-2 1106001-07-3

RL: PRPH (Prophetic)

(Preparation of 3-(benzoylamino)propionic acid derivatives as glucagon antagonists/inverse agonists)

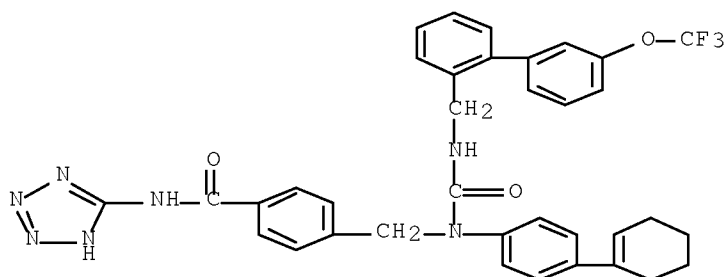
RN 1106000-91-2 CAPLUS

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 (CA INDEX NAME)



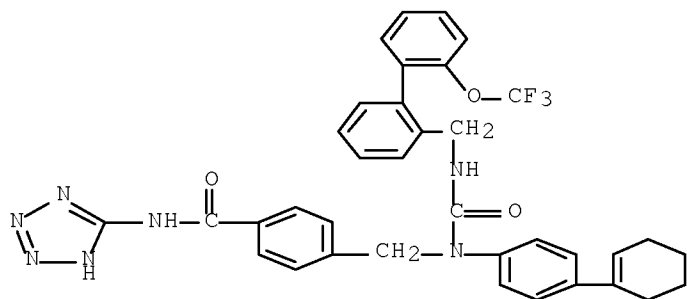
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(CA INDEX NAME)



RN 1106000-93-4 CAPLUS

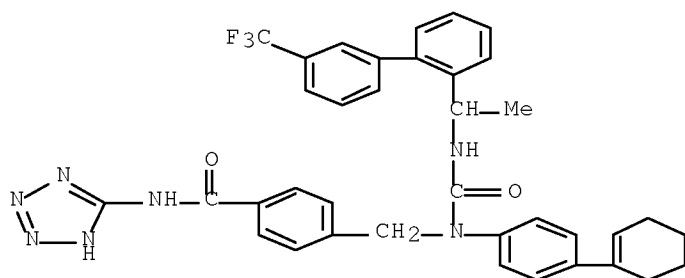
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(CA INDEX NAME)



RN 1106000-94-5 CAPLUS

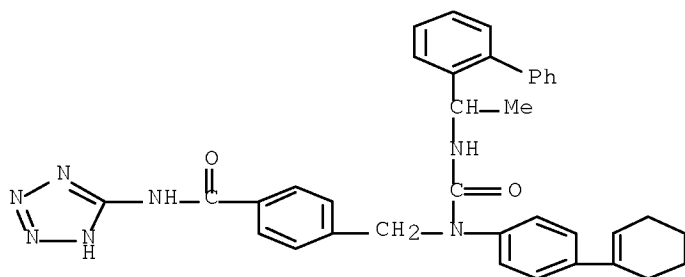
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(CA INDEX NAME)





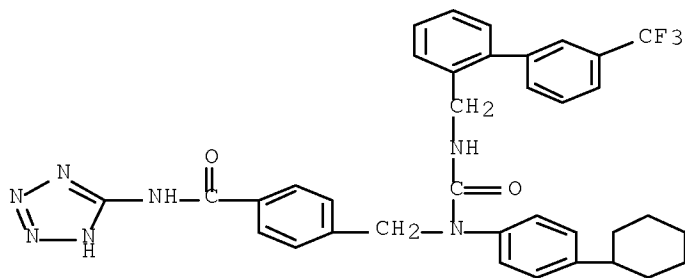
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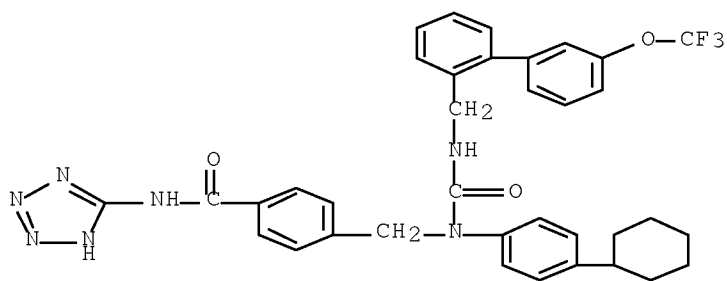
RN 1106000-96-7 CAPLUS

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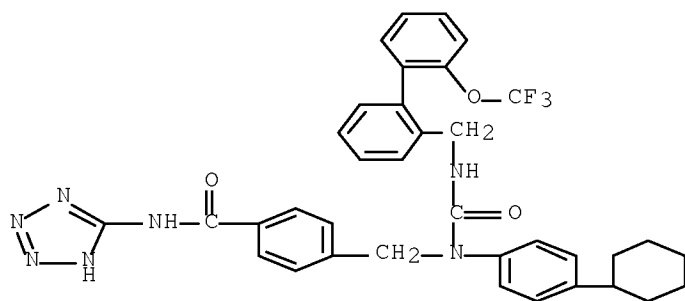
RN 1106000-97-8 CAPLUS

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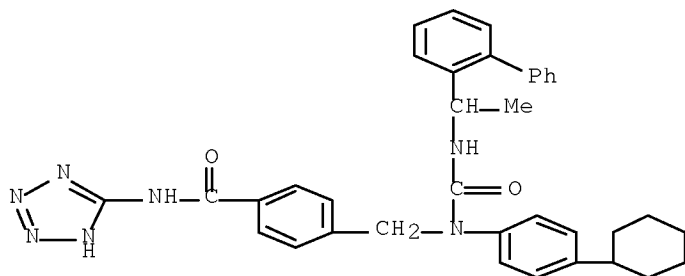
RN 1106000-98-9 CAPLUS

CN Benzamide, 4-[[ (4-cyclohexylphenyl) [[[2'-(trifluoromethoxy) [1,1'-biphenyl]-2-yl]methyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl-  
(CA INDEX NAME)



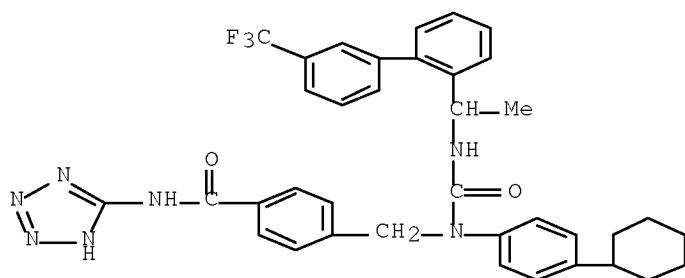
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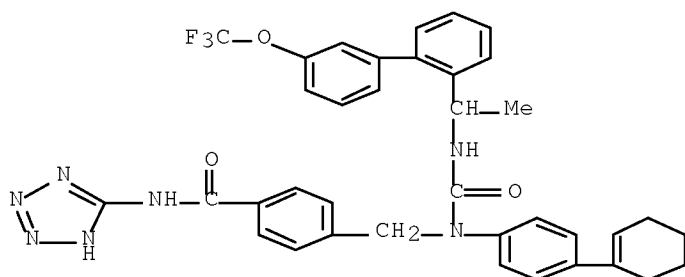
RN 1106001-00-6 CAPLUS

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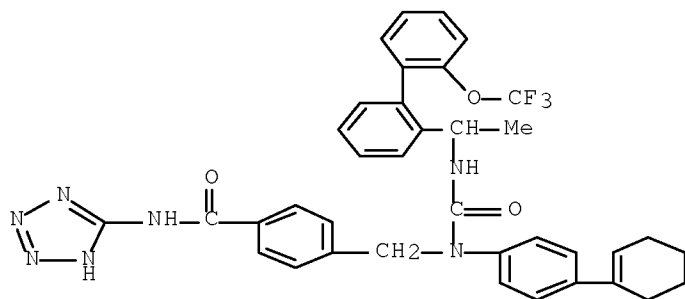
RN 1106001-01-7 CAPLUS

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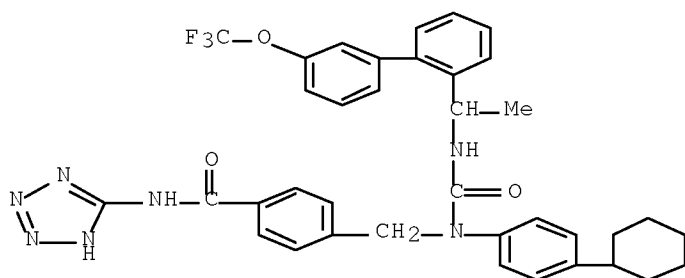
RN 1106001-03-9 CAPLUS

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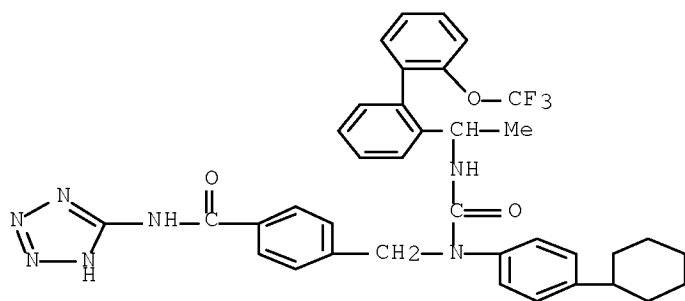


RN 1106001-06-2 CAPLUS

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RN	1106001-07-3	CAPLUS	
CN	Benzamide, 4-[[[(4-cyclohexylphenyl)[[1-[2'-(trifluoromethoxy)[1,1'-biphenyl]-2-yl]ethyl]amino]carbonyl]amino]methyl]-N-2H-tetrazol-5-yl- (CA INDEX NAME)		

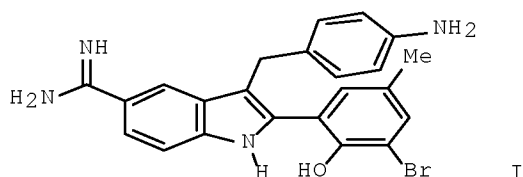


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

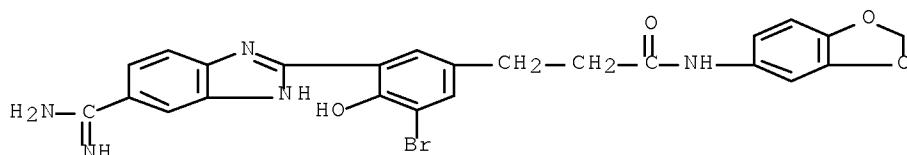
L3 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 2000:421114 CAPLUS Full-text  
DOCUMENT NUMBER: 133:58803  
TITLE: Preparation of 2-arylindole- or  
-benzimidazolecarboxamidines and analogs as serine  
protease inhibitors  
INVENTOR(S): Allen, Darin Arthur; Hataye, Jason M.; Hruzewicz,  
Witold N.; Kolesnikov, Aleksandr; Mackman, Richard  
Laurence; Rai, Roopa; Spencer, Jeffrey R.; Verner,  
Erik J.; Young, Wendy B.  
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA  
SOURCE: PCT Int. Appl., 187 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035886	A2	20000622	WO 1999-US30302	19991217
WO 2000035886	A3	20001026		
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 PRIORITY APPLN. INFO.: US 1998-113007P P 19981218  
 WO 1999-US30302 W 19991217  
 OTHER SOURCE(S): MARPAT 133:58803  
 GI



AB R1Z1Z2R2 [I; R1 = H2NC(:NH), etc.; R2 = halo, OH, CO2H, phenyl(alkyl)oxy, etc.; Z1 = (un)substituted indolylylene, -benzimidazolylylene, etc.; Z2 = (un)substituted phenylene, pyridinediyl, etc.] were prepared Thus, 1-(3-bromo-2-hydroxy-5-methylphenyl)-3-(4-nitrophenyl)-1-propanone was condensed with 4-(H2NHN)C6H4C(:NH)NH2 and the product cyclized to give, after reduction, title compound II. Data for biol. activity of I were given.  
 IT 1100597-09-8  
 RL: PRPH (Prophetic)  
 (Preparation of 2-arylindole- or -benzimidazolecarboxamidines and analogs as serine protease inhibitors)  
 RN 1100597-09-8 CAPLUS  
 CN Benzenepropanamide, 3-[6-(aminoiminomethyl)-1H-benzimidazol-2-yl]-N-1,3-benzodioxol-5-yl-5-bromo-4-hydroxy- (CA INDEX NAME)

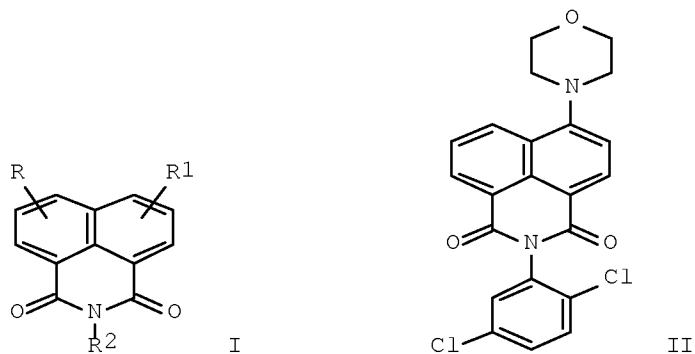


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2000:384159 CAPLUS Full-text  
 DOCUMENT NUMBER: 133:30670  
 TITLE: Preparation of substituted benzo[de]isoquinoline-1,3-diones as glycoprotein IbIX antagonists  
 INVENTOR(S): Mederski, Werner; Devant, Ralf; Barnickel, Gerhard; Bernotat-Danielowski, Sabine; Melzer, Guido; Raddatz, Peter; Wu, Zhengdong; Dhanoa, Daljit; Soll, Richard; Rinker, James; Graybill, Todd  
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany  
 SOURCE: PCT Int. Appl., 278 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

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			WO 1999-EP8561	W 19991109
OTHER SOURCE(S):			MARPAT 133:30670	

GI



AB The title compds. [I; R = H, NO<sub>2</sub>; R<sub>1</sub> = Het, -HetSO<sub>2</sub>Ar, NO<sub>2</sub>, etc.; R<sub>2</sub> = Ar, Het<sub>1</sub>, -Het<sub>1</sub>Ar, etc.; Ar = Ph, biphenyl, pyridyl, etc.; Het, Het<sub>1</sub> = (un)substituted (un)saturated mono-, bi- or tricyclic 5-13 membered heterocycl[yl], useful as glycoprotein IbIX antagonists (no data) for the control of thrombotic disorders, were prepared and formulated. E.g., preparation of II was given. Compds. I are effective at 0.02-10 mg/kg/day.

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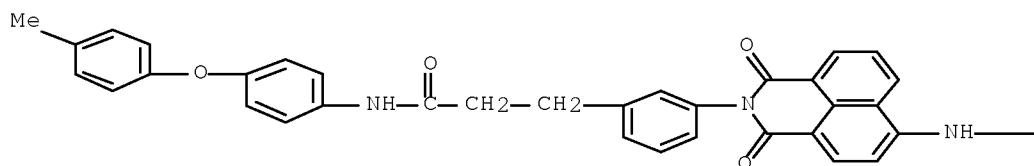
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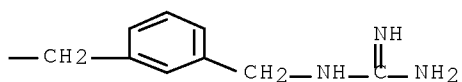
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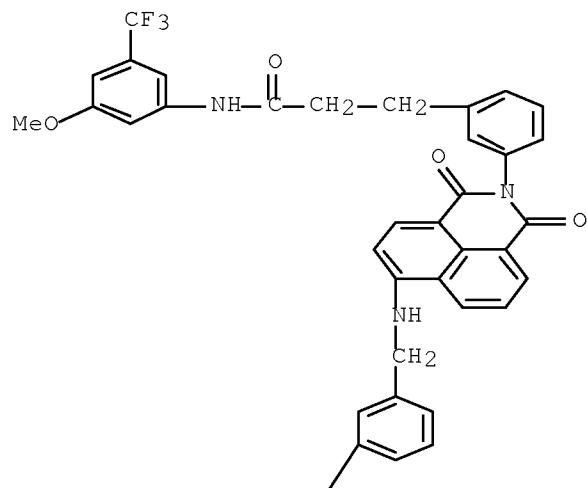


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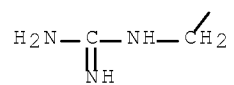
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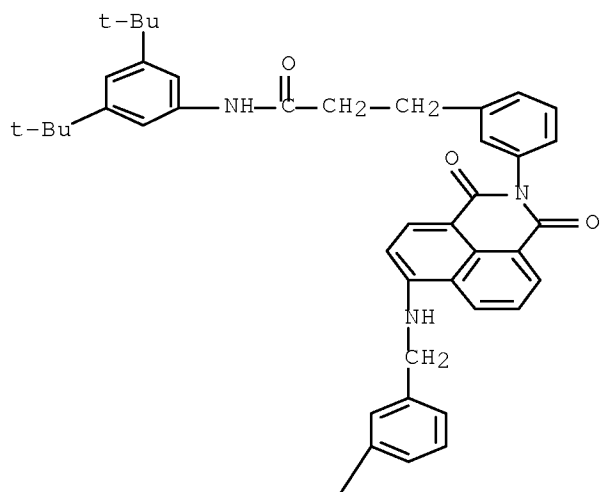


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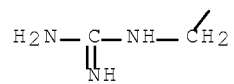


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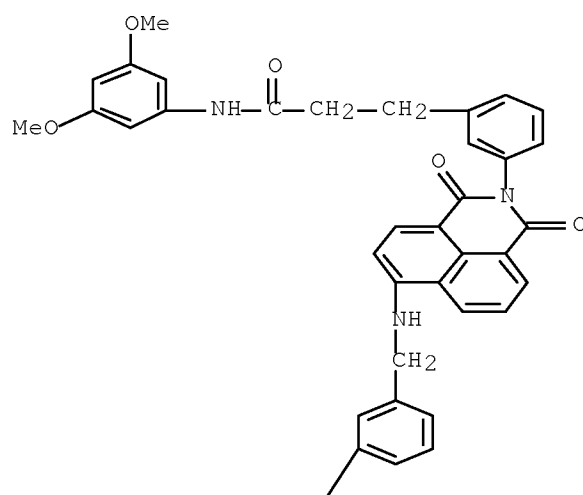


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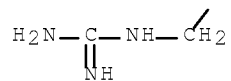


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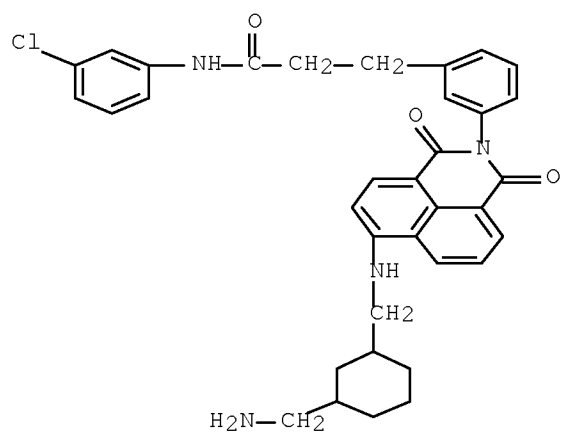
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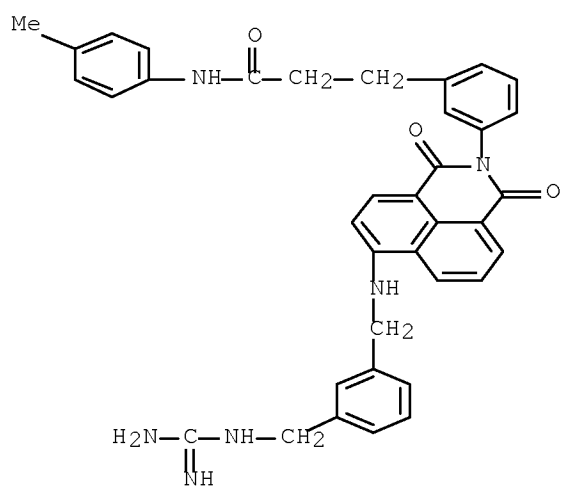
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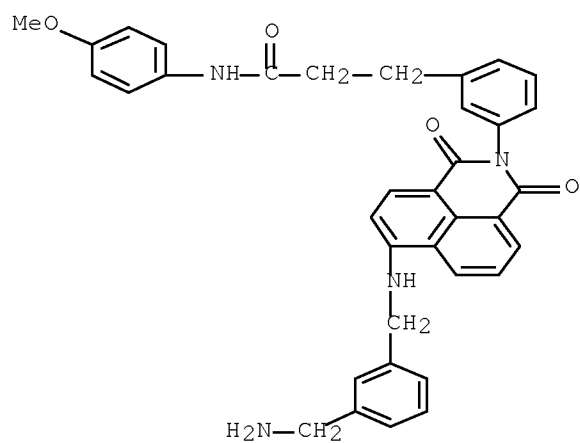
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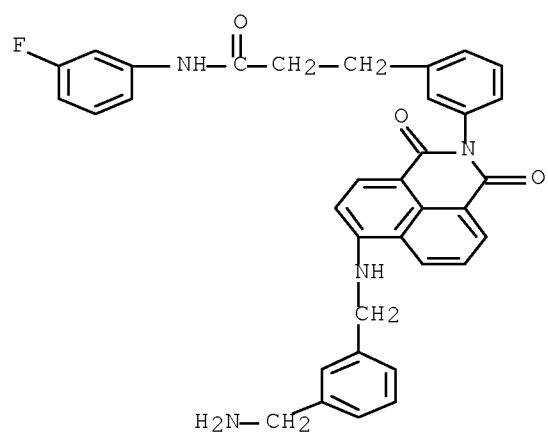
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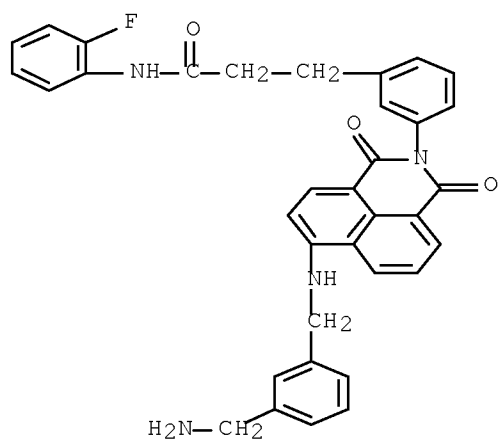
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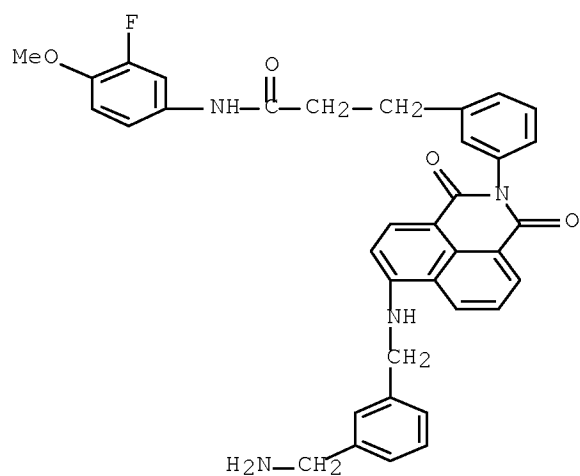
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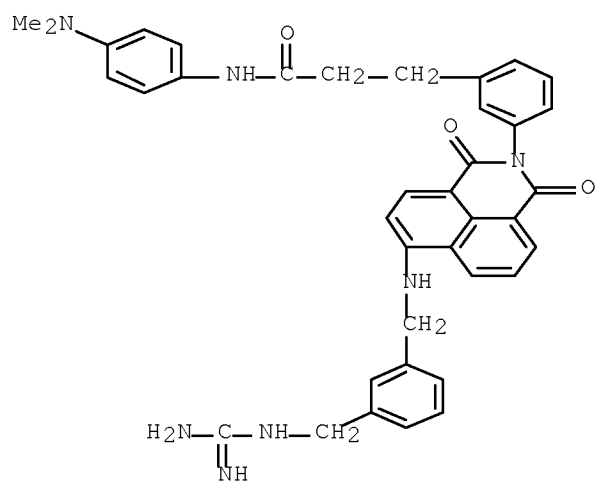
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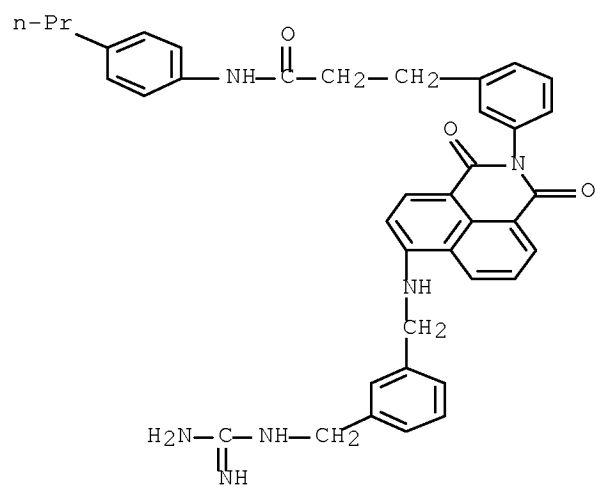
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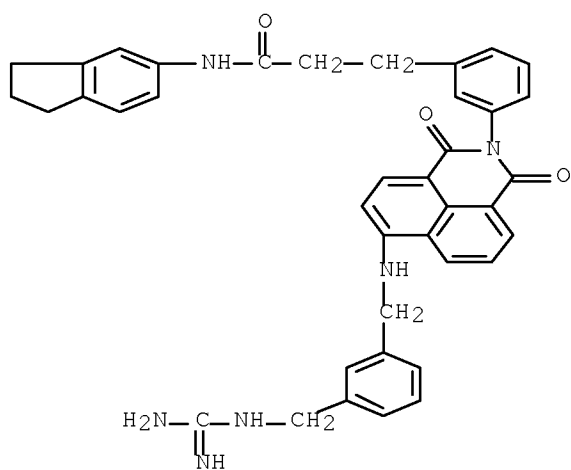
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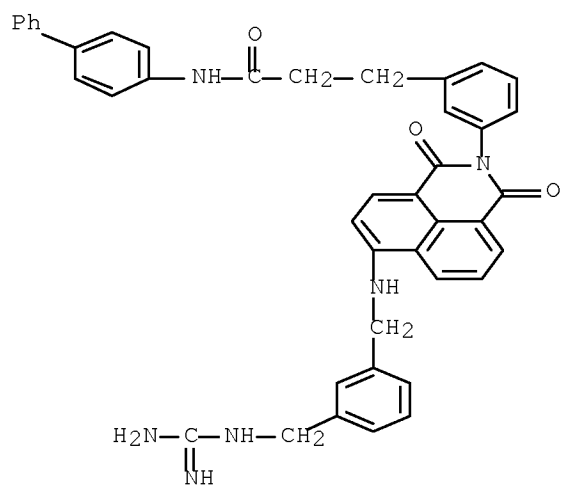
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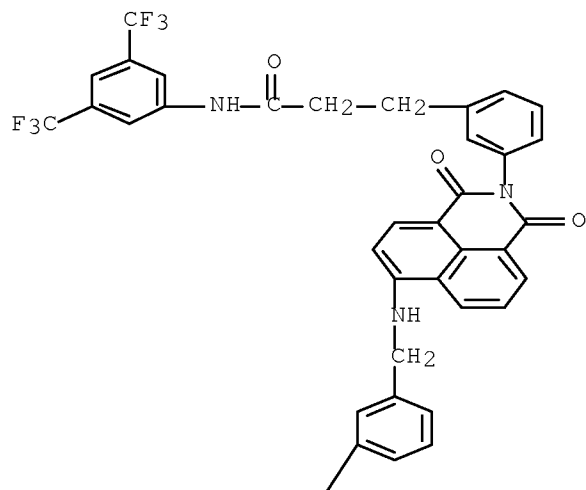


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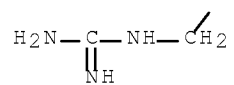


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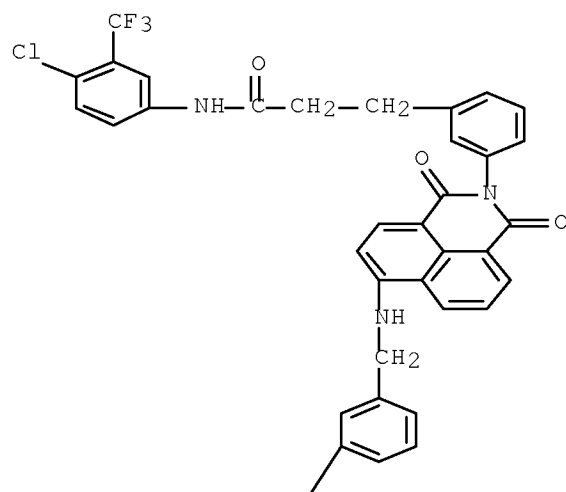


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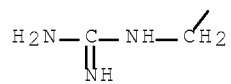
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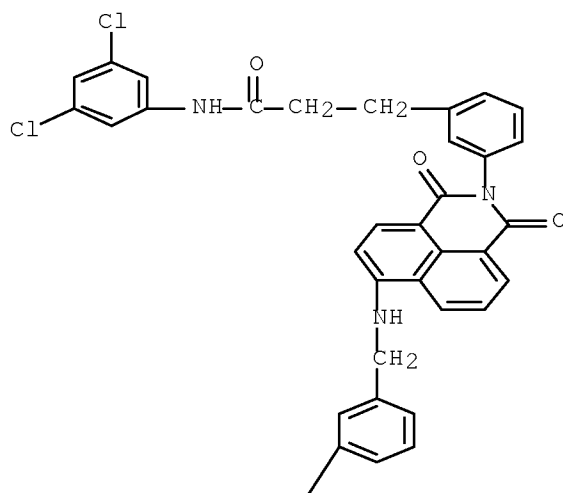


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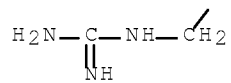


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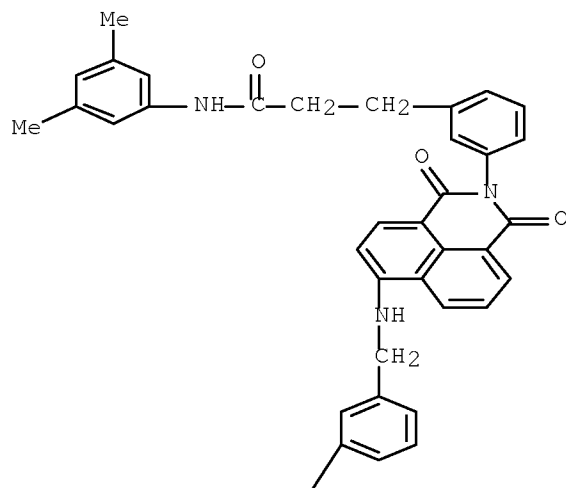


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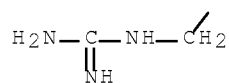


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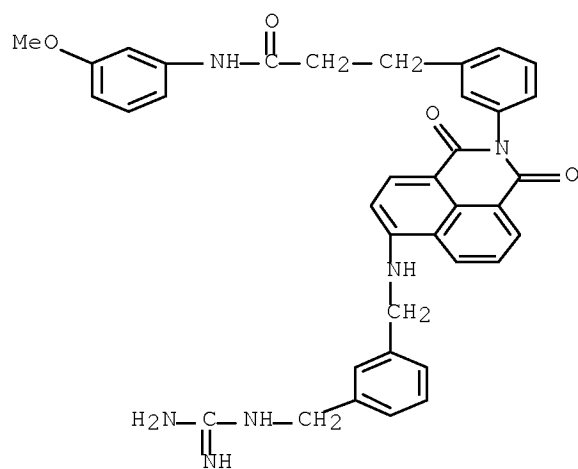
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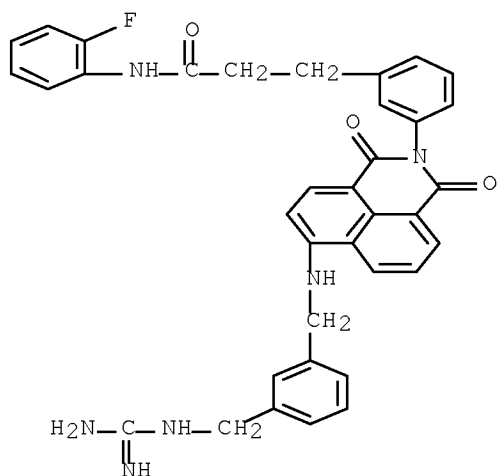
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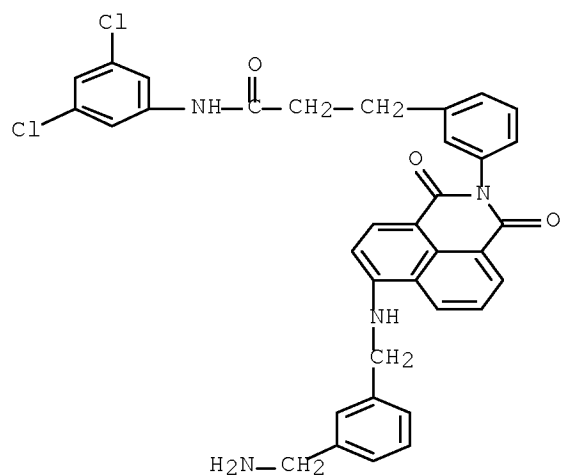
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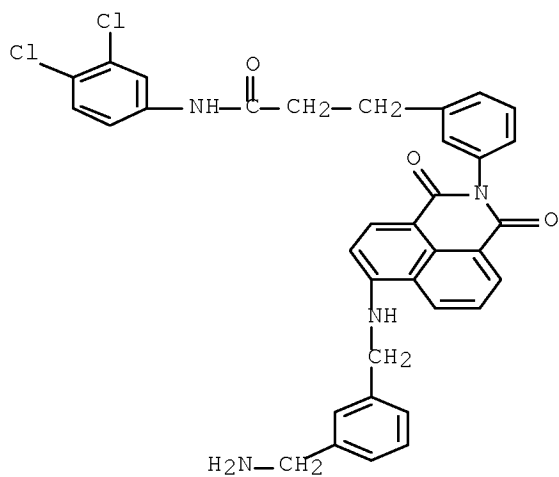
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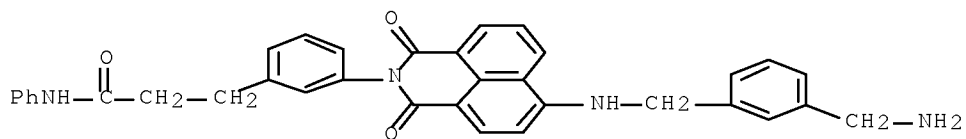
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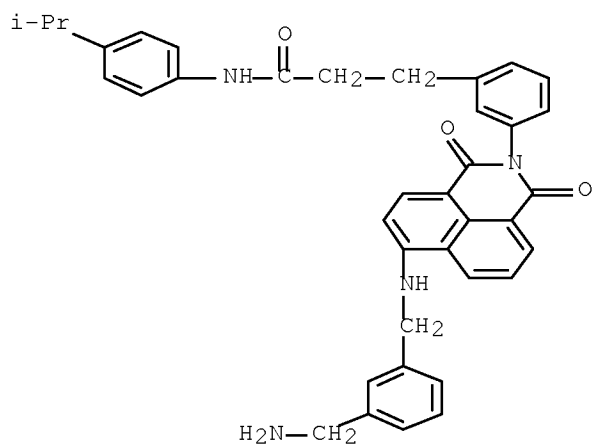
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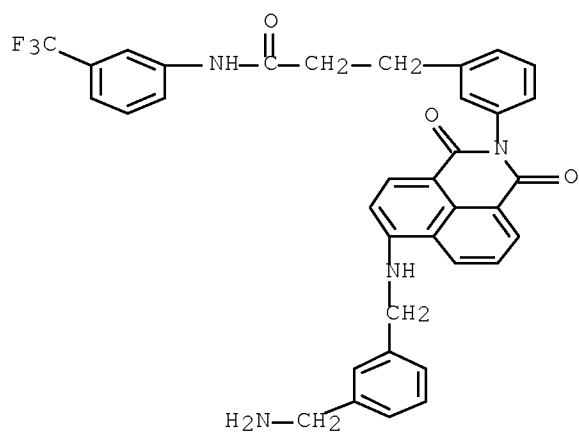
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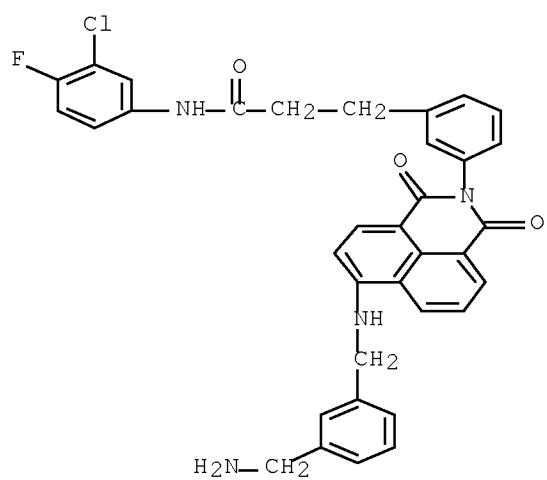
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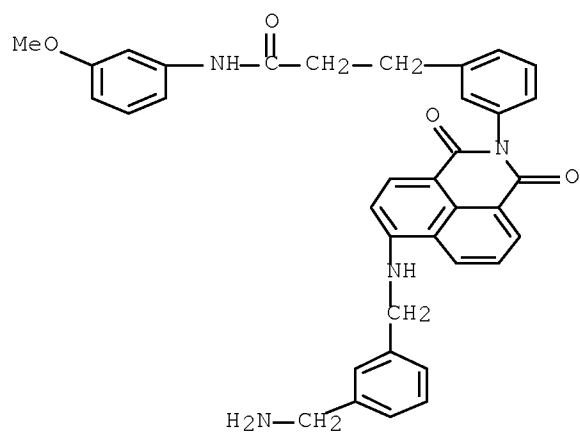
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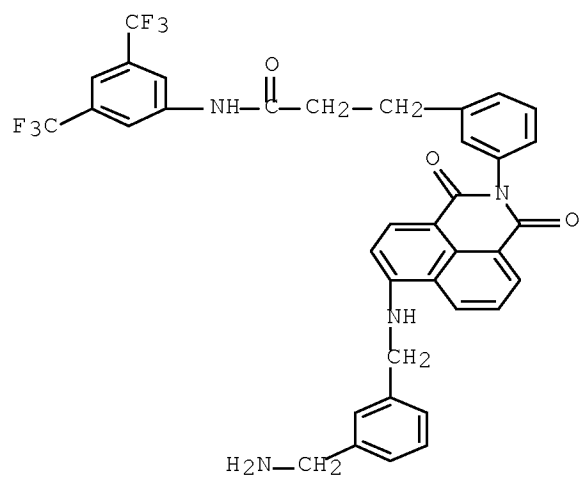
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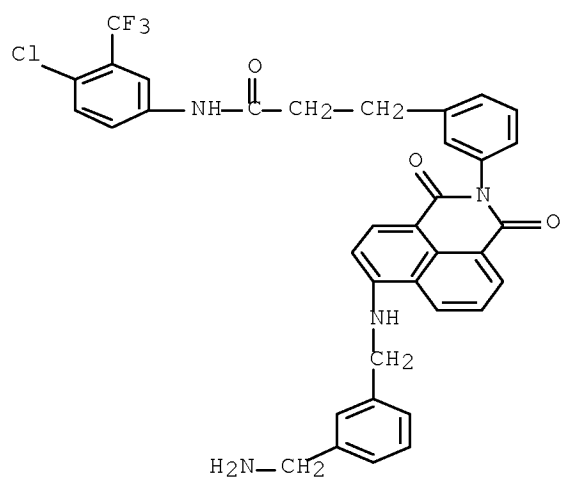
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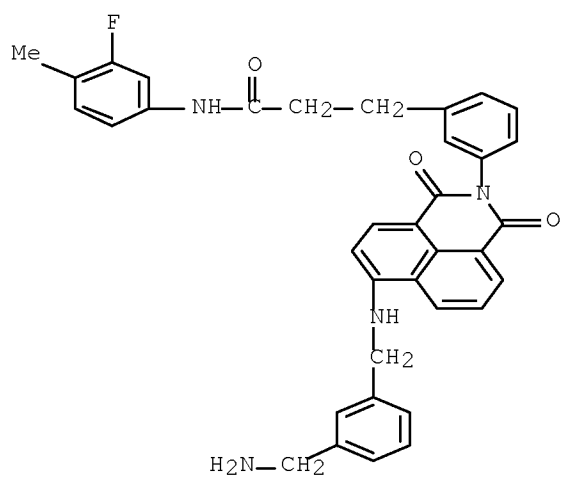
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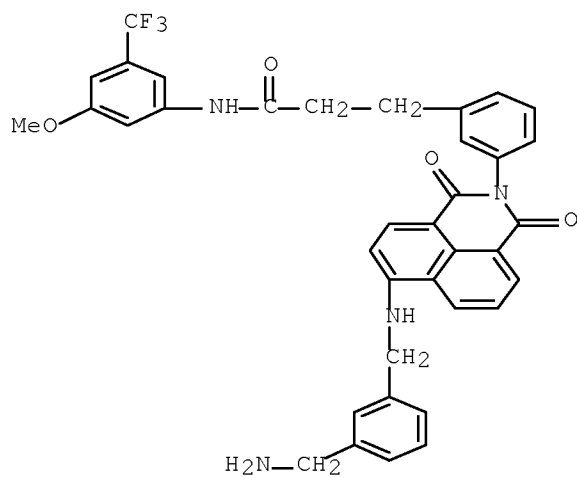
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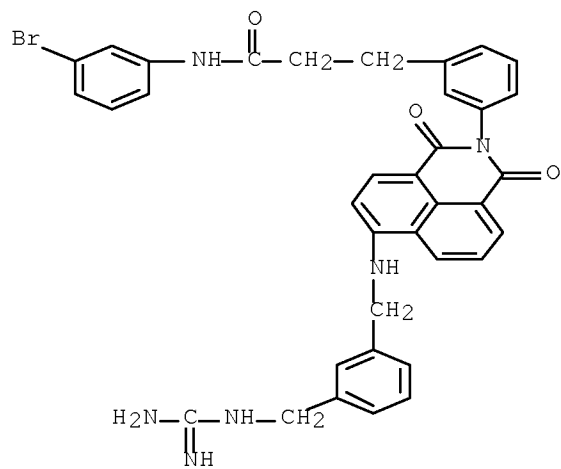
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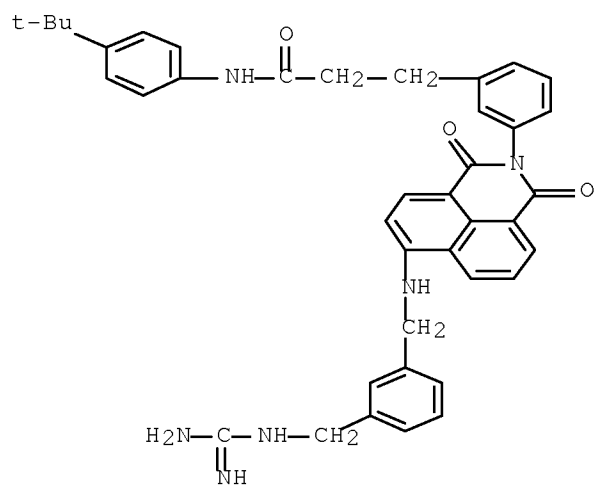


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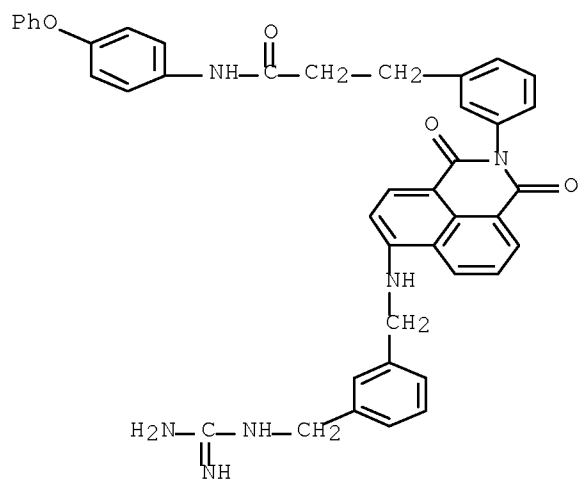


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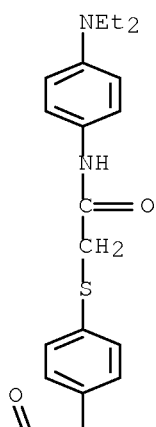


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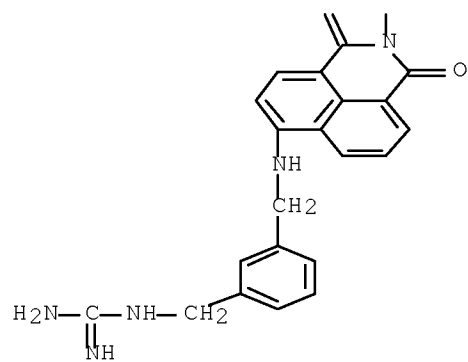


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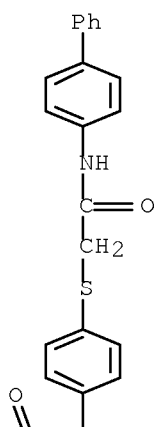


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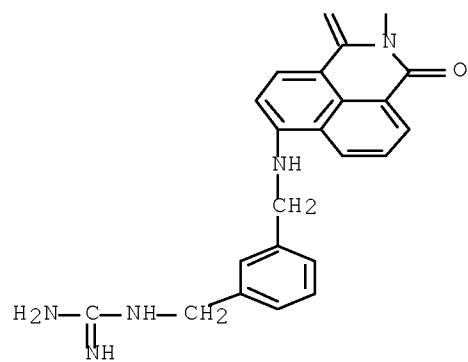


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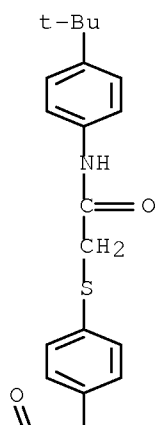


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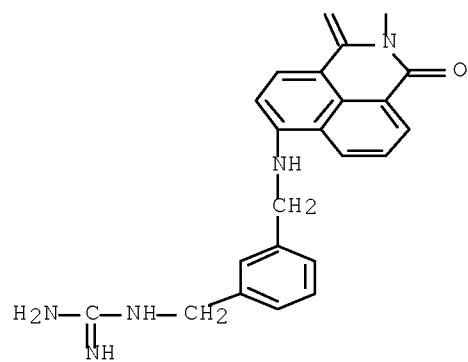


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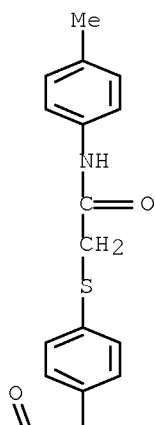


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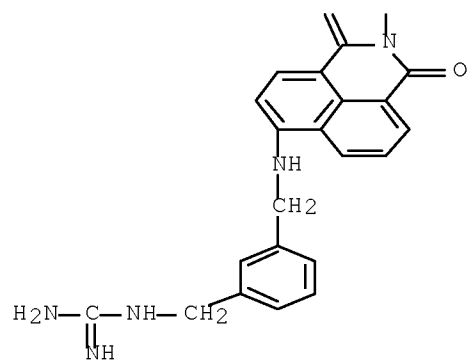


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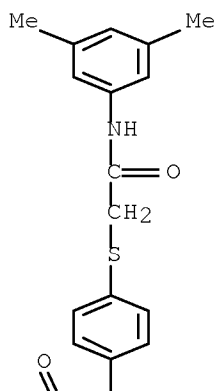


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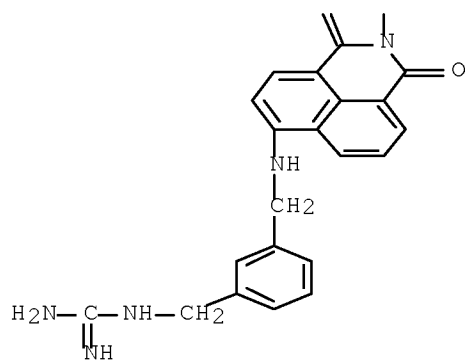


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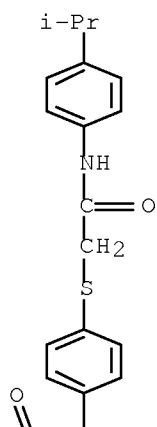


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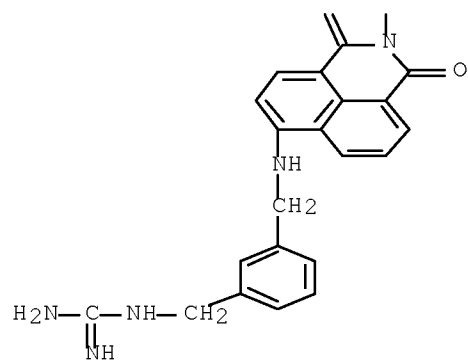


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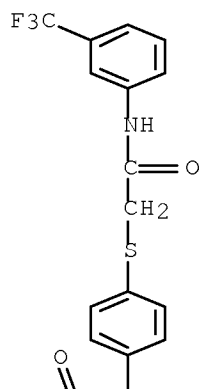


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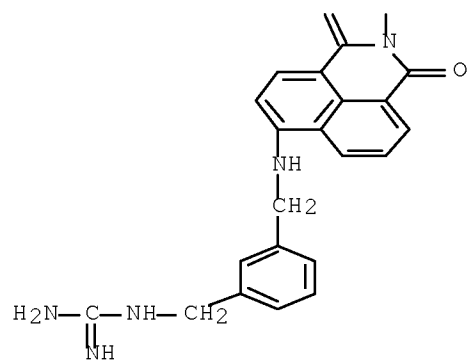


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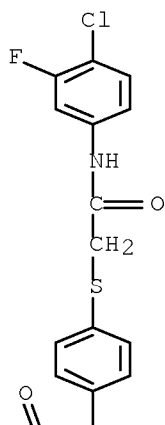
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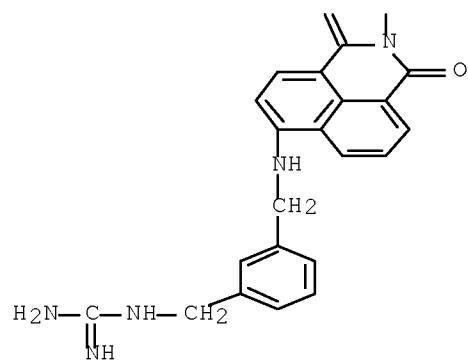
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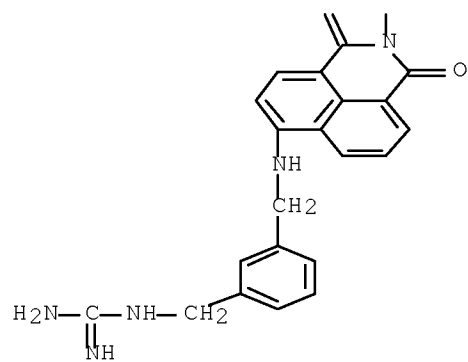
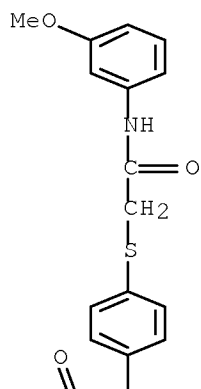
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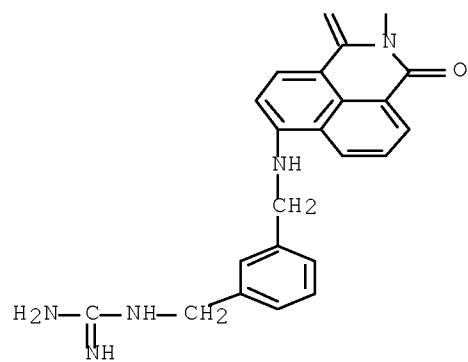
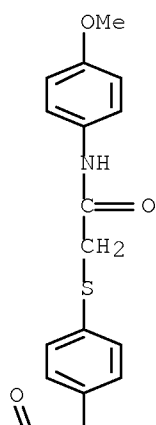
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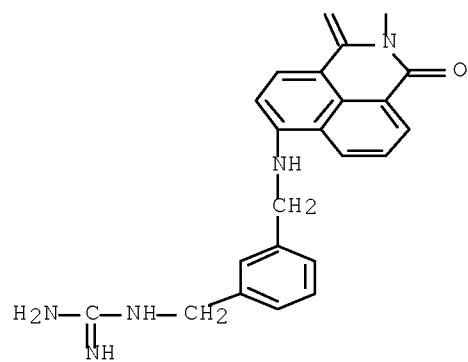
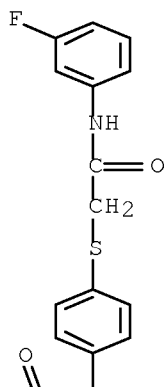
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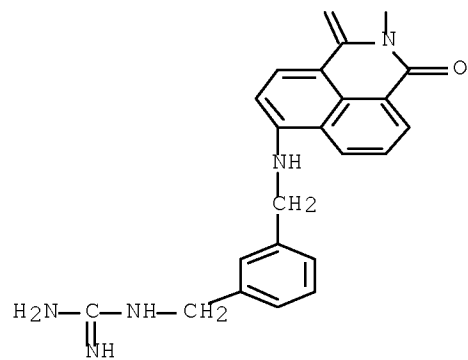
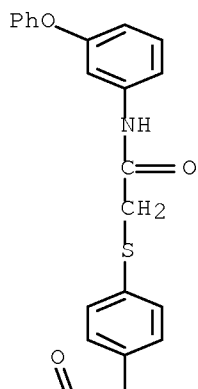
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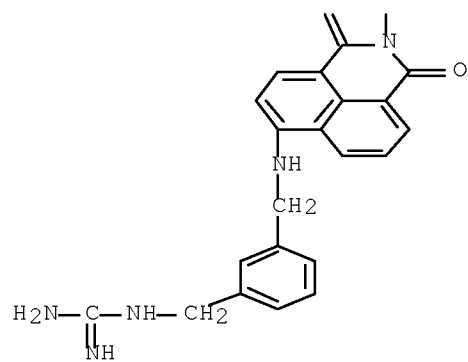
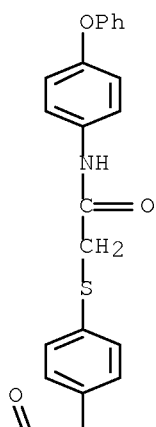
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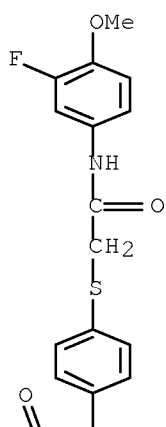


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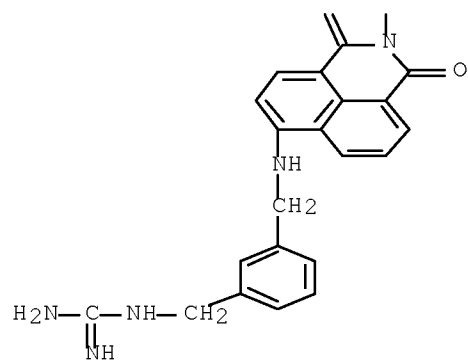


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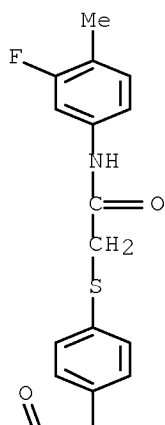


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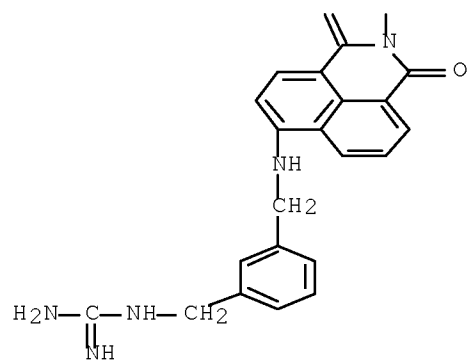


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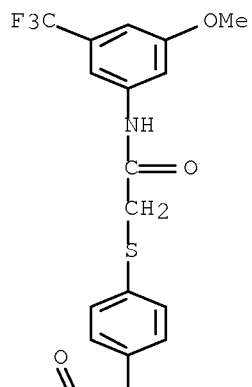
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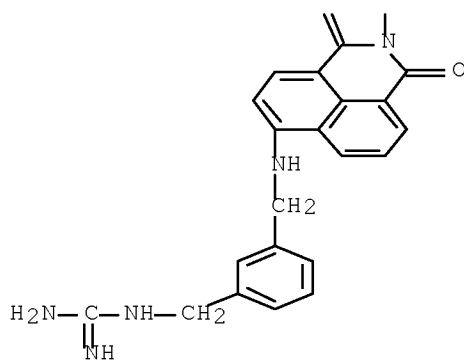
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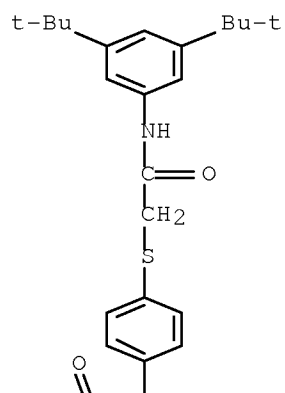


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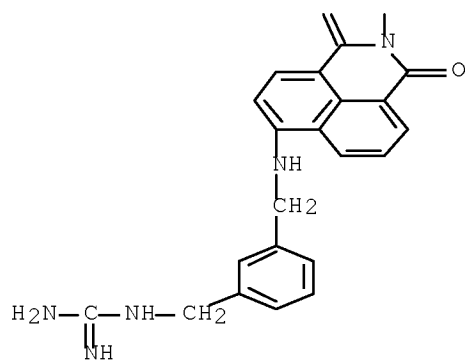


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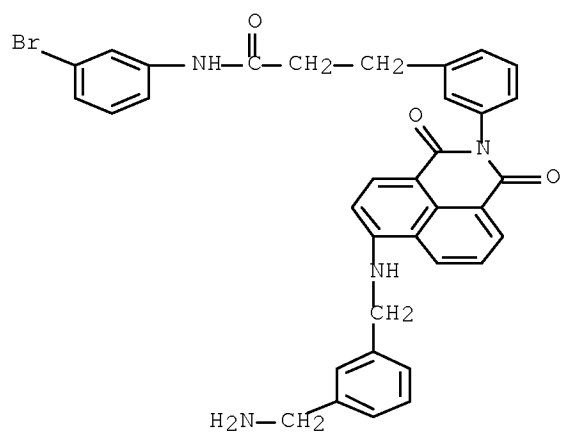
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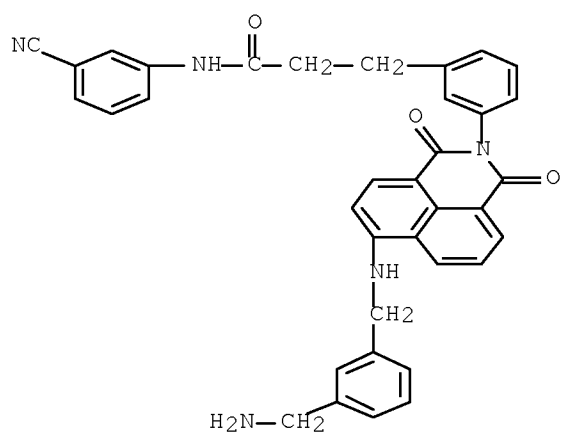
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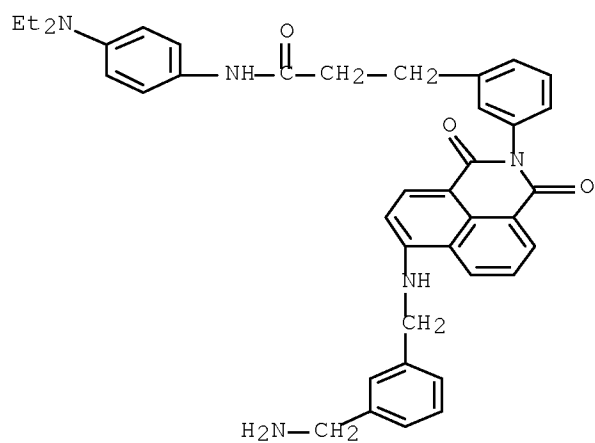
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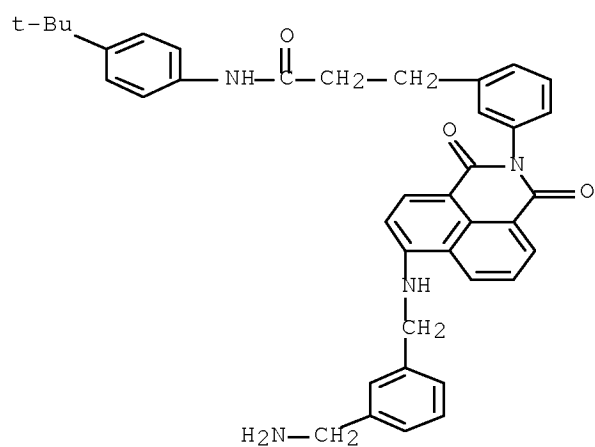
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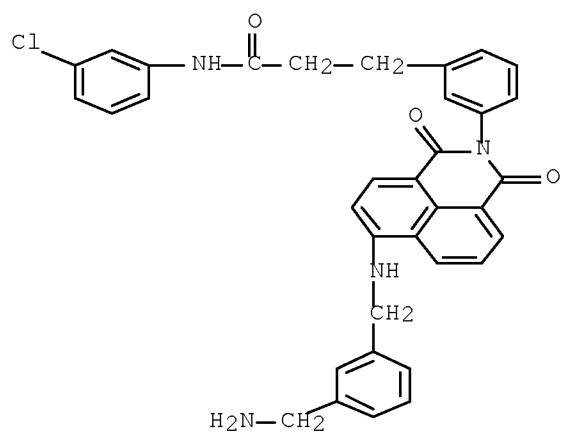
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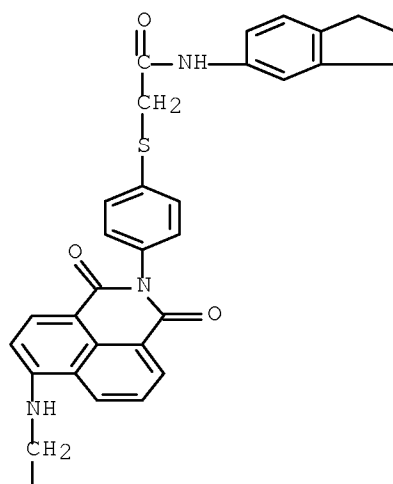


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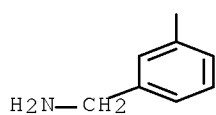


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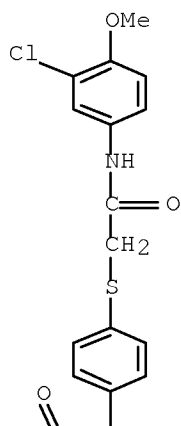


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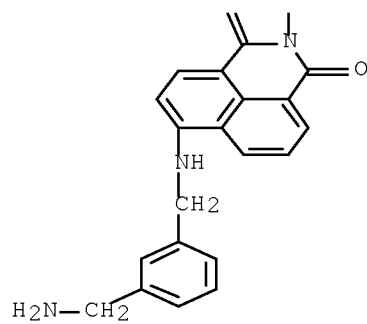


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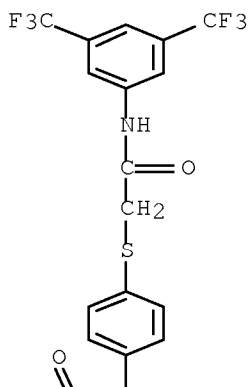


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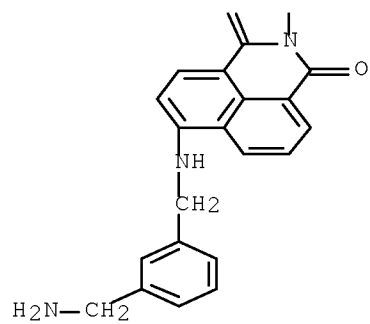


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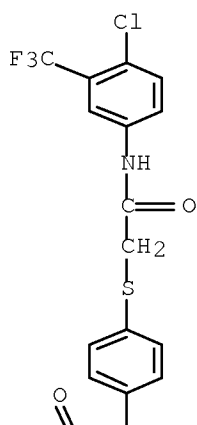


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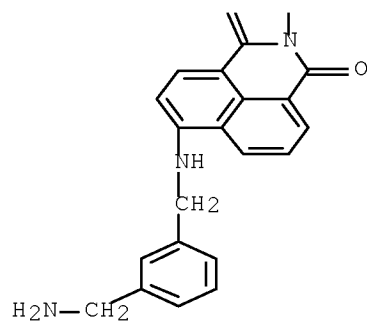


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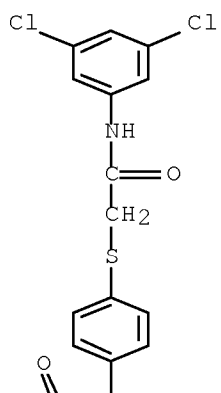
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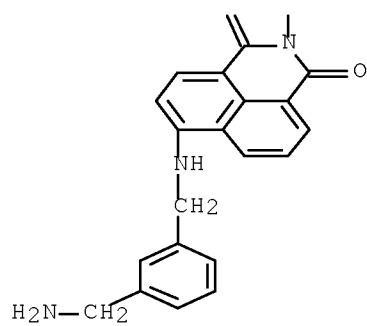
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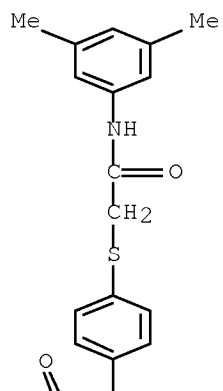


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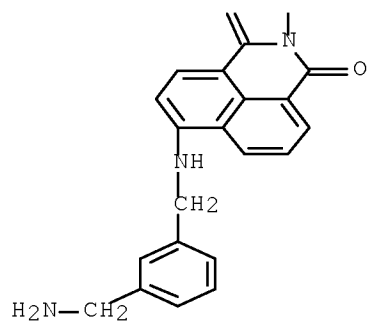


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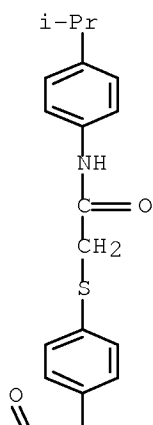


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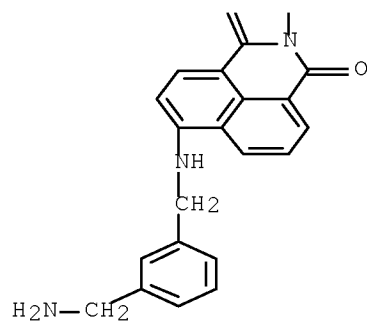


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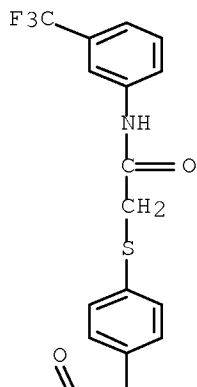


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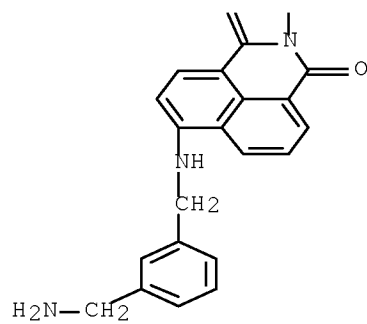


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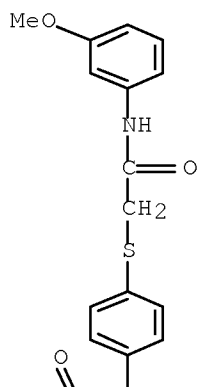


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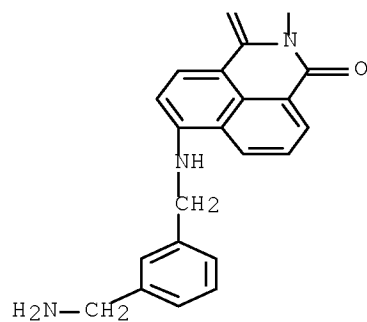


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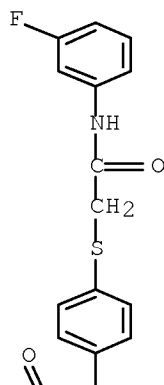


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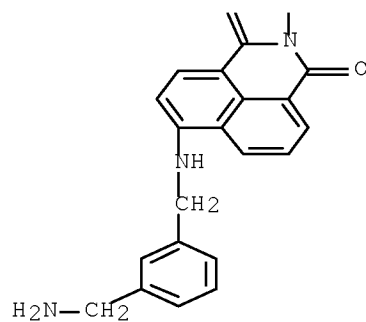


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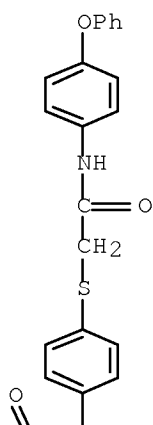


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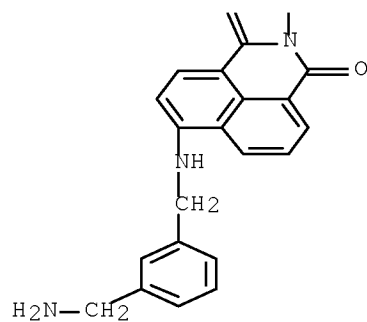


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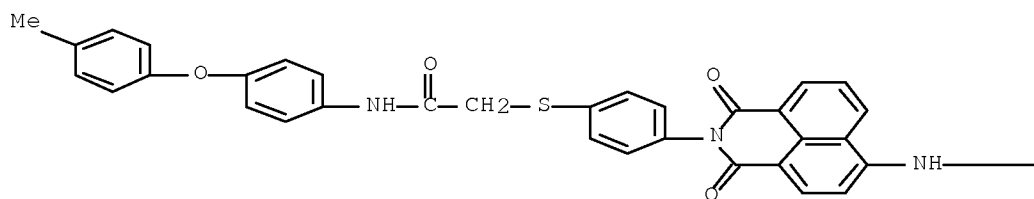


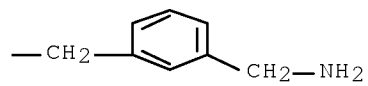
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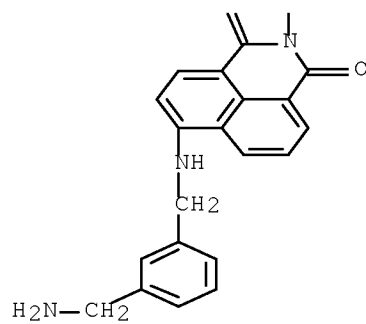
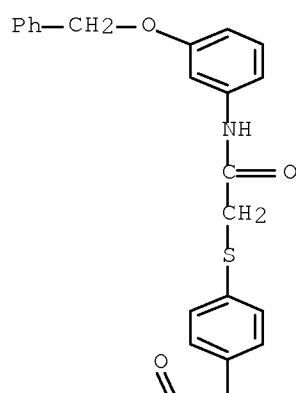
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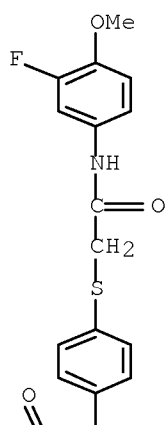
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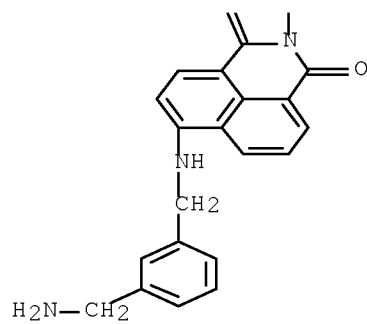
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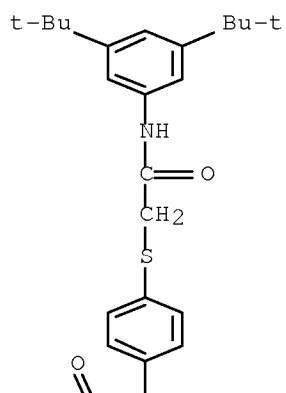


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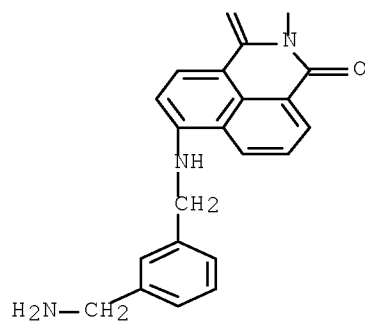


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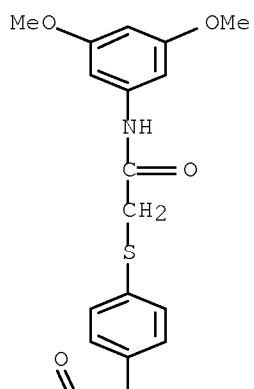


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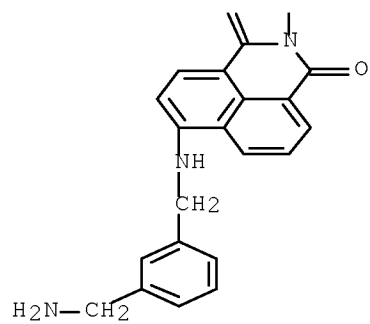


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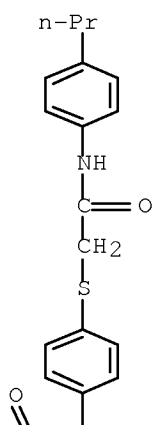


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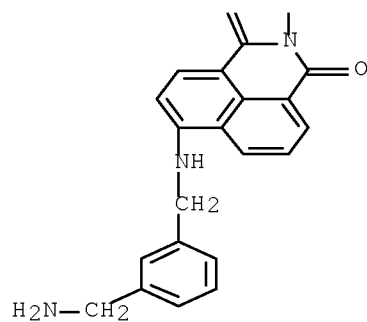


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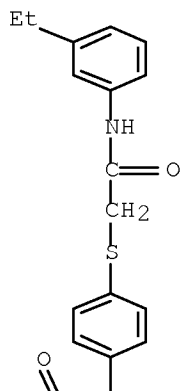


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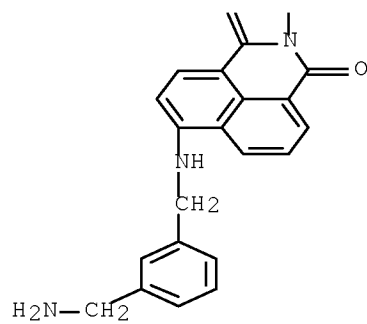


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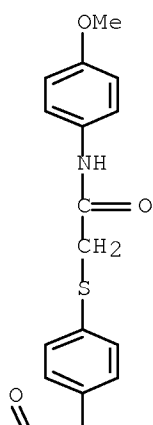


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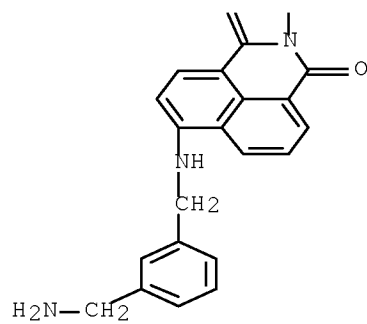


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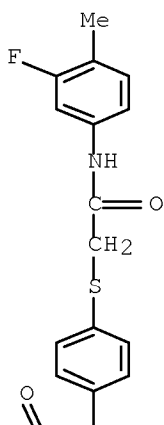


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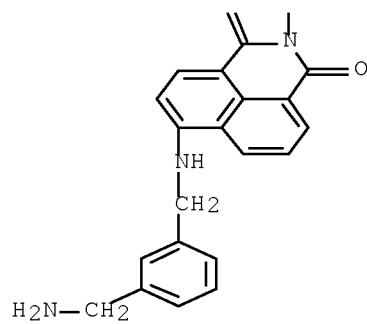


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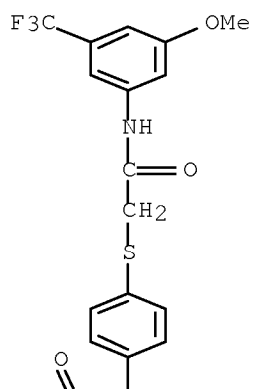


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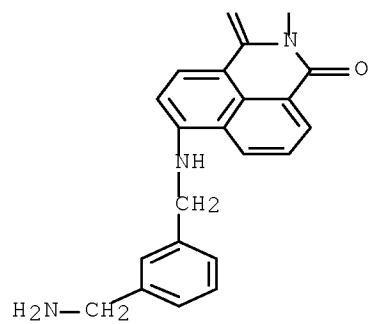


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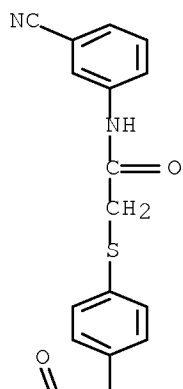
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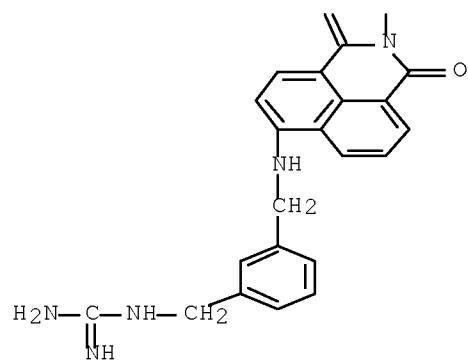
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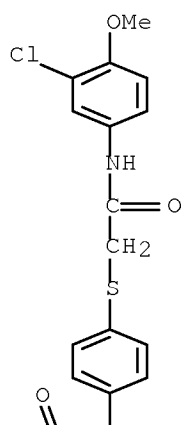


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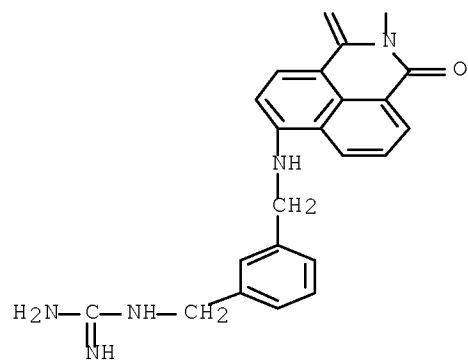


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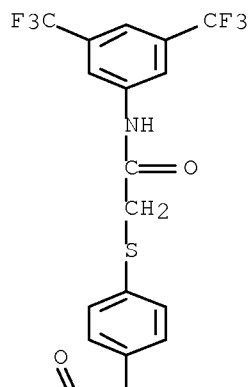


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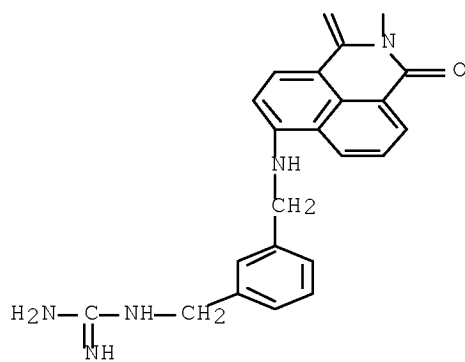


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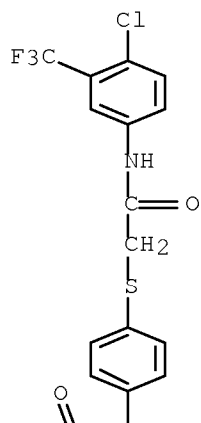


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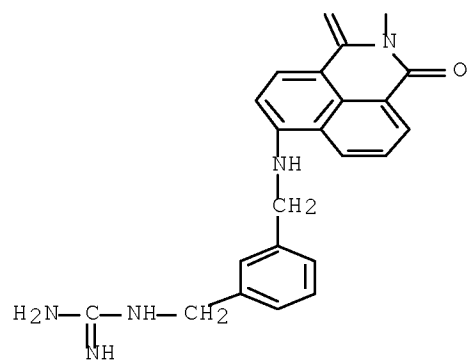


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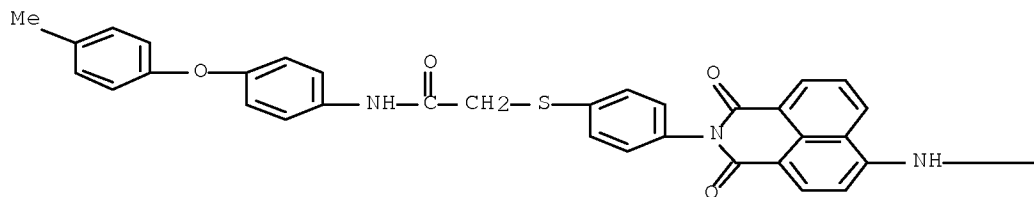


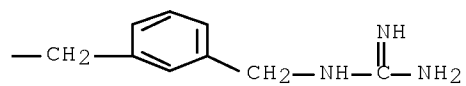
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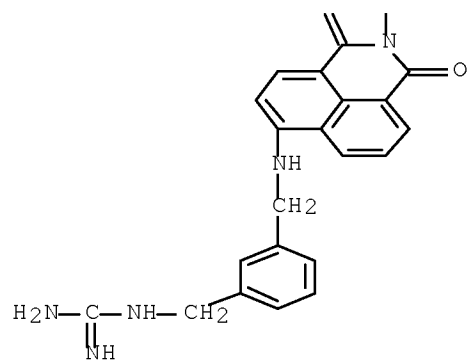
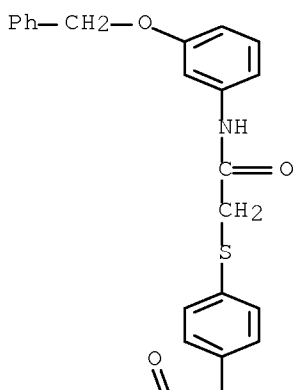
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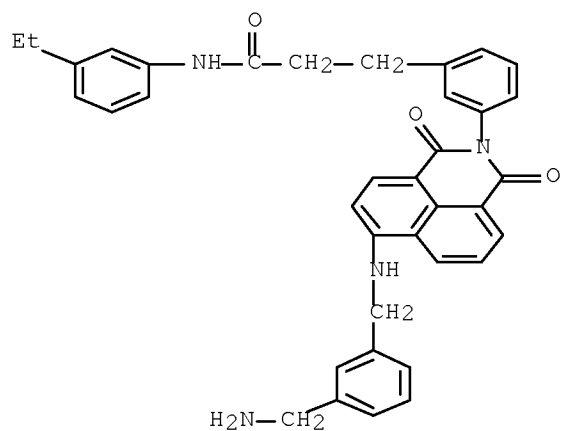


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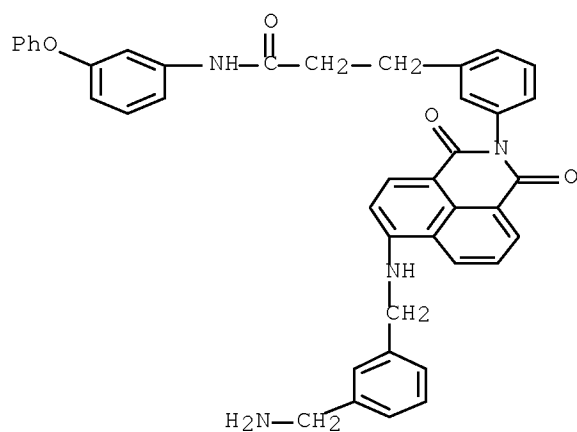
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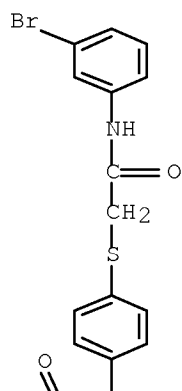
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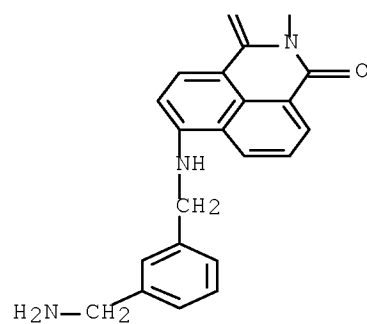
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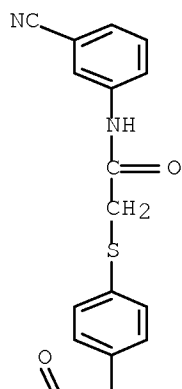


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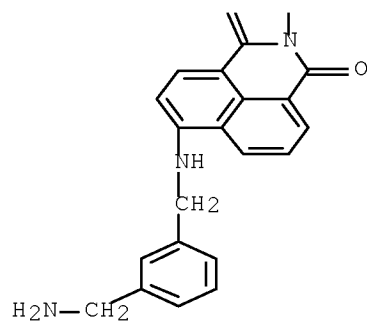


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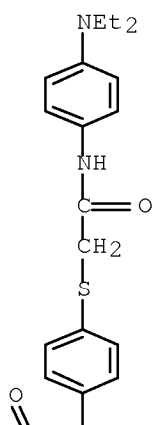
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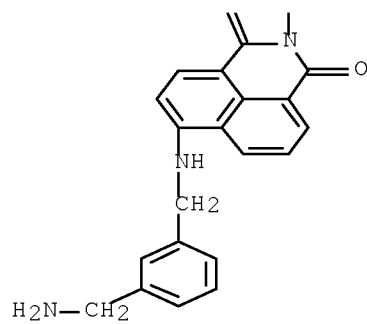
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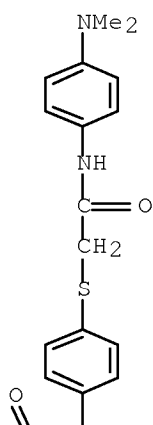


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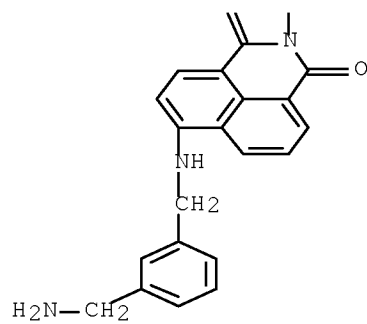


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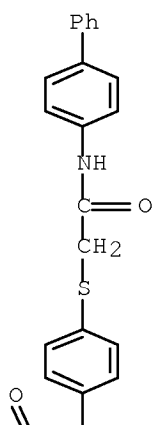


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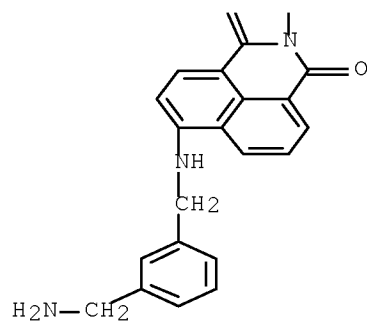


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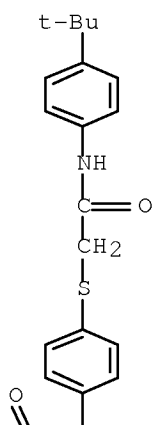


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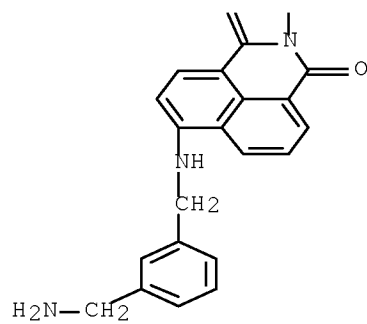


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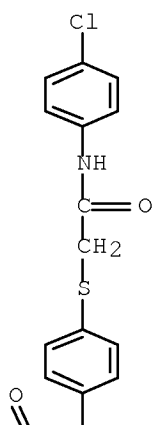


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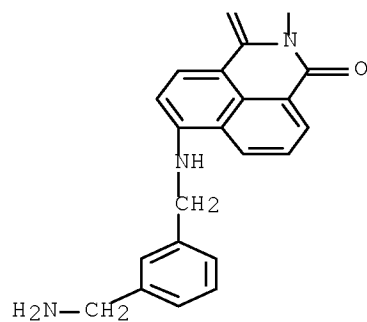


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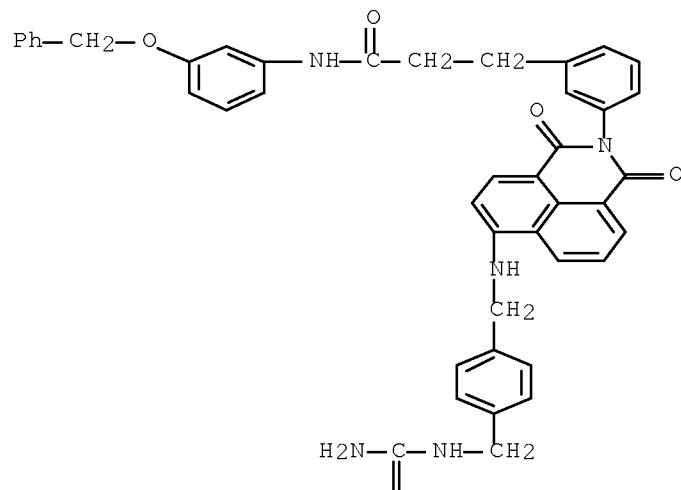


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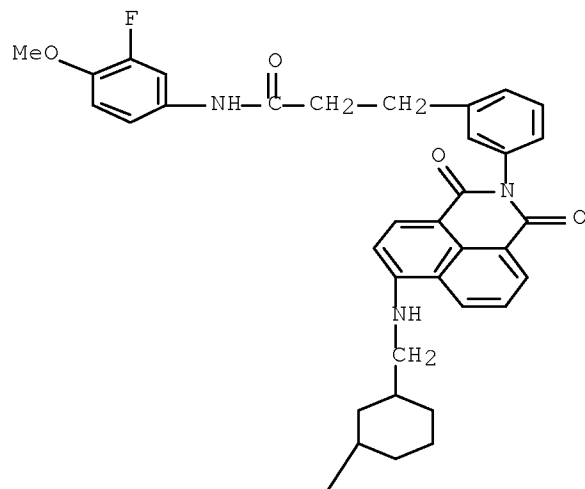


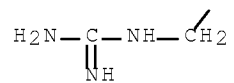
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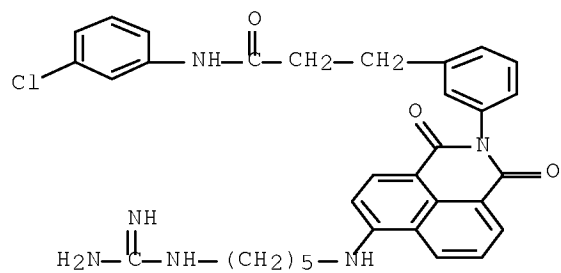
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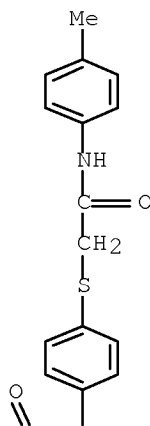


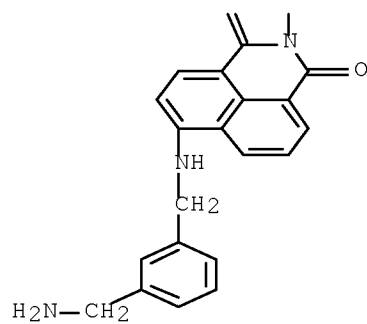


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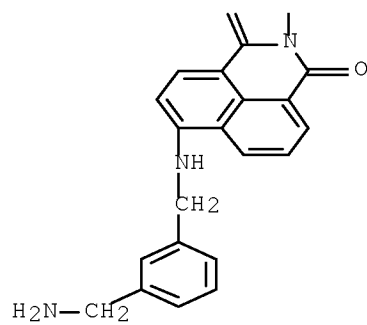
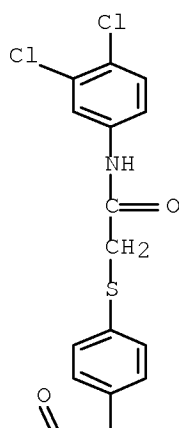


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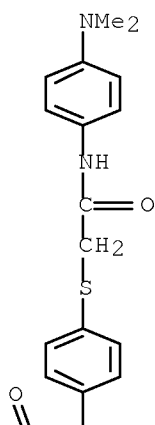
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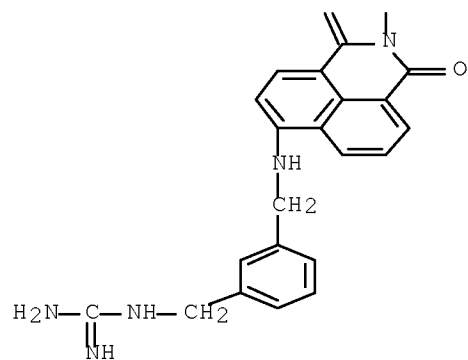


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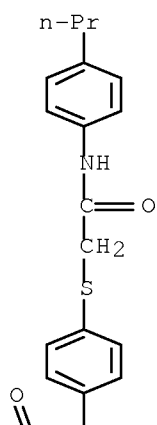


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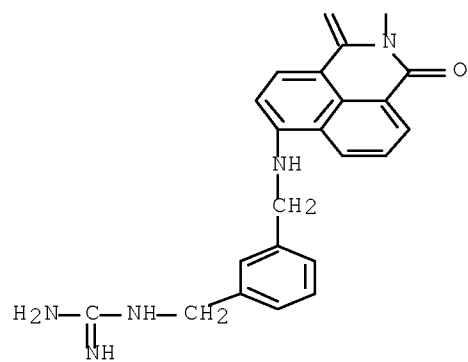


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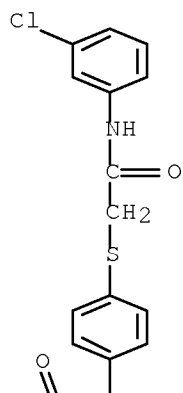


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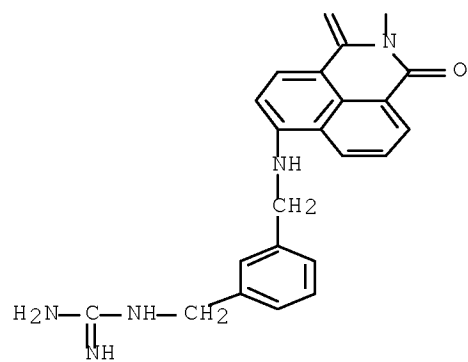


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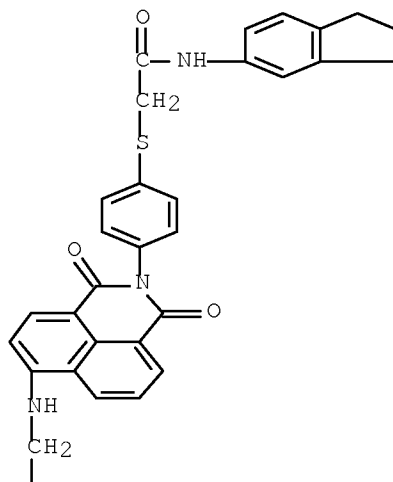


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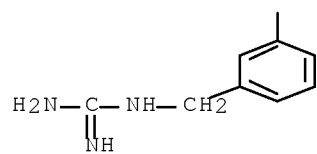


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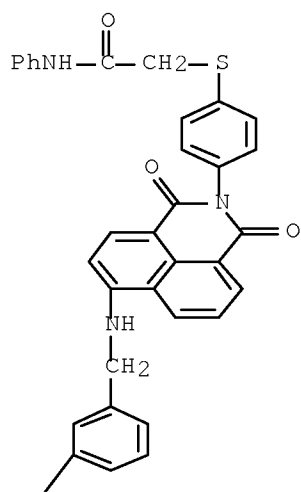


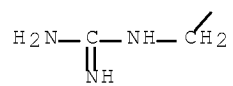
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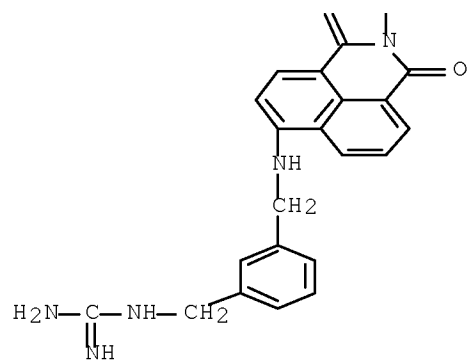
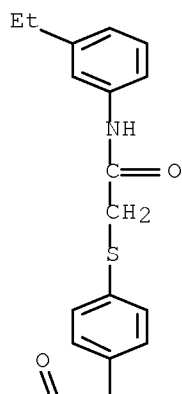




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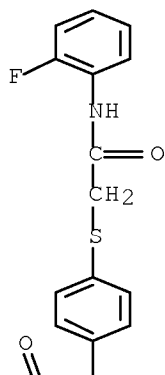
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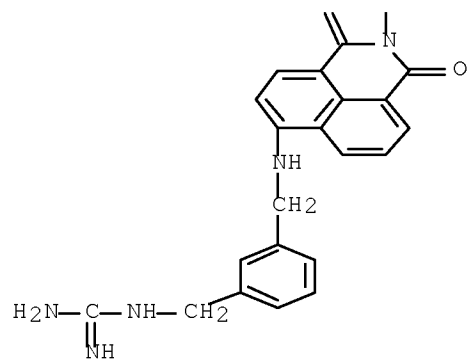
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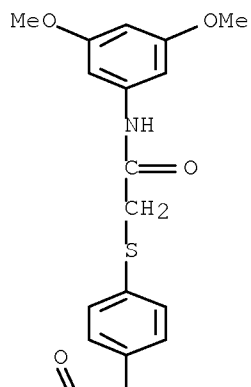


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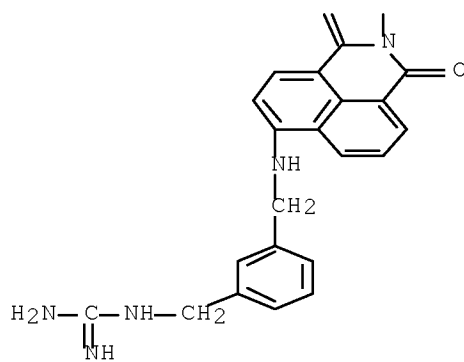


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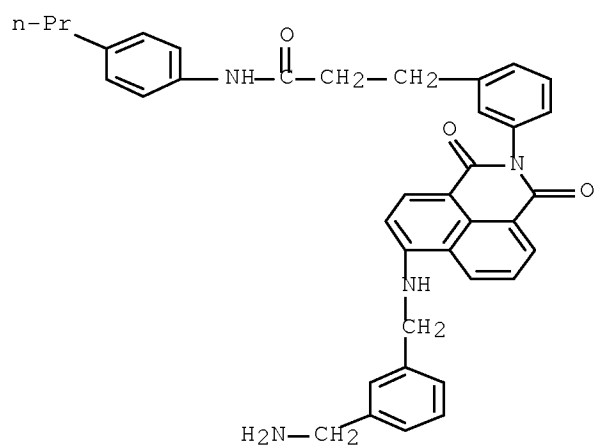
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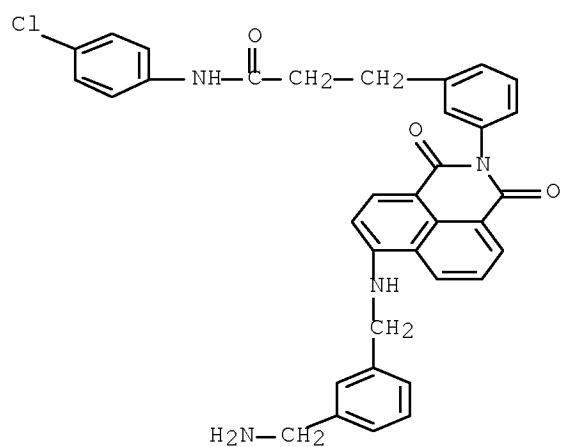
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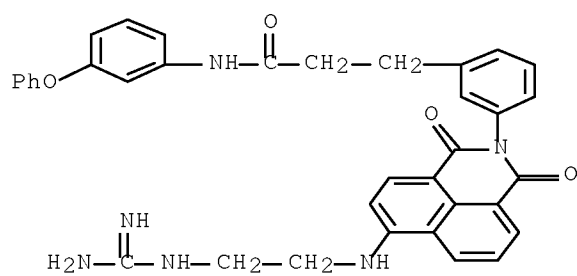
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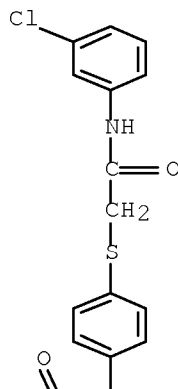


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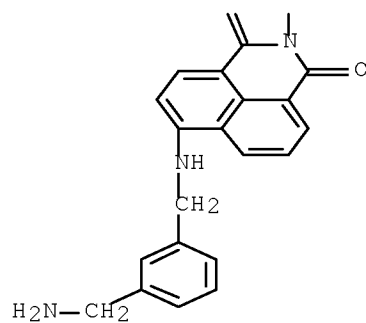


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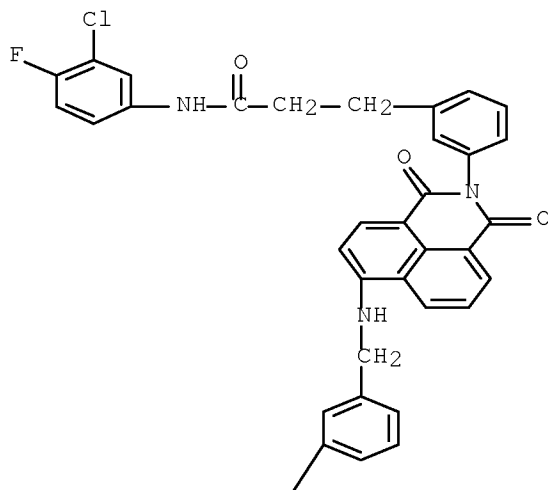


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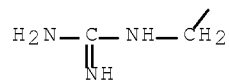


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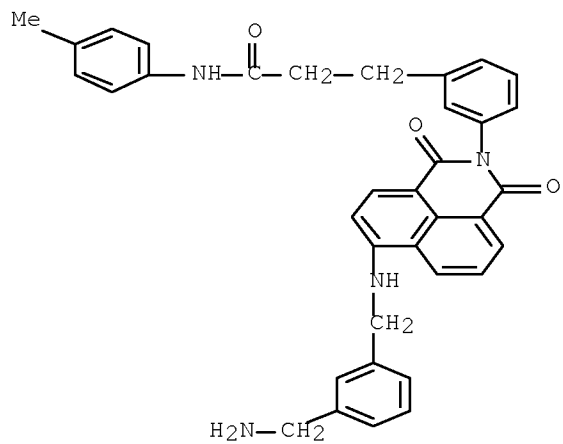
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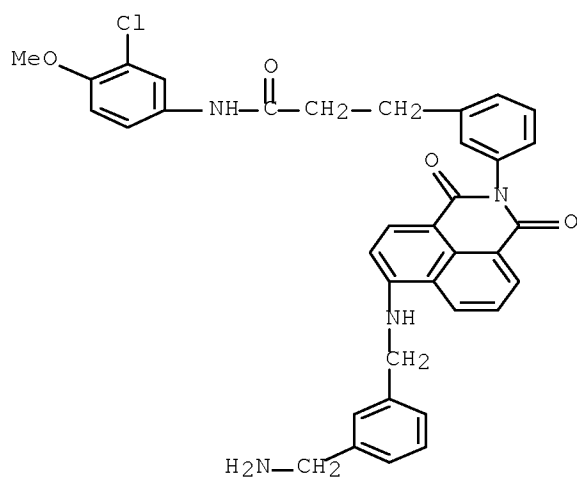
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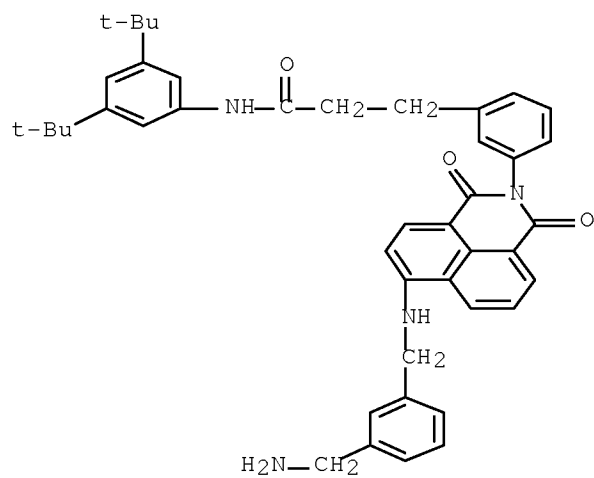
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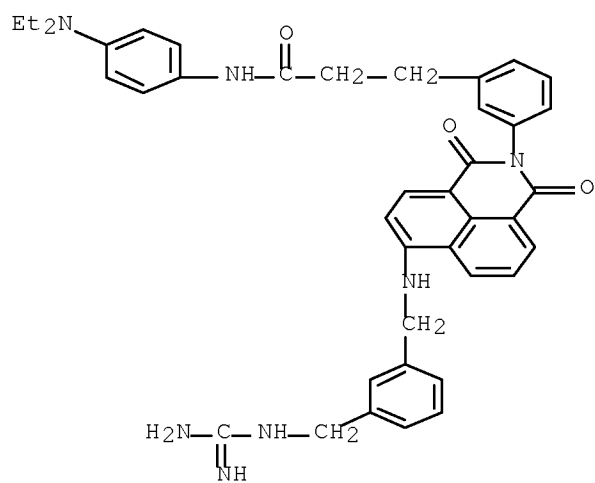
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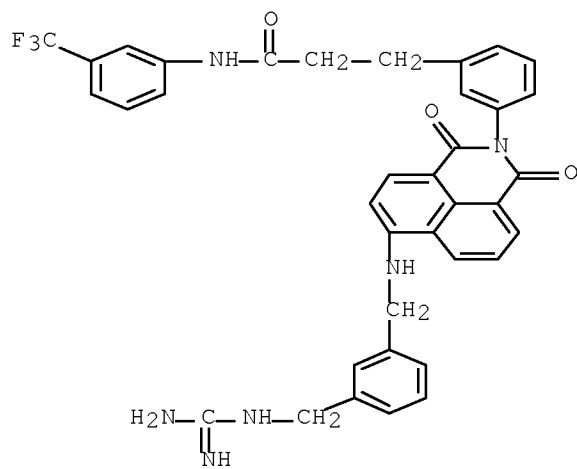
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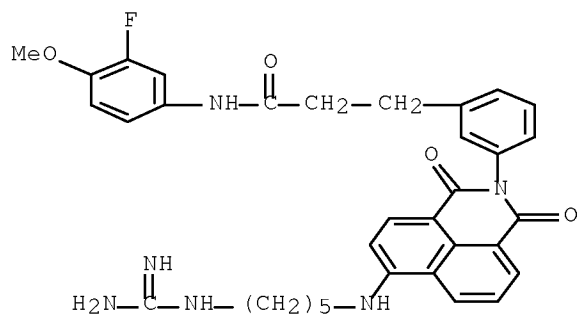
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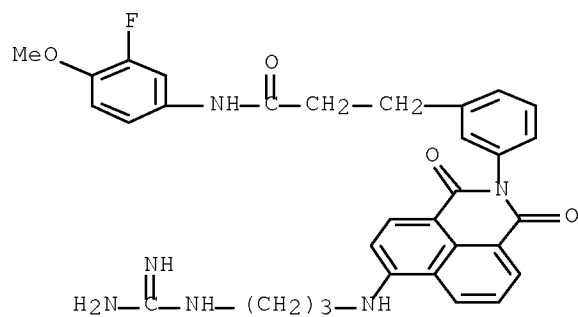
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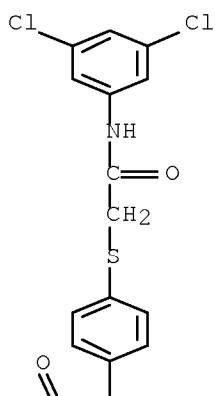
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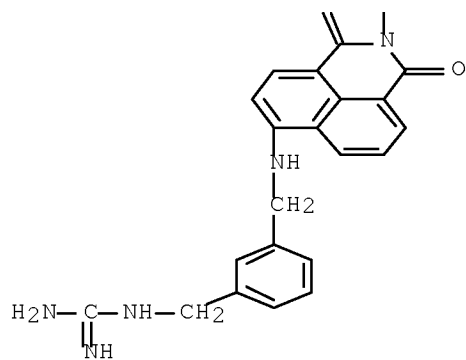


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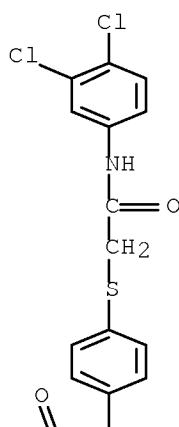


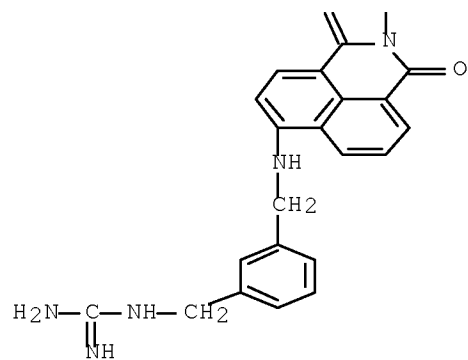
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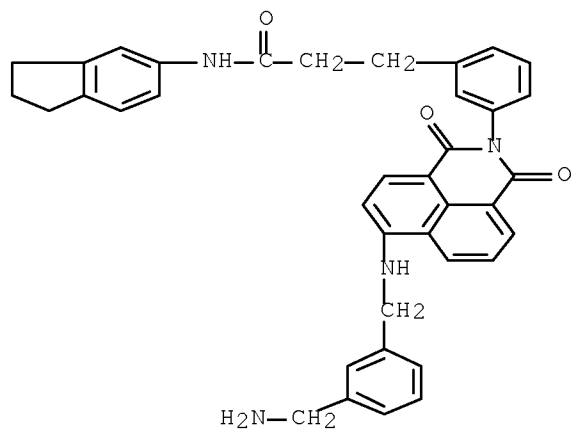


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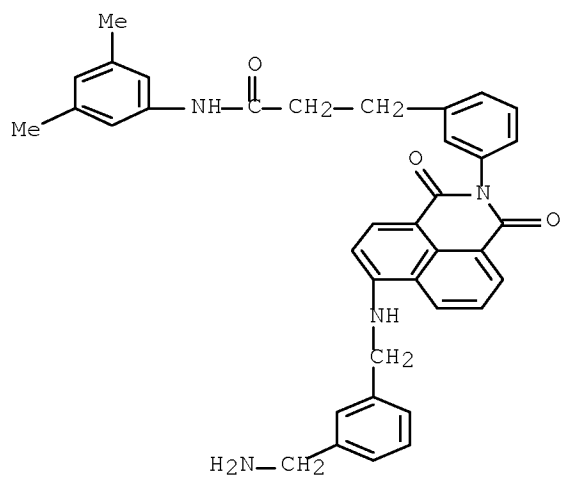




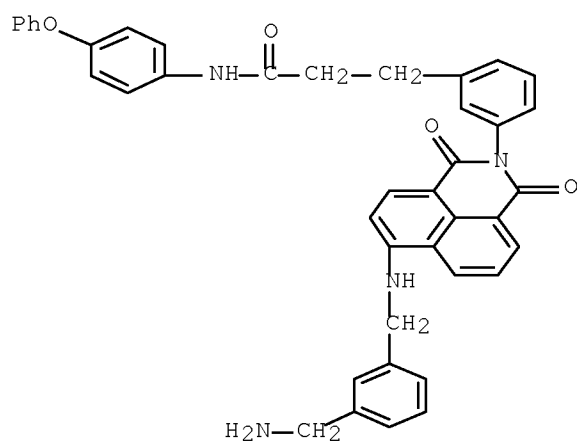
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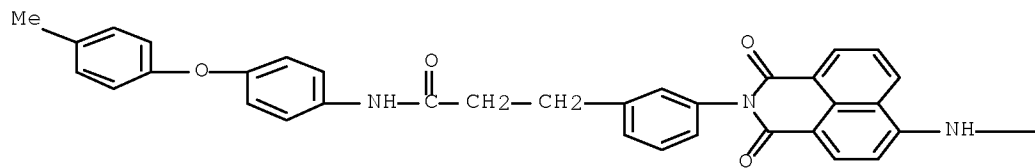
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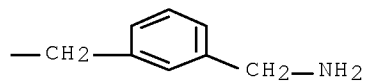
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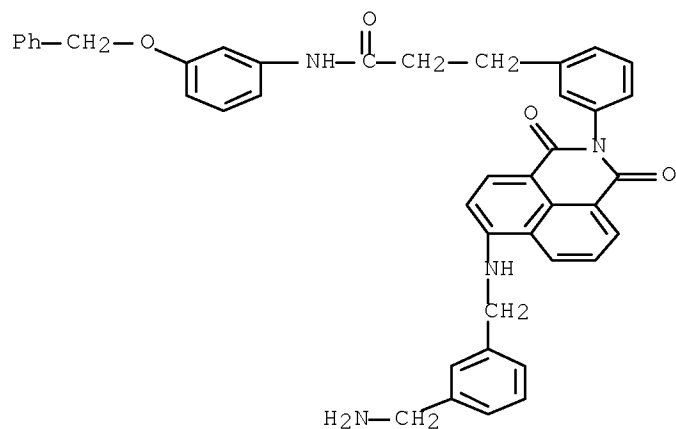
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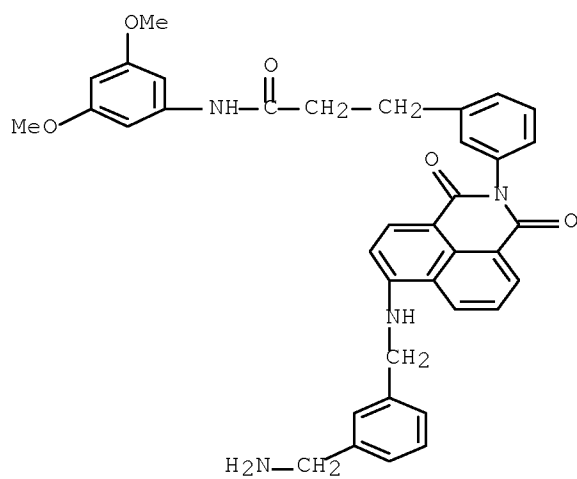




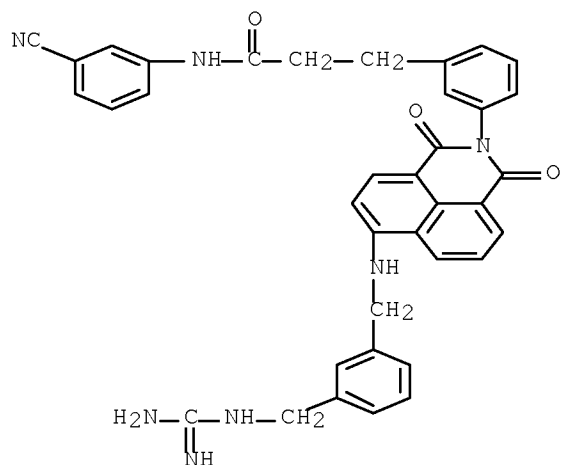
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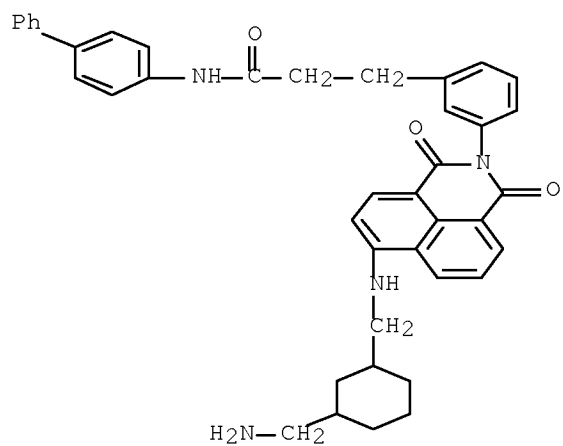
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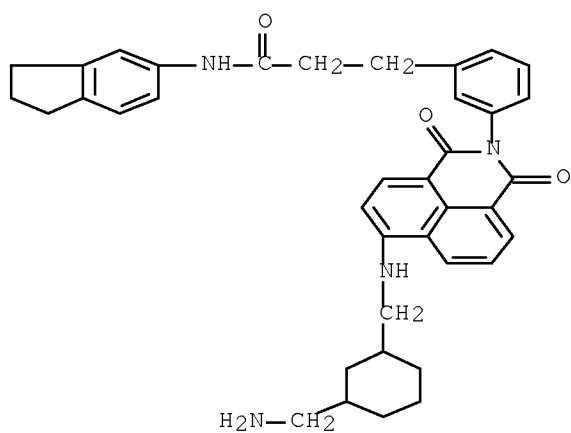
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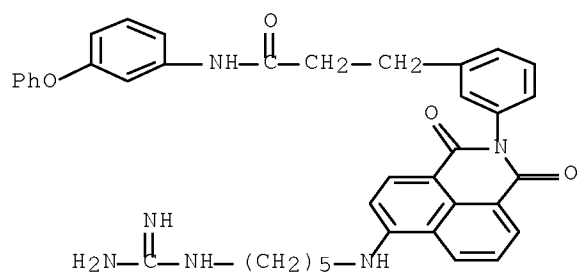
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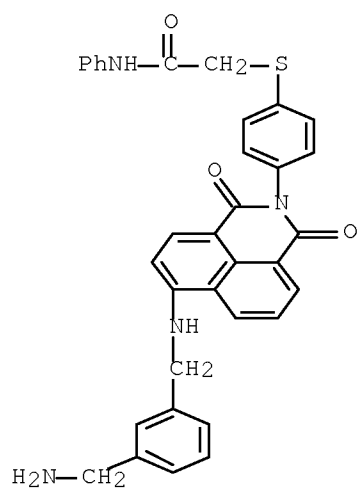
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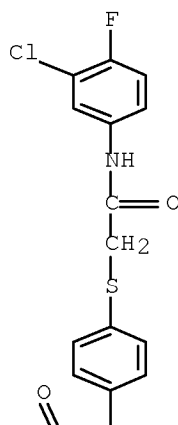


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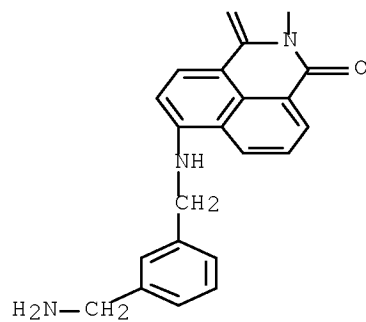


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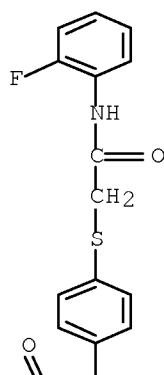


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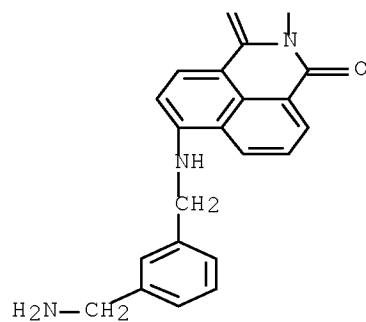


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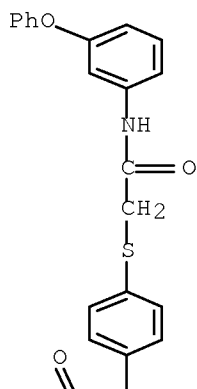


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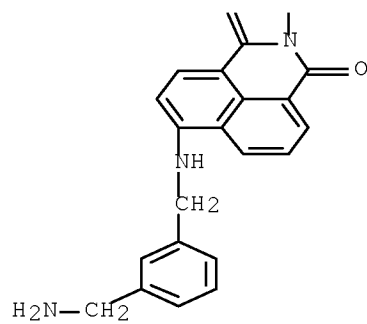


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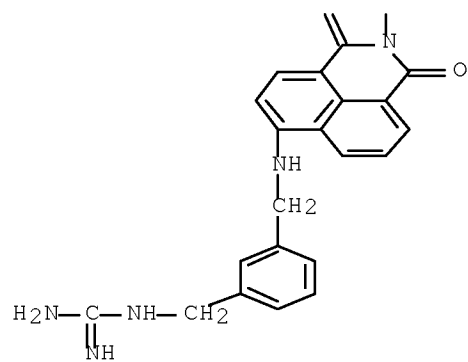
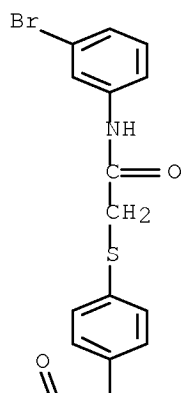
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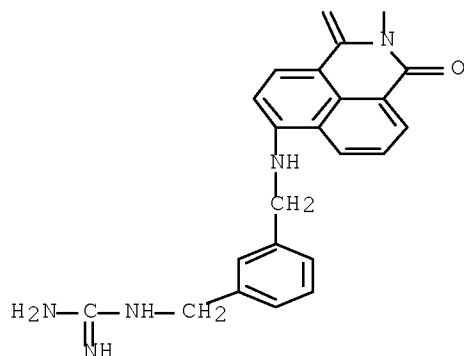
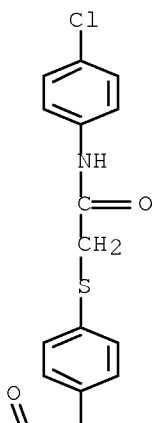
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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:286882 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 132:308340

TITLE: Preparation of aryltriazolones as agrochemical fungicides.

INVENTOR(S): Brown, Richard James; Frasier, Deborah Ann; Howard, Michael Henry, Jr.; Koether, Gerard Michael

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA

SOURCE: U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 442,433, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

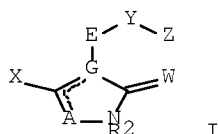
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3



## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9636616	A1	19961121	WO 1996-US6534	19960508
W: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
RW: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
AU 9657350	A	19961129	AU 1996-57350	19960508
EP 825988	A1	19980304	EP 1996-915613	19960508
R: DE, ES, FR, GB, IT				
BR 9608756	A	19990706	BR 1996-8756	19960508
JP 2002515014	T	20020521	JP 1996-534897	19960508
PRIORITY APPLN. INFO.:				
			US 1995-442433	B2 19950517
			US 1995-443295	A 19950517
			US 1995-4183P	P 19950922
			WO 1996-US6534	W 19960508
OTHER SOURCE(S): MARPAT 132:308340				
GI				



AB Title compds. [I; E = (substituted) 1,2-phenylene; A = O, S, N, NR5, CR14; G = C, N; when G = C, then A = O, S or NR5 and the floating double bond is attached to G; when G = N, then A = N or CR14 and the floating double bond is attached to A; W = O, S, NH, NA, NOA; A = alkyl; X = OR1, SOR1, halo; R1 = alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxy carbonyl; R2 = H, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkenyl, cycloalkyl, alkylcarbonyl, alkoxy carbonyl, OH, alkoxy, AcO; R5 = H, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxy carbonyl; R14 = H, halo, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl; Y = NR15, CO, CHR15OC(S)NR15, etc.; R15 = H, alkyl, cycloalkyl, (substituted) Ph, PhCH2, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, heterocyclyl, etc.], were prepared Thus, 4-[2-(bromomethyl)phenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one (preparation given) was treated with 4'-chlorothiopropionanilide and KOCMe3 followed by stirring overnight and brief reflux to give [[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenyl]methyl]-N-(4-chlorophenyl)propanimidothioate. Several I at 200 ppm gave complete control of Puccinia recondita on wheat seedlings.

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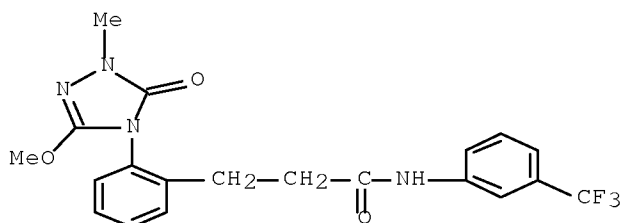
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RL: PRPH (Prophetic)

(Preparation of aryltriazolones as agrochemical fungicides.)

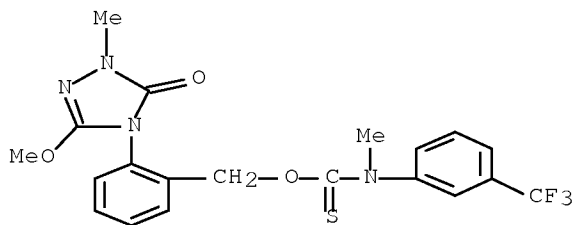
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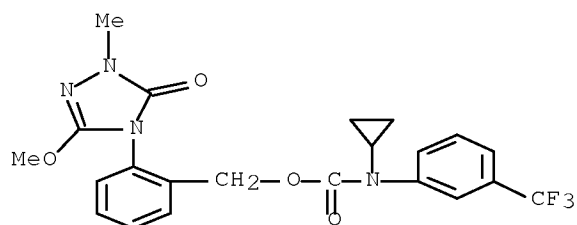
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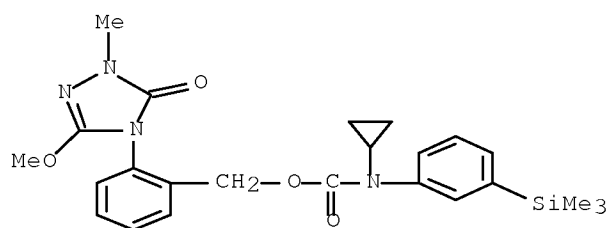


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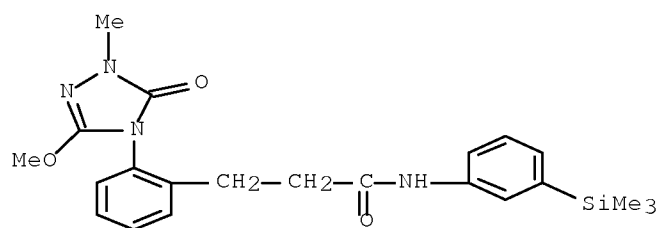
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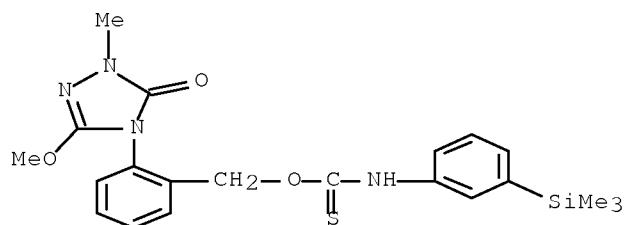
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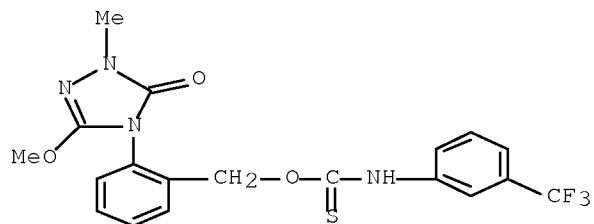
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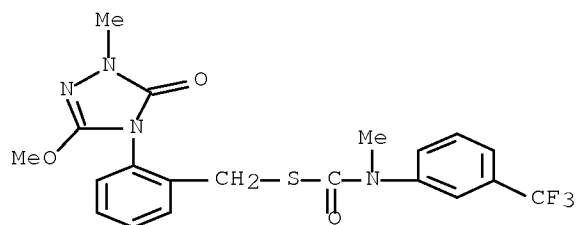
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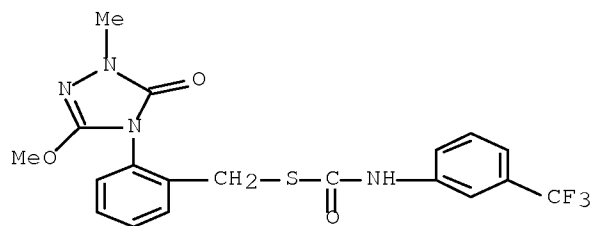
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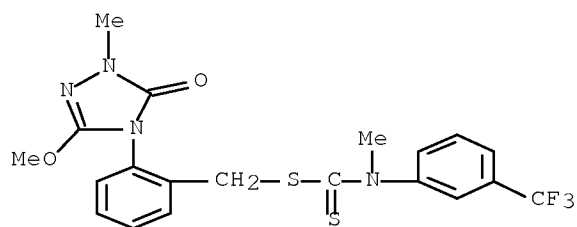
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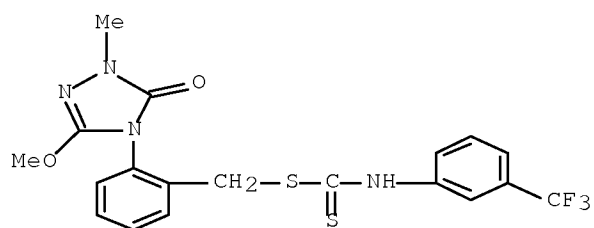
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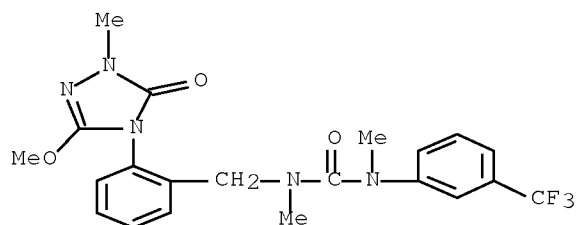
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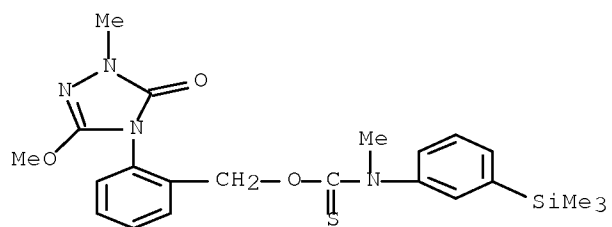
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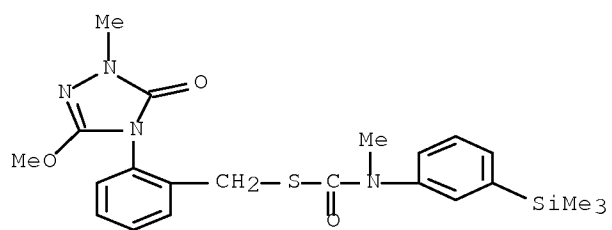
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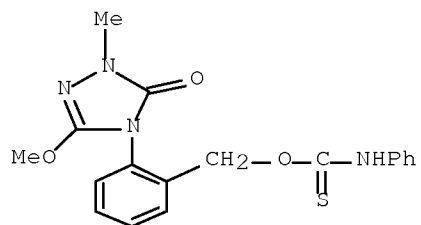
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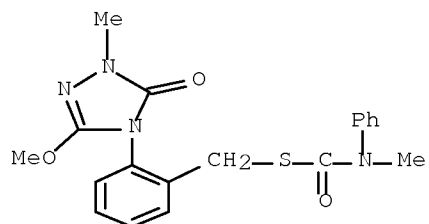
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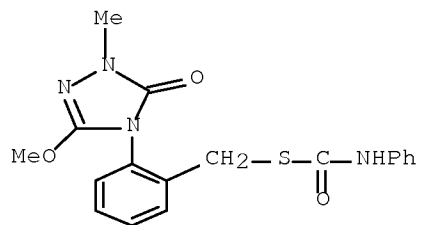
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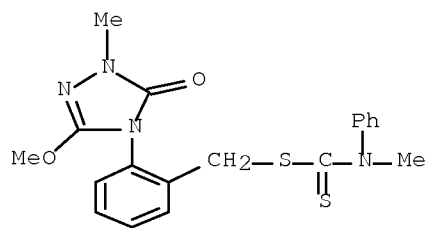
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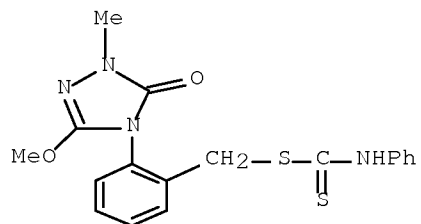
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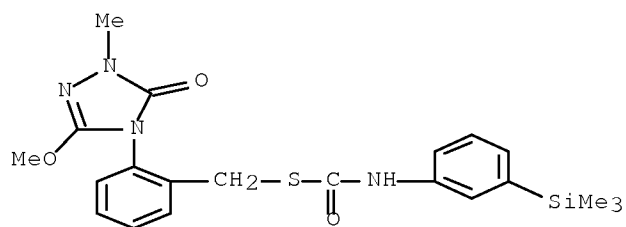
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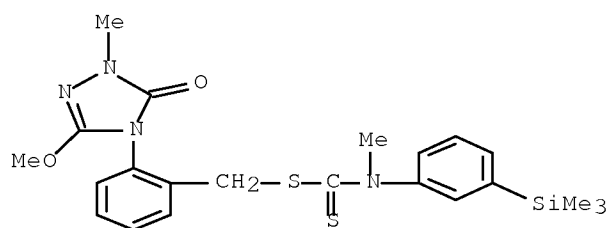
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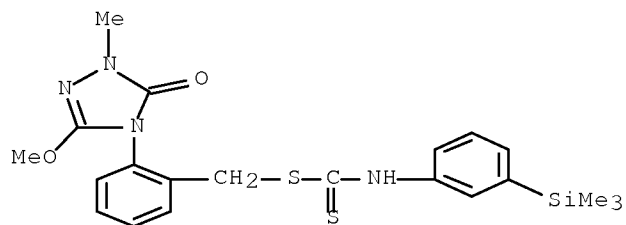
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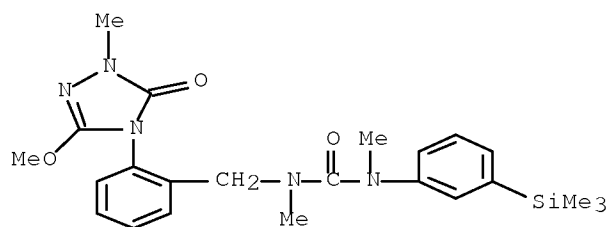


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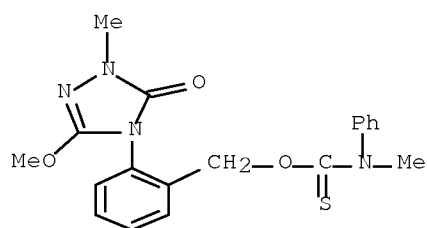


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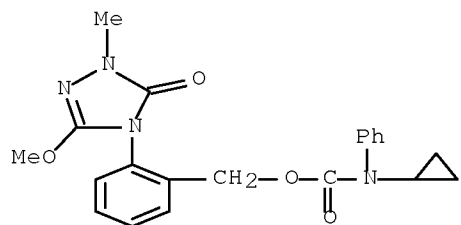




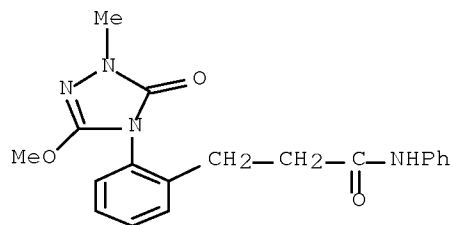
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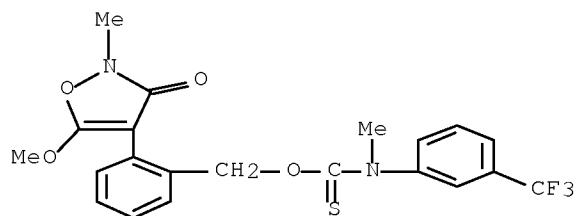
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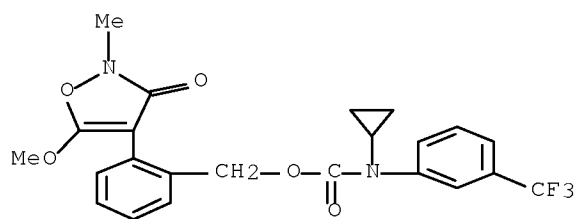
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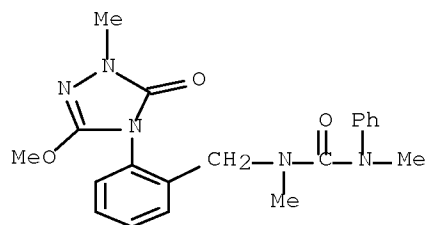
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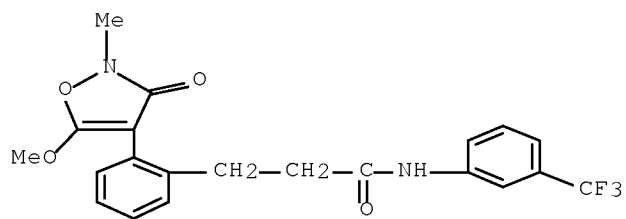
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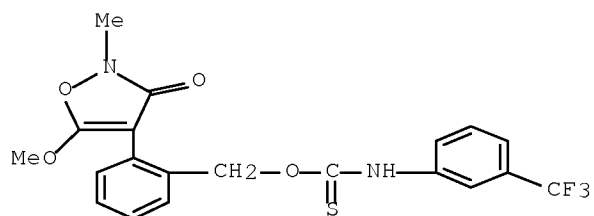
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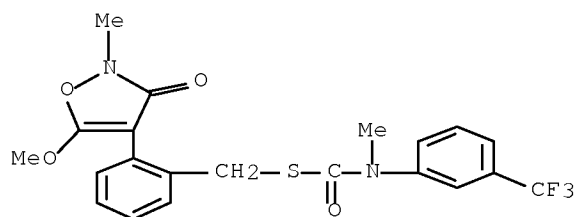
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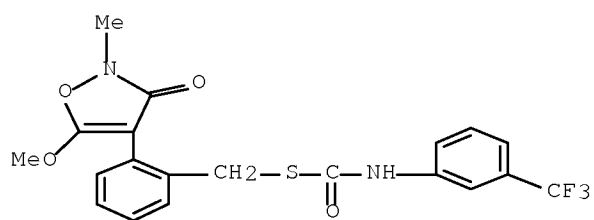
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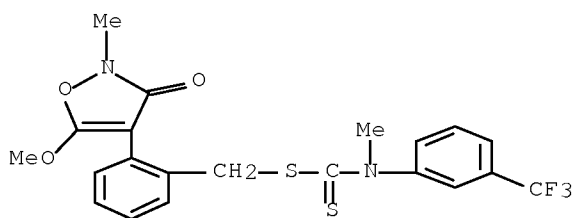
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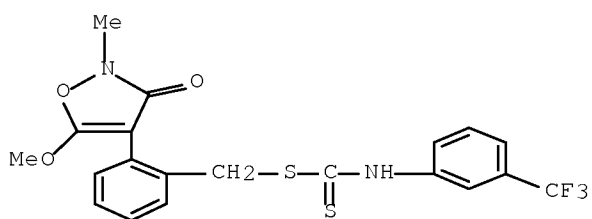
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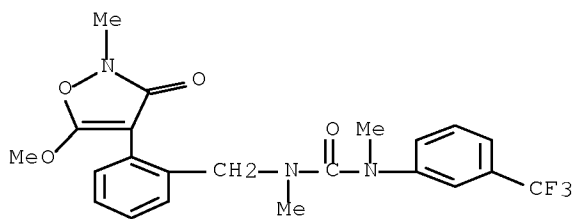
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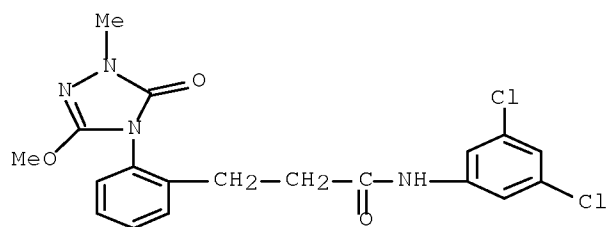
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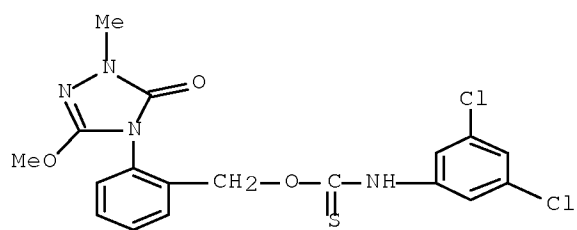
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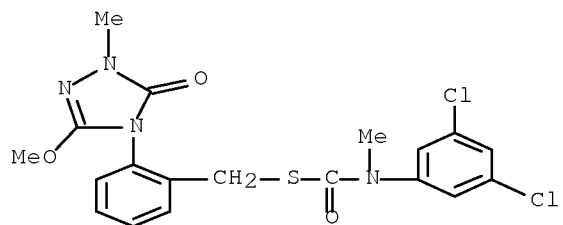
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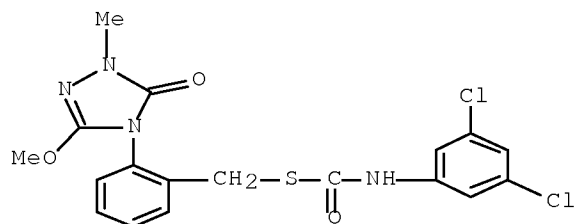
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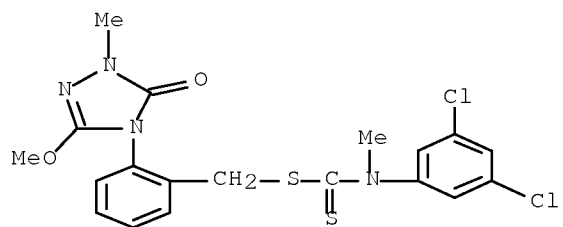
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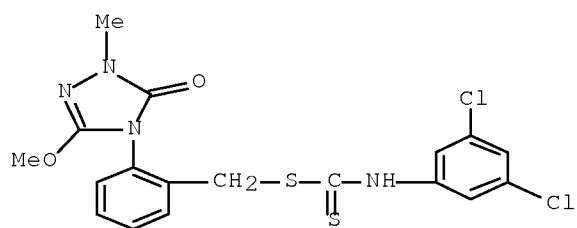
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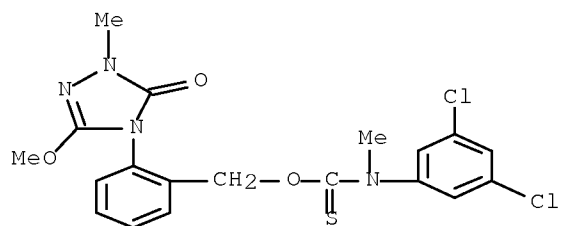
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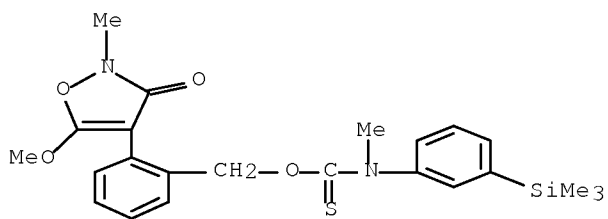
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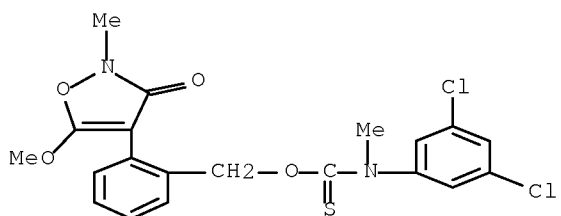
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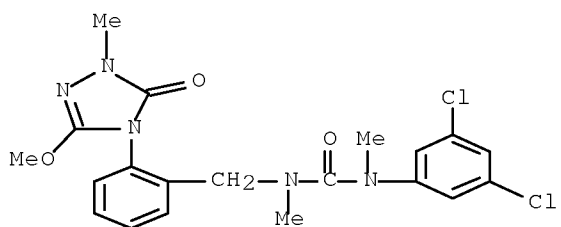
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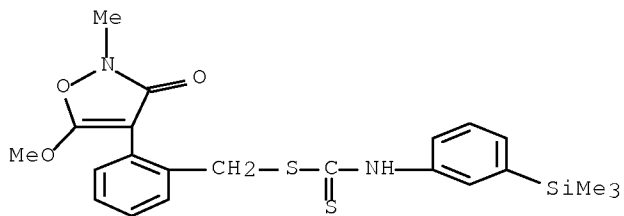
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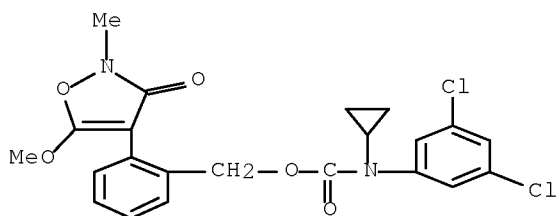
RN 1100553-61-4 CAPLUS  
CN Urea, N-(3,5-dichlorophenyl)-N'-[[2-(1,5-dihydro-3-methoxy-1-methyl-5-oxo-4H-1,2,4-triazol-4-yl)phenyl]methyl]-N,N'-dimethyl- (CA INDEX NAME)



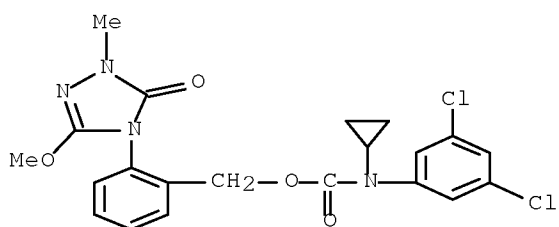
RN 1100553-71-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



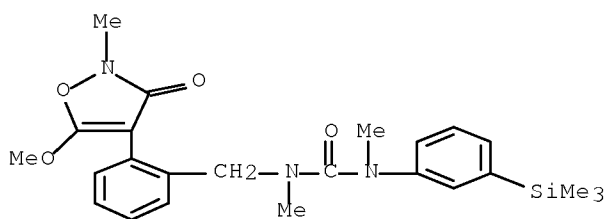
RN 1100553-72-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1100554-01-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

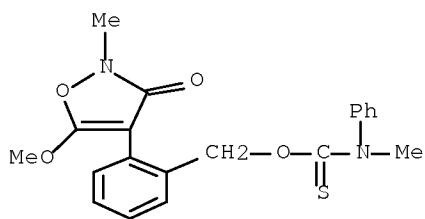


RN 1100554-90-2 CAPLUS  
CN Urea, N-[[2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)phenyl)methyl]-N,N'-dimethyl-N'-[3-(trimethylsilyl)phenyl]-  
(CA INDEX NAME)



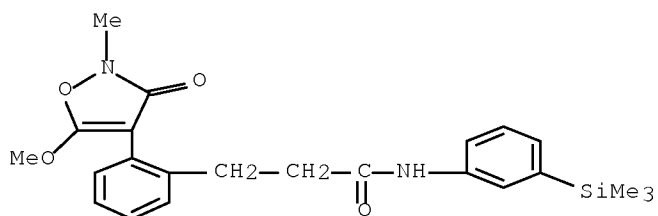
RN 1100555-02-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED





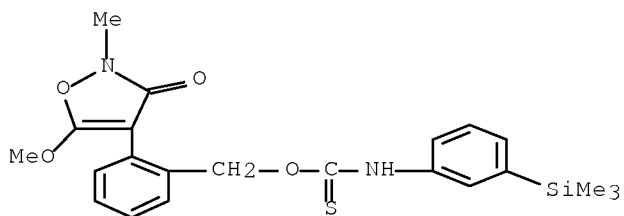
RN 1100555-87-0 CAPLUS

CN Benzenepropanamide, 2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)-  
N-[3-(trimethylsilyl)phenyl]- (CA INDEX NAME)



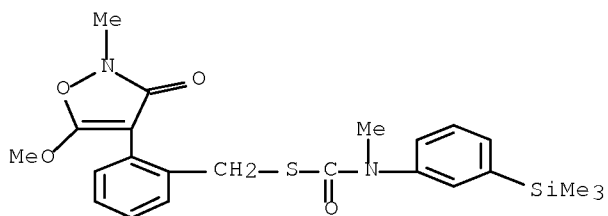
RN 1100555-92-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



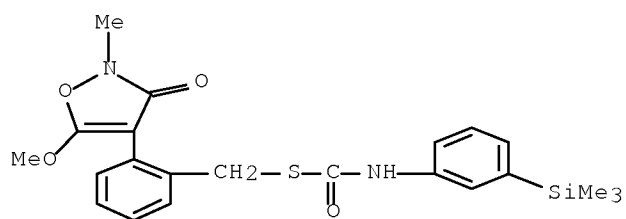
RN 1100556-01-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



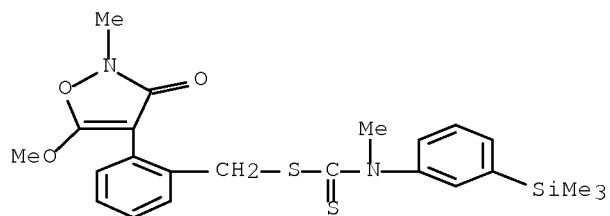
RN 1100556-02-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



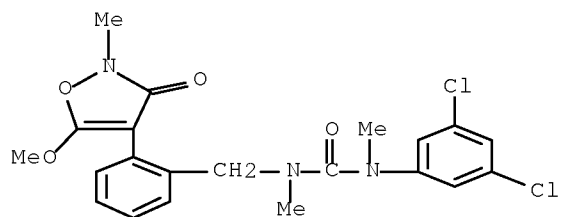
RN 1100556-03-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



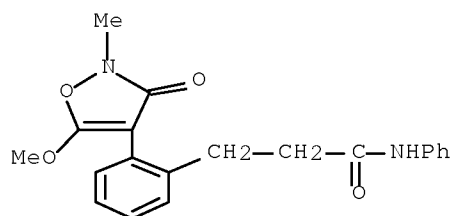
RN 1100556-05-5 CAPLUS

CN Urea, N-(3,5-dichlorophenyl)-N'-[[2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)phenyl]methyl]-N,N'-dimethyl- (CA INDEX NAME)

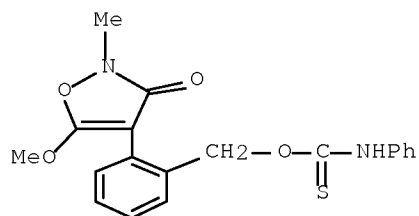


RN 1100556-25-9 CAPLUS

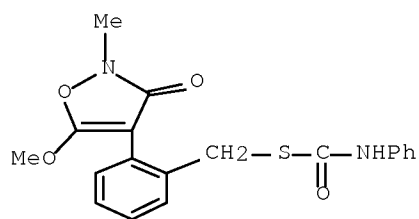
CN Benzenepropanamide, 2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)-N-phenyl- (CA INDEX NAME)



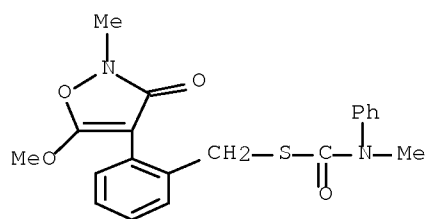
RN 1100556-28-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



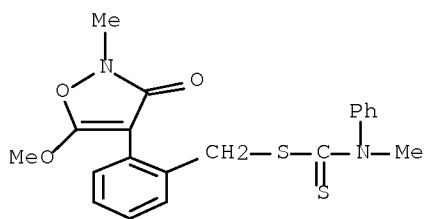
RN 1100556-37-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



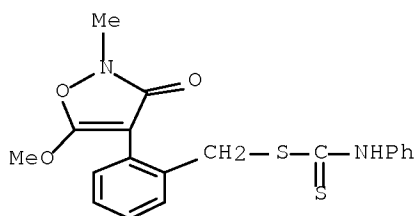
RN 1100556-38-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



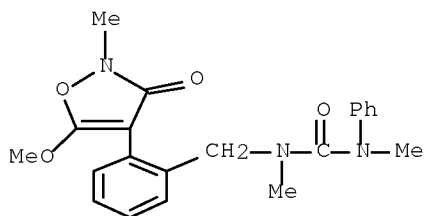
RN 1100556-39-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



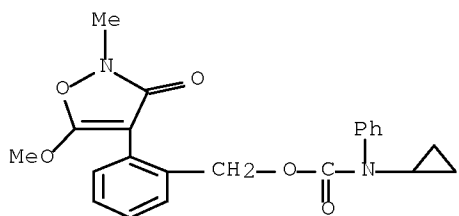
RN 1100556-40-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1100556-46-4 CAPLUS  
CN Urea, N-[[2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)phenyl]methyl]-N,N'-dimethyl-N'-phenyl- (CA INDEX NAME)

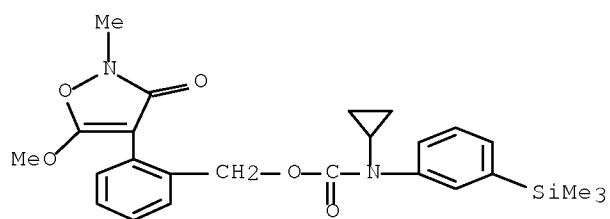


RN 1100556-79-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



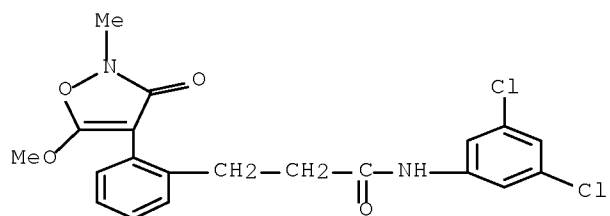
RN 1100556-97-5 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



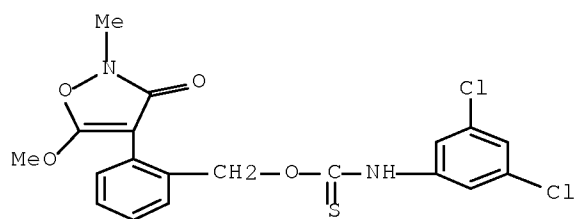
RN 1100557-35-4 CAPLUS

CN Benzenepropanamide, N-(3,5-dichlorophenyl)-2-(2,3-dihydro-5-methoxy-2-methyl-3-oxo-4-isoxazolyl)- (CA INDEX NAME)



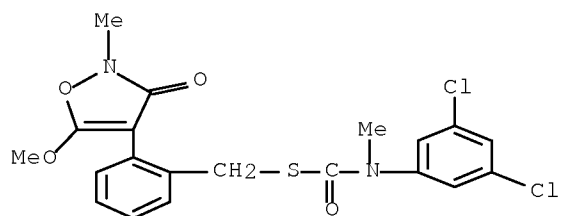
RN 1100557-38-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

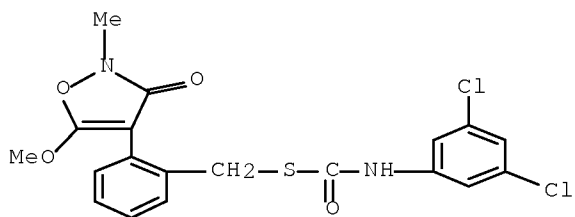


RN 1100557-46-7 CAPLUS

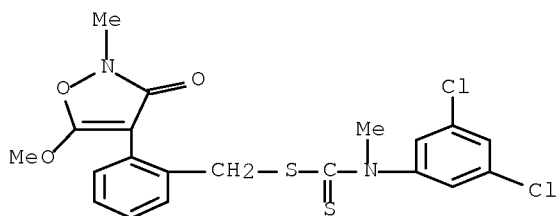
CN INDEX NAME NOT YET ASSIGNED



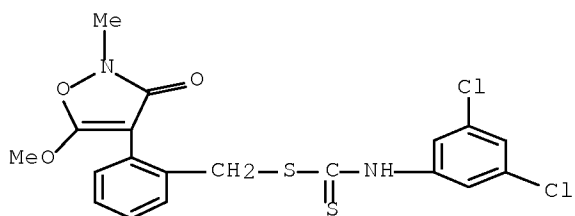
RN 1100557-47-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1100557-48-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1100557-49-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

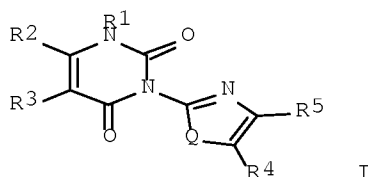


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1999:668168 CAPLUS Full-text  
DOCUMENT NUMBER: 131:271883  
TITLE: Preparation of oxazolyl- and thiazolyluracils as herbicides and insecticides.  
INVENTOR(S): Andree, Roland; Dollinger, Markus; Drewes, Mark Wilhelm; Wetcholowsky, Ingo; Erdelen, Christoph; Myers, Randy Allen

PATENT ASSIGNEE(S): Bayer A.-G., Germany  
 SOURCE: Ger. Offen., 22 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19854082	A1	19991014	DE 1998-19854082	19981124
WO 9952906	A1	19991021	WO 1999-EP2087	19990326
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9937026 A 19991101 AU 1999-37026 19990326 PRIORITY APPLN. INFO.: DE 1998-19815716 A1 19980408 DE 1998-19854082 A 19981124 WO 1999-EP2087 W 19990326 OTHER SOURCE(S): MARPAT 131:271883 GI				

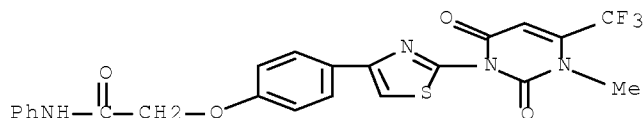


AB Title compds. [I; Q = O, S; R1 = H, amino, alkyl, cyanoalkyl, haloalkyl, alkoxyalkyl; R2 = CHO, hydroximinomethyl, cyano, CO2H, alkoxycarbonyl, carbamoyl, thiocarbamoyl, alkyl, haloalkyl; R3 = H, cyano, halo, haloalkyl; R4 = H, halo, alkyl, haloalkyl; R5 = (substituted) Ph, naphthyl, pyridyl], were prepared Thus, Et 3-amino-4,4,4-trifluorocrotonate in N-methylpyrrolidone was treated with NaH and then with N-[4-(2,6-dichloropyridin-4-yl)thiazol-2-yl]-O-ethylurethane (preparation given) followed by 6 h heating at 160° to give 58% 1-[4-(2,6-dichloropyridin-4-yl)thiazol-2-yl]-4-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidine. The latter was stirred with 1-aminooxy-2,4-dinitrobenzene and NaHCO3 in DMF to give 43% 3-amino-1-[4-(2,6-dichloropyridin-4-yl)thiazol-2-yl]-4-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidine. The latter was said to have strong activity against weeds combined with good crop tolerance.

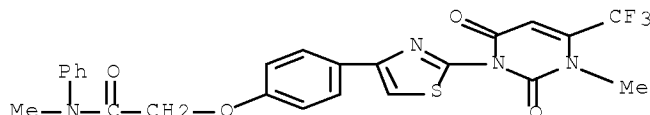
IT 1099029-02-3 1099029-03-4  
 RL: PRPH (Prophetic)  
 (Preparation of oxazolyl- and thiazolyluracils as herbicides and insecticides.)

RN 1099029-02-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



RN 1099029-03-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

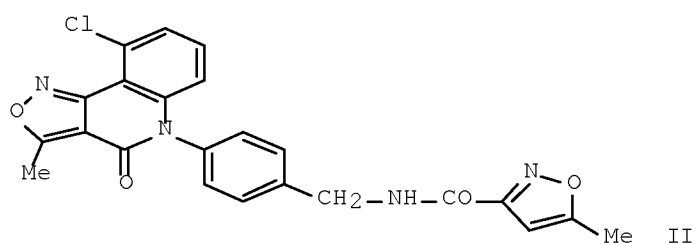
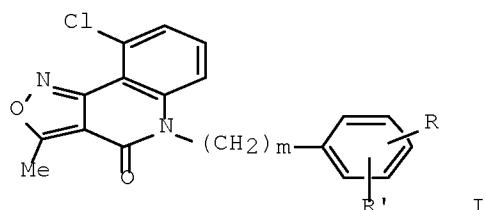


L3 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1999:659233 CAPLUS Full-text  
DOCUMENT NUMBER: 131:286505  
TITLE: Preparation of isoxazoloquinolinones as multidrug  
resistance protein (MRP1) inhibitors  
INVENTOR(S): Gruber, Joseph Michael; Kroin, Julian Stanley; Norman,  
Bryan Hurst  
PATENT ASSIGNEE(S): Eli Lilly and Company, USA  
SOURCE: PCT Int. Appl., 126 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951228	A1	19991014	WO 1999-US7613	19990407
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2327617	A1	19991014	CA 1999-2327617	19990407
AU 9934769	A	19991025	AU 1999-34769	19990407
TR 200002851	T2	20001221	TR 2000-2851	19990407
BR 9910112	A	20001226	BR 1999-10112	19990407
EP 1067928	A1	20010117	EP 1999-916456	19990407
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO			
HU 2001001508	A2	20011028	HU 2001-1508	19990407
HU 2001001508	A3	20020429		
US 6369070	B1	20020409	US 2000-646062	20000913
MX 2000009655	A	20010316	MX 2000-9655	20001002



HR 2000000646	A1	20010630	HR 2000-646	20001003
NO 2000005023	A	20001205	NO 2000-5023	20001005
PRIORITY APPLN. INFO.:			US 1998-81080P	P 19980408
			WO 1999-US7613	W 19990407
OTHER SOURCE(S):	MARPAT 131:286505			
GI				



AB The title compds. (I) [where R = (un)substituted amino(alkyl) or aminoethoxy, or (CH<sub>2</sub>)<sup>m</sup>R<sub>3</sub>; m and m' = independently 0, 1, or 2; R<sub>3</sub> = H, OH, alkoxy, amino ester, amino acid, or (un)substituted amino; R' = H, OH, or (un)substituted alkoxy] were prepared as inhibitors of 190 kDa multidrug resistance protein (MRP1) for inhibiting resistant neoplasms (14 specific neoplasm types claimed). Selected invention compds. were prepared via solution and solid phase combinatorial synthetic methods. For example, 3-(2-chloro-6-fluorophenyl)-5-methyl-4-isoxazoyl chloride was coupled with N-(5-methylisoxaz-3-oyl)-3-aminobenzylamine to form the amide followed by treatment with NaOH to yield the cyclized title compound (II). Several general procedures using substituted polystyrene resins for combinatorial preparation of title compds. were given. Representative compds. demonstrated significant reversal of MRP1 multiple drug resistance, and many compds. gave significant enhancement of oncolytic agent activities (no data). A large majority of the compds. tested were also said to have displayed a significant degree of selective inhibition of the HL60/ADR cell line over the HL60/VCR cell line in an assay for reversal of MRP1-mediated doxorubicin and vincristine resistance (no data).

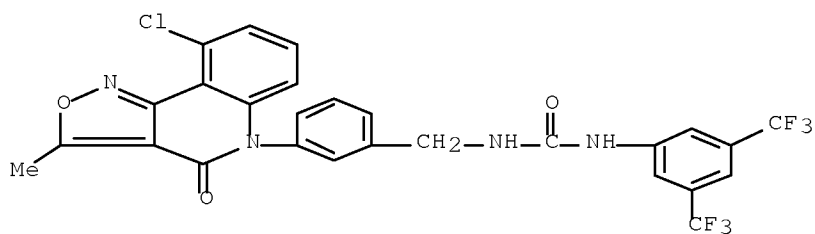
IT 1101885-24-8 1101885-25-9 1101885-26-0  
1101885-27-1

RL: PRPH (Prophetic)

(Preparation of isoxazoloquinolinones as multidrug resistance protein (MRP1) inhibitors)

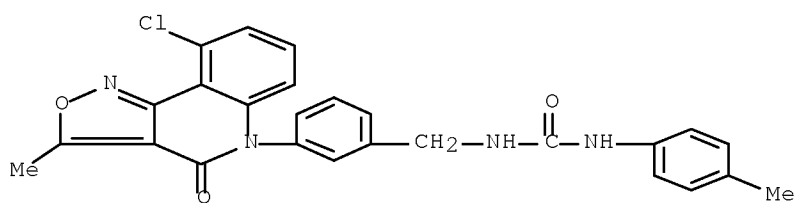
RN 1101885-24-8 CAPLUS

CN Urea, N-[3,5-bis(trifluoromethyl)phenyl]-N'-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]- (CA INDEX NAME)



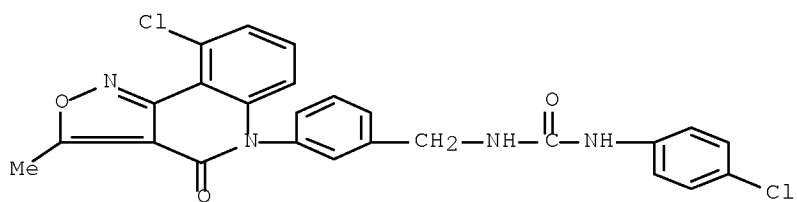
RN 1101885-25-9 CAPLUS

CN Urea, N-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]-N'-(4-methylphenyl)- (CA INDEX NAME)



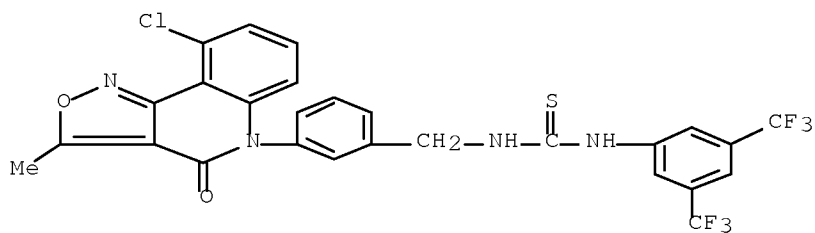
RN 1101885-26-0 CAPLUS

CN Urea, N-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]-N'-(4-chlorophenyl)- (CA INDEX NAME)



RN 1101885-27-1 CAPLUS

CN Thiourea, N-[3,5-bis(trifluoromethyl)phenyl]-N'-[[3-(9-chloro-3-methyl-4-oxoisoxazolo[4,3-c]quinolin-5(4H)-yl)phenyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:375534 CAPLUS Full-text

DOCUMENT NUMBER: 131:31809

TITLE: Preparation of phenylethylideneaminoxymethylbenzeneacetamides and related compounds as agrochemical fungicides and arthropodicides.

INVENTOR(S): Walker, Michael Paul

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

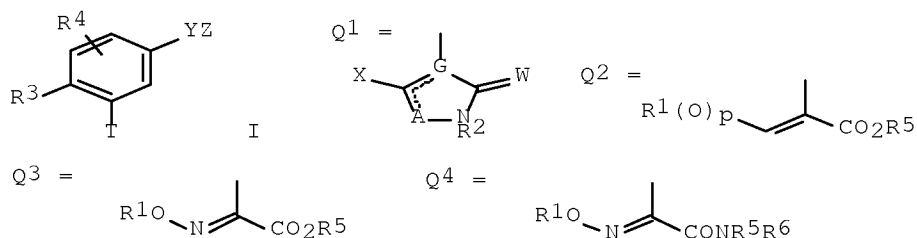
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928305	A1	19990610	WO 1998-US24265	19981113
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9914078	A	19990616	AU 1999-14078	19981113
PRIORITY APPLN. INFO.:			US 1997-67070P	P 19971201
			WO 1998-US24265	W 19981113
OTHER SOURCE(S):		MARPAT 131:31809		
GI				



AB Title compds. (I; A = O, S, N, NR<sub>5</sub>, CR<sub>7</sub>; G = C, N; T = Q<sub>1</sub>-Q<sub>4</sub>; YZ = group consisting of ≥3 atoms selected from C, N, O, S, Si, Ge, provided that ≥2 atoms = C, and addnl. atoms selected from H, F, Cl, Br, I; X = OR<sub>1</sub>, SOMR<sub>1</sub>, halo; W = O, S; R<sub>1</sub> = alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxy carbonyl; R<sub>3</sub> = halo, CF<sub>3</sub>, alkyl,

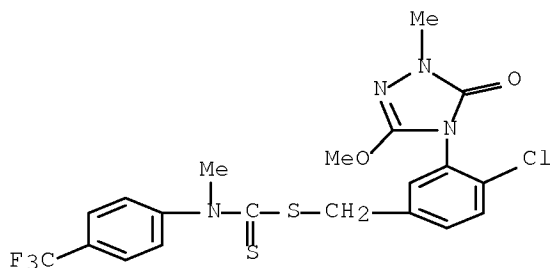
alkoxy; R4 = H, halo, CF3, alkyl, alkoxy; R2, R5, R6 = H, alkyl, haloalkyl, alkenyl, haloalkenyl, alkynyl, haloalkynyl, cycloalkyl, alkylcarbonyl, alkoxy carbonyl; R7 = H, halo, Me; m = 0-2; p = 0, 1; 1 dotted line = double bond; with provisos), were prepared Thus, 1-[3-(trifluoromethyl)phenyl]ethanone oxime was stirred 1 h in DMF; Me (E)-(5-bromomethyl)- $\alpha$ -methoxyimino-2-methylbenzeneacetate (preparation given) was added and the mixture was stirred 18 h to give Me (E)- $\alpha$ -methoxyimino-2-methyl-5-[[[1-[3-(trifluoromethyl)phenyl]ethylidene]amino]oxy]methyl]benzeneacetate. The latter at 200 ppm gave 98% control of erysiphe graminis on wheat.

IT 1101276-99-6 1101277-00-2 1101277-01-3  
 1101277-02-4 1101277-03-5 1101277-04-6  
 1101277-05-7 1101277-06-8 1101277-07-9  
 1101277-08-0 1101277-09-1 1101277-10-4  
 1101278-65-2 1101281-89-3 1101281-90-6  
 1101281-91-7 1101281-92-8 1101281-93-9  
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 1101281-97-3 1101283-28-6 1101283-29-7  
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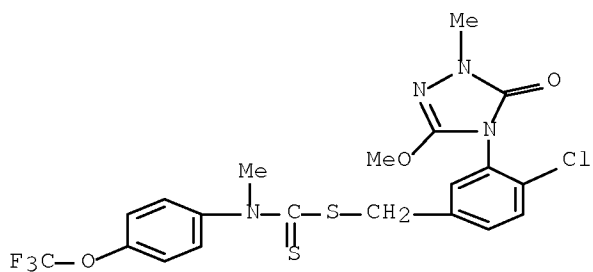
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(Preparation of phenylethylideneaminooxymethylbenzeneacetamides and related compounds as agrochemical fungicides and arthropodicides.)

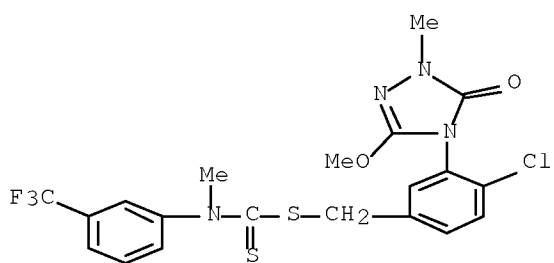
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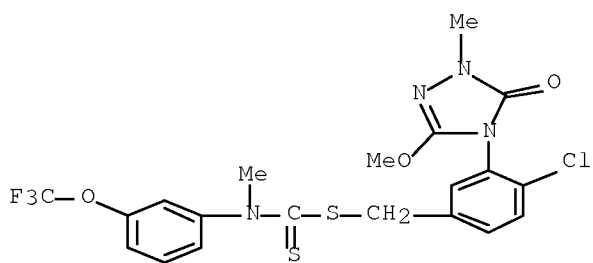
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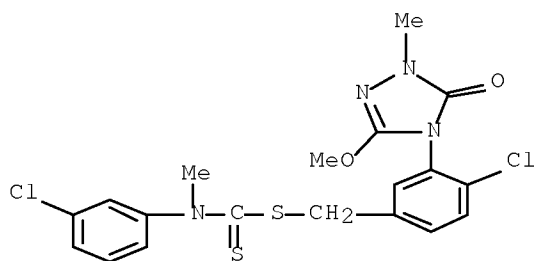
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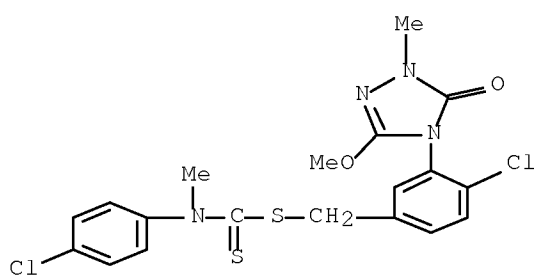
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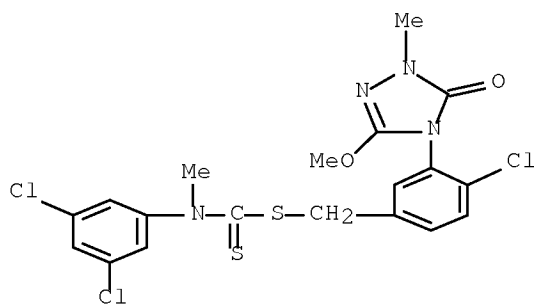
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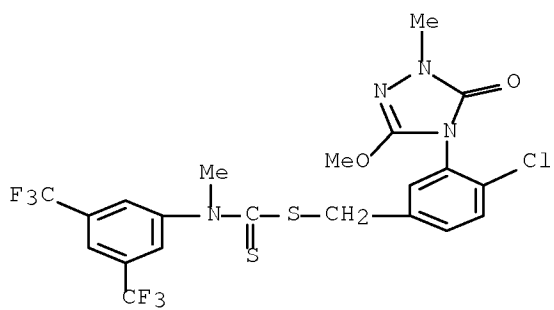
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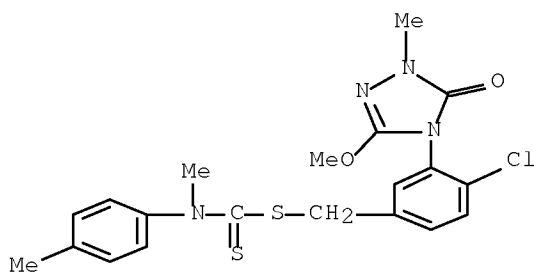
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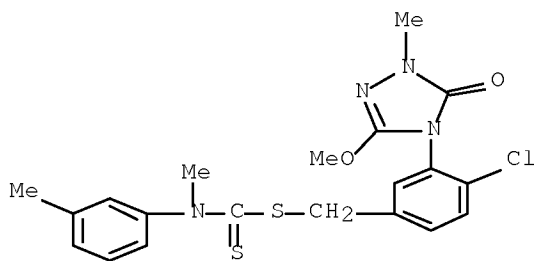
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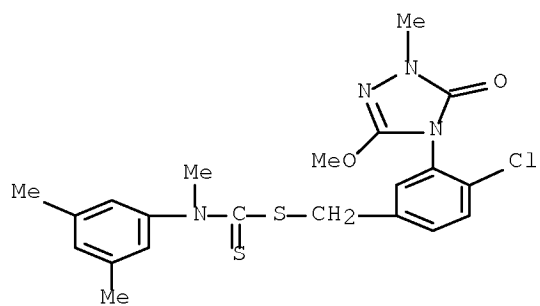
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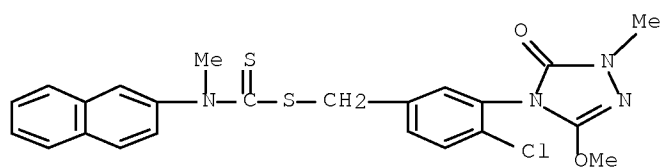
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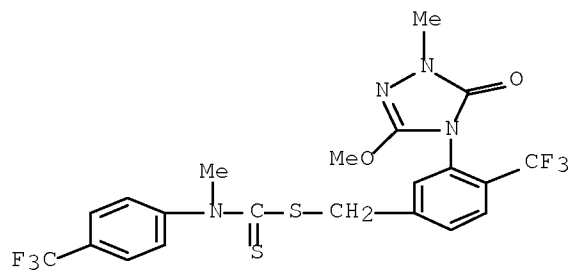
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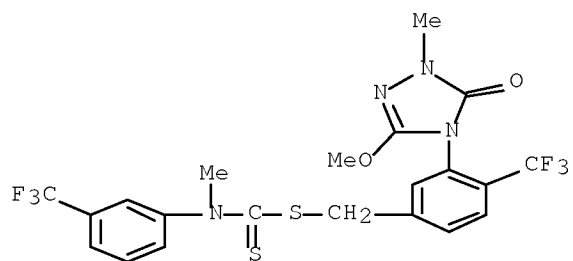


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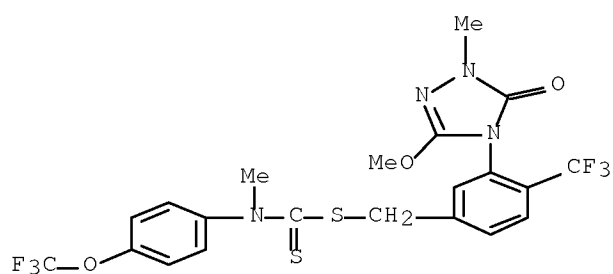


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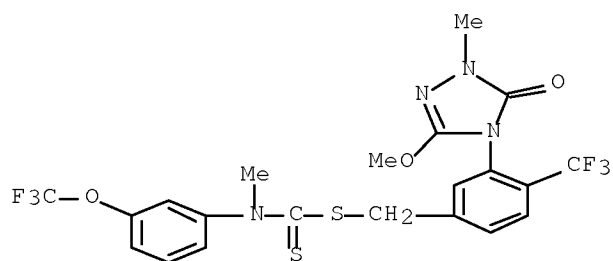




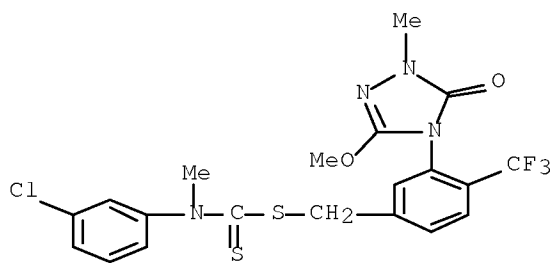
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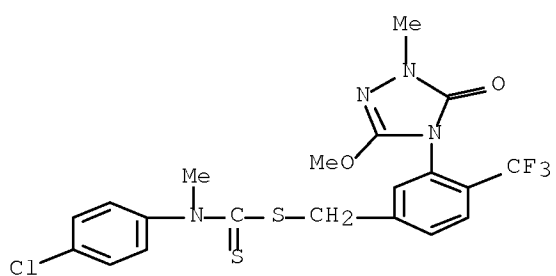
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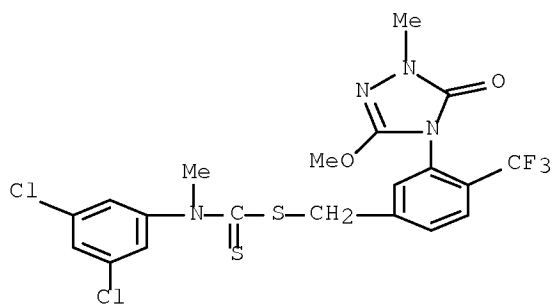
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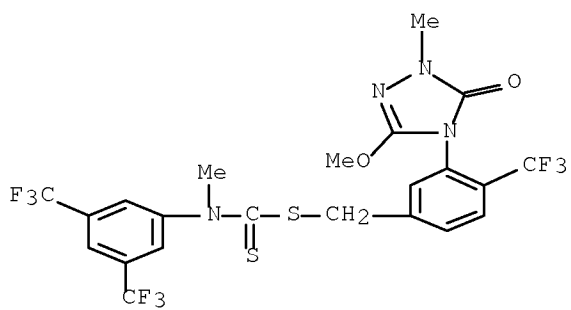
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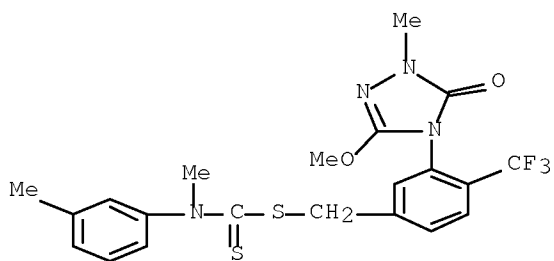
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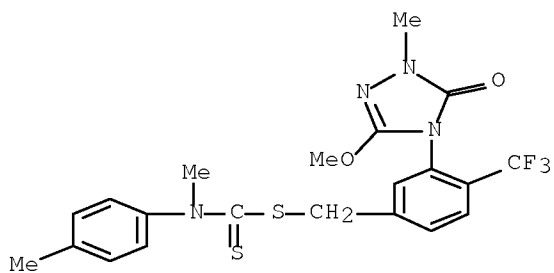
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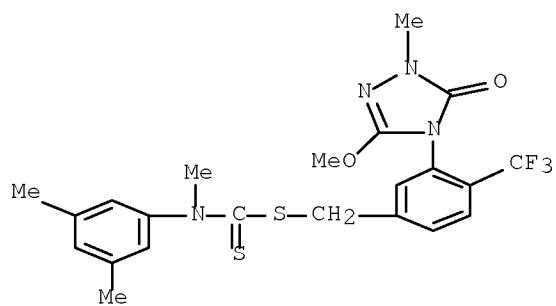
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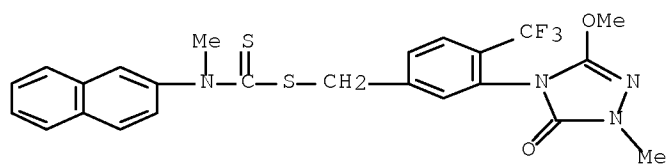
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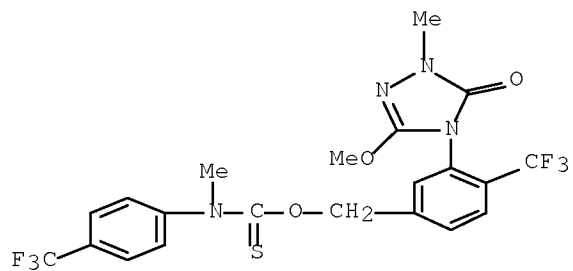
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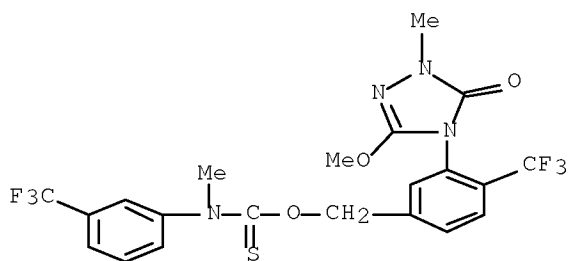
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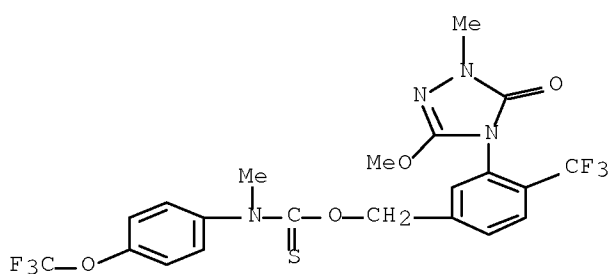
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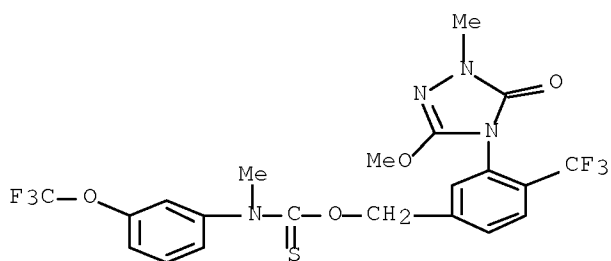
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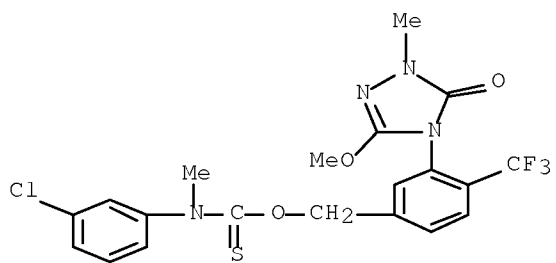
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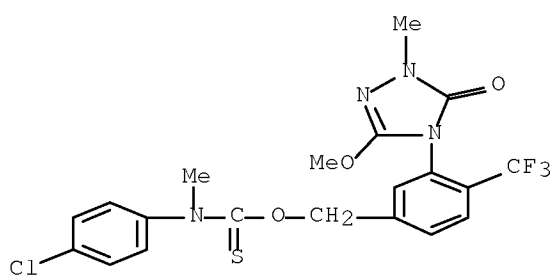
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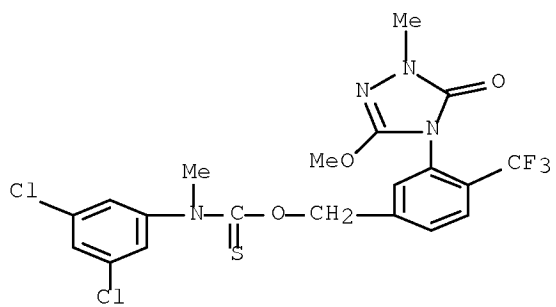
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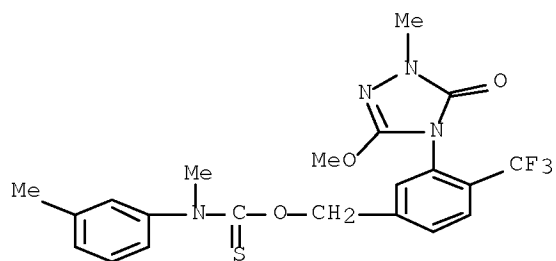
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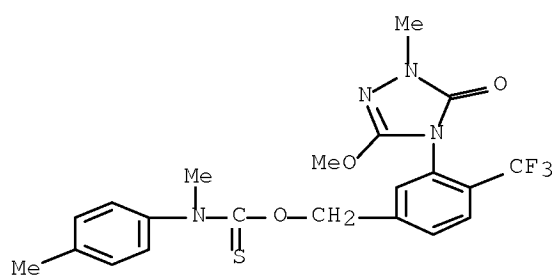
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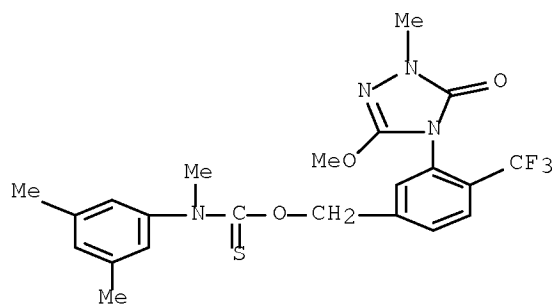
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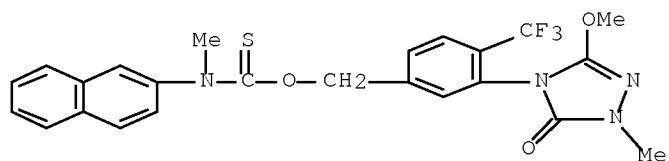
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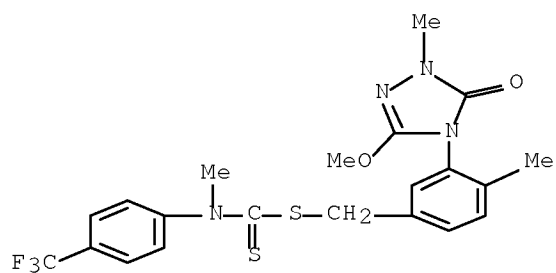
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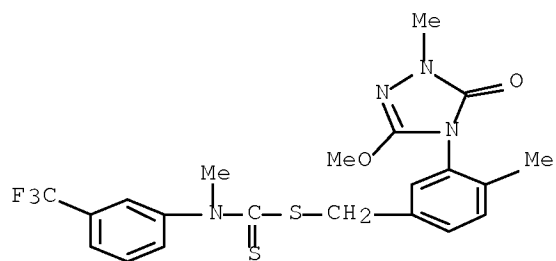
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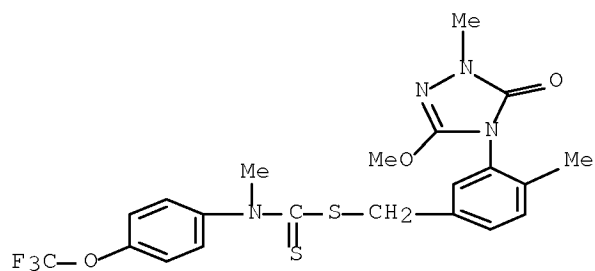
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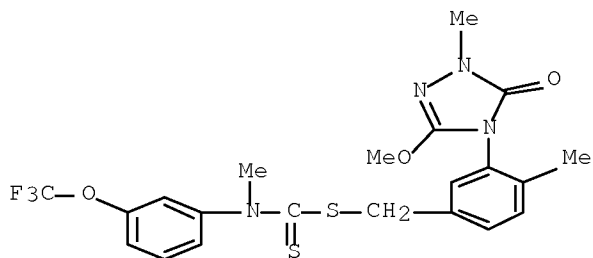


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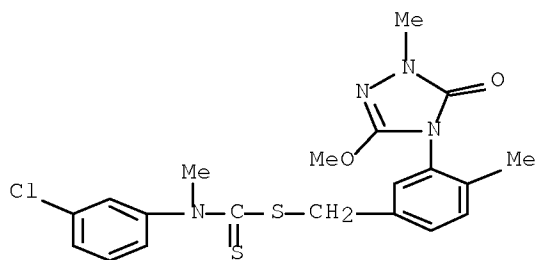




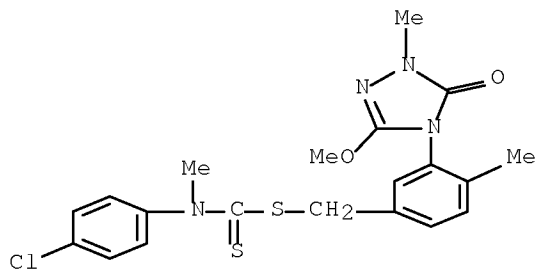
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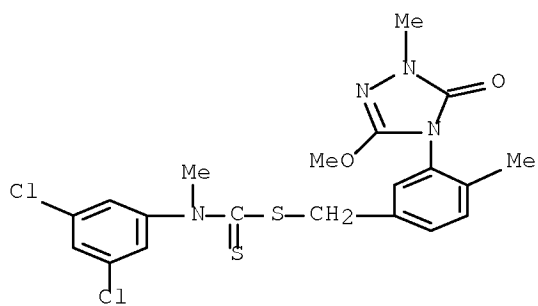
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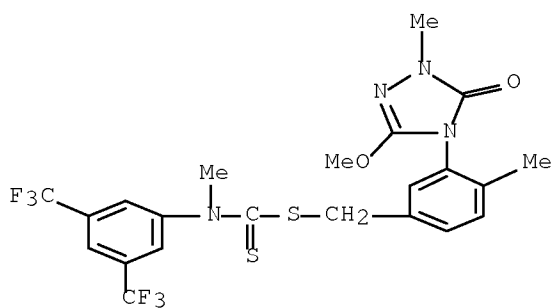
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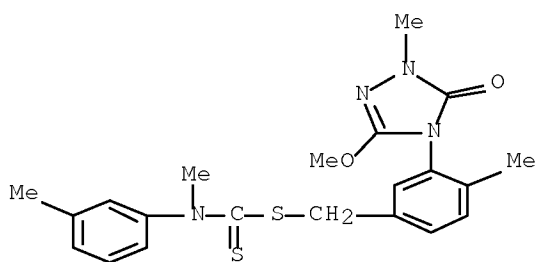
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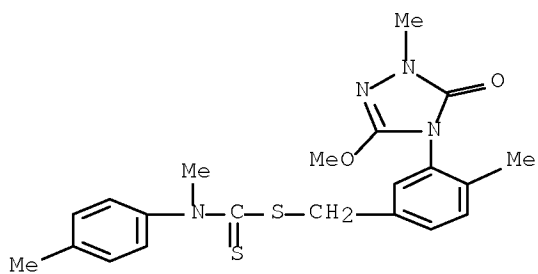
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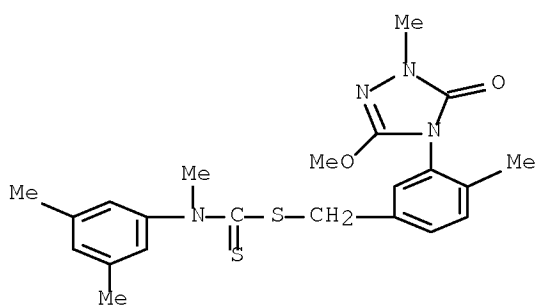
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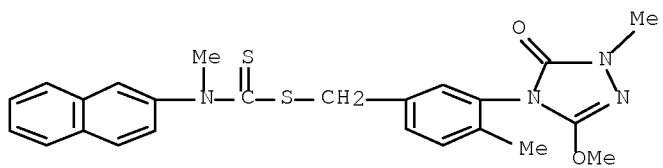
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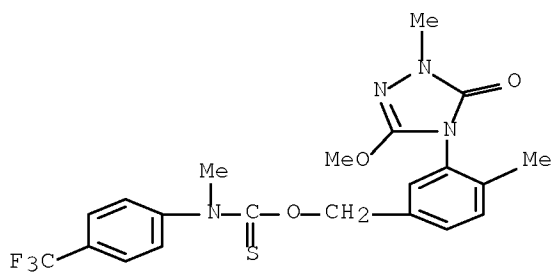
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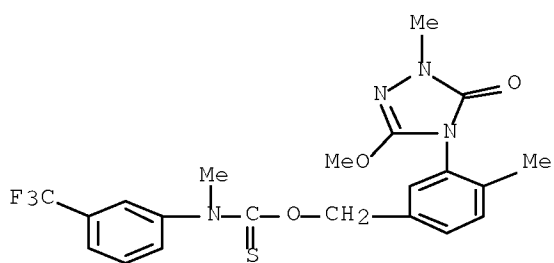
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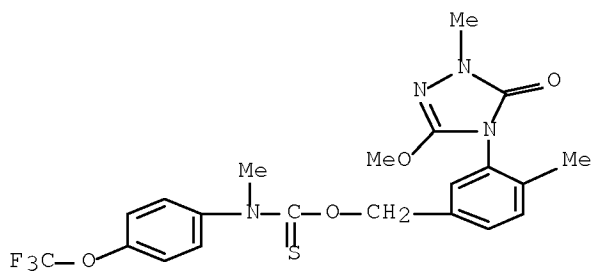
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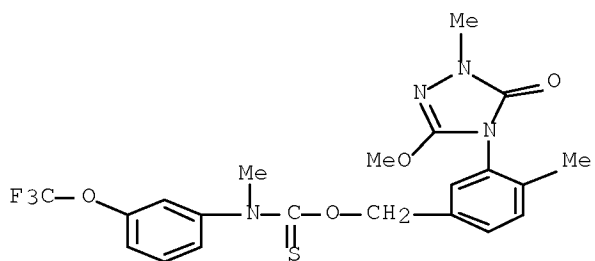
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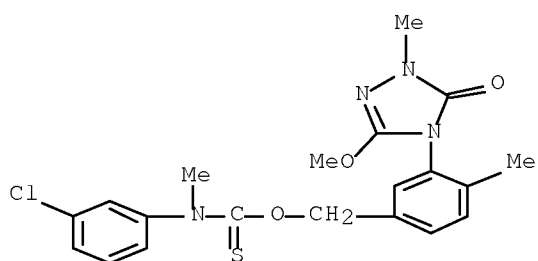
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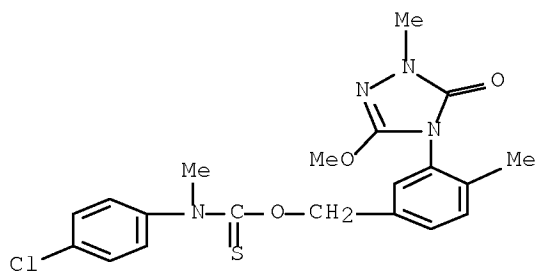
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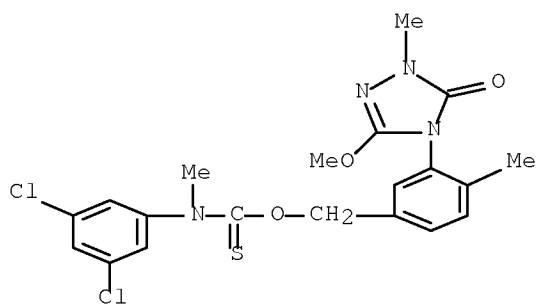
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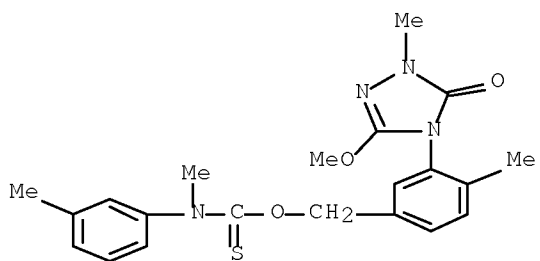
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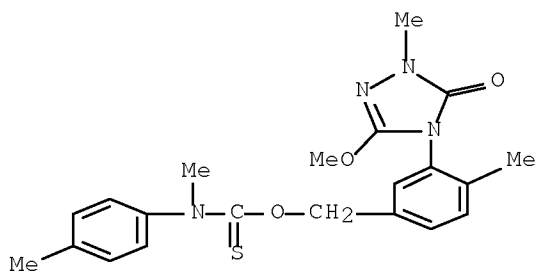
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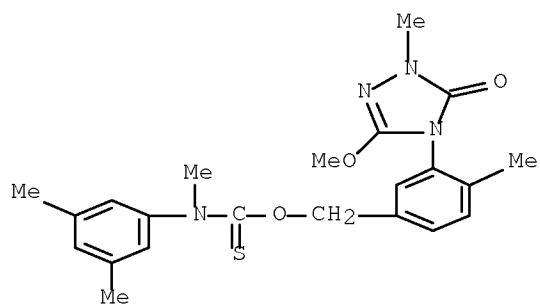
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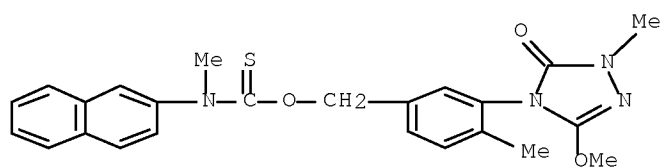
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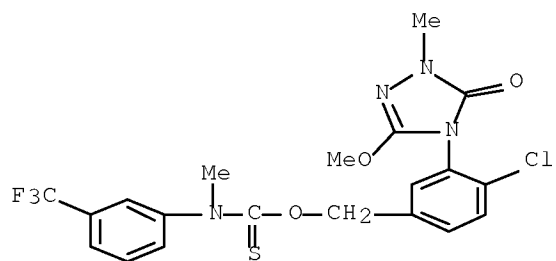
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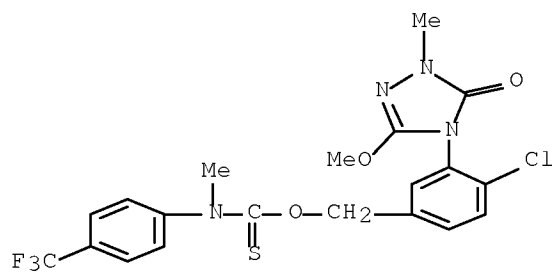
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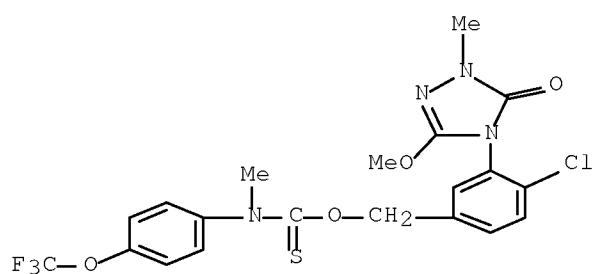
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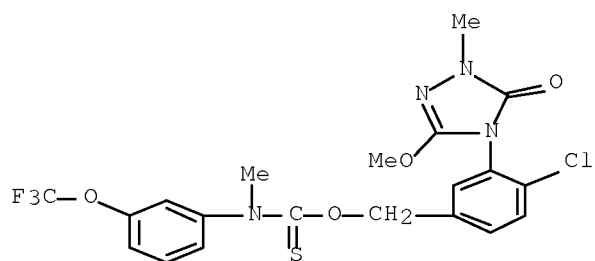
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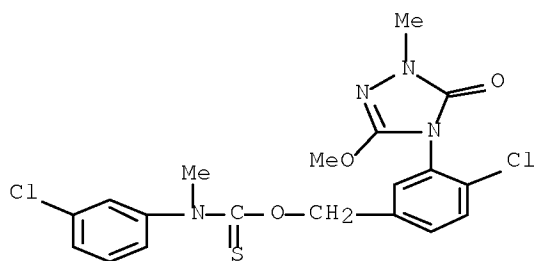


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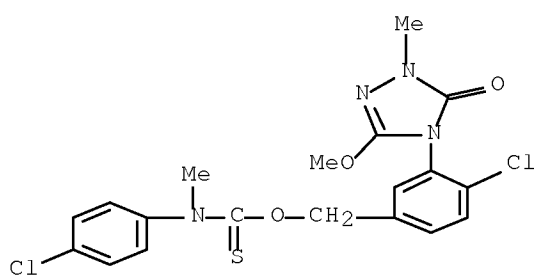


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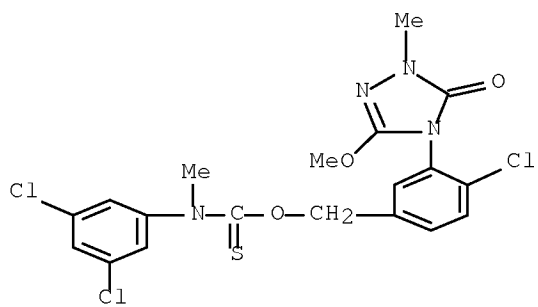




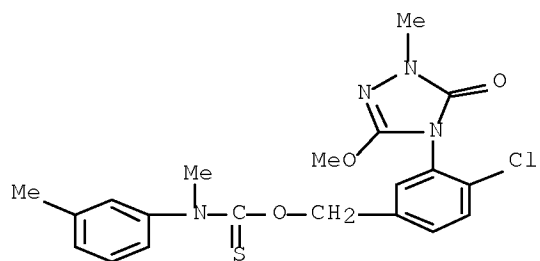
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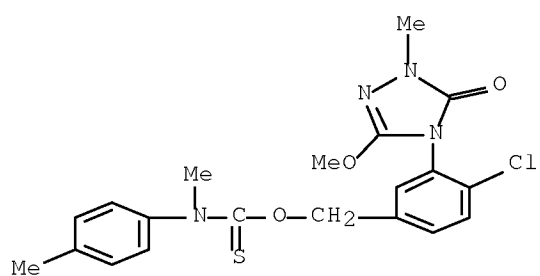
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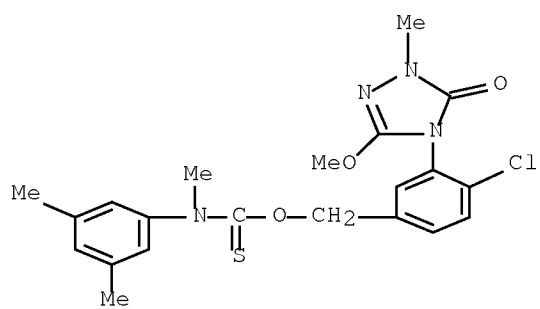
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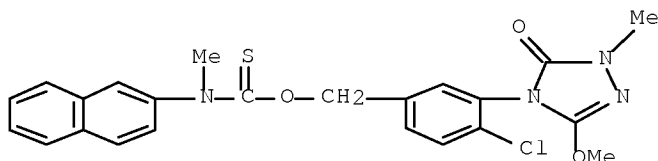
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CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 1999:184085 CAPLUS Full-text

DOCUMENT NUMBER: 130:219484

TITLE: Preparation of enantiomerically-enriched triazolone derivatives as fungicides and arthropodicides

INVENTOR(S): Brown, Richard James; Casalnuovo, Albert Loren; Chan, Dominic Ming-Tak

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA

SOURCE: PCT Int. Appl., 213 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

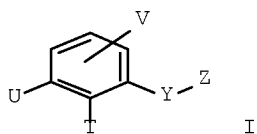
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911129	A1	19990311	WO 1998-US17747	19980827
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9892065	A	19990322	AU 1998-92065	19980827
PRIORITY APPLN. INFO.:			US 1997-57917P	P 19970904
			WO 1998-US17747	W 19980827

OTHER SOURCE(S): MARPAT 130:219484

GI



AB The triazole derivs, I [T = (un)substituted triazolone, etc.; U = halo or (halo)alkyl; V = H, halo, alkyl, CN, NO<sub>2</sub> or alkoxy; YZ = group of ≥5 atoms (C, N, O S Si and/or Ge), provided that ≥2 atoms are C], their N-oxides and/or salts, are prepared and enriched in the more active isomer with respect to the

relative positions of U, T and YZ. The enantiomerically-enriched compds.  
control fungi and arthropods.

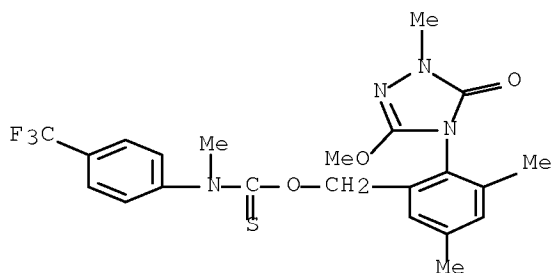
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1098722-24-7 1098727-53-7 1098727-54-8  
1098727-79-7 1098727-80-0 1098728-82-5

RL: PRPH (Prophetic)

(Preparation of enantiomerically-enriched triazolone derivatives as  
fungicides and arthropodicides)

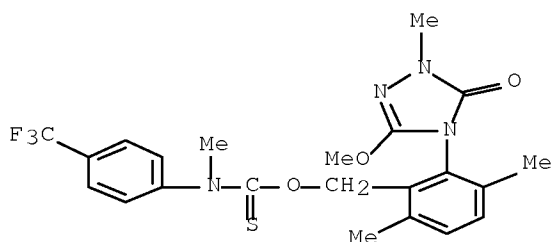
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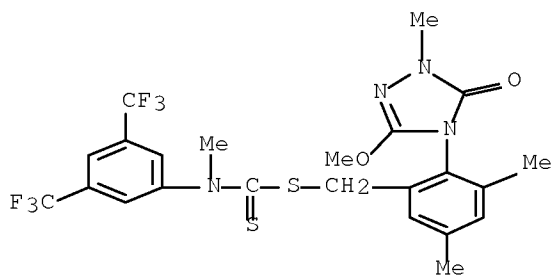


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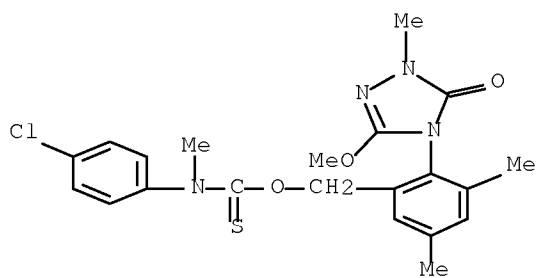
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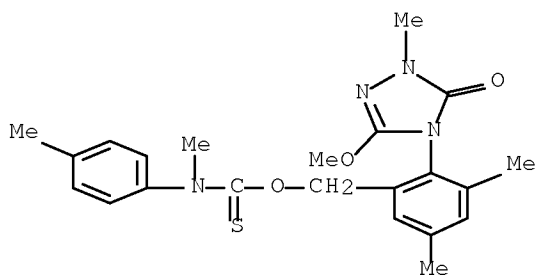
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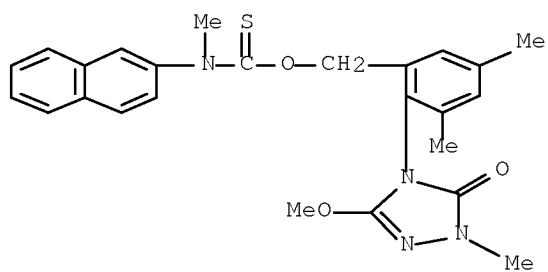
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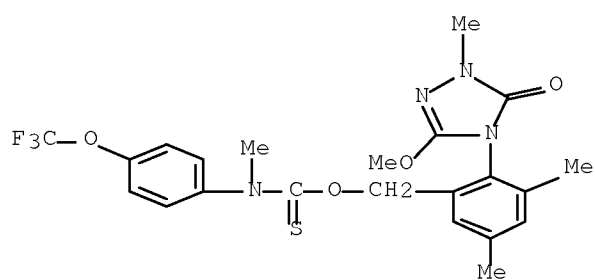
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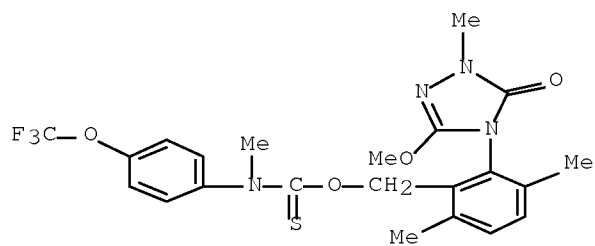
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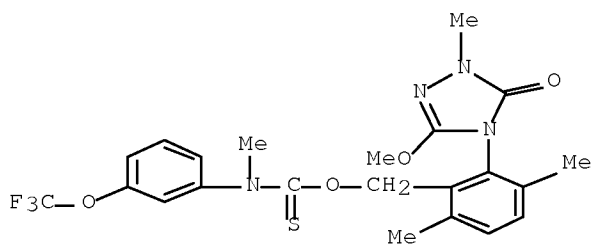
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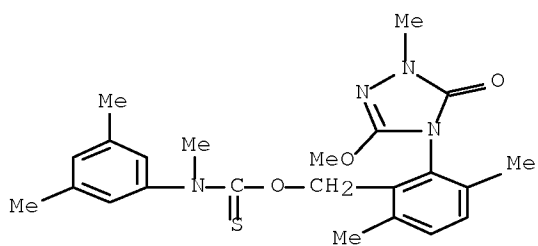
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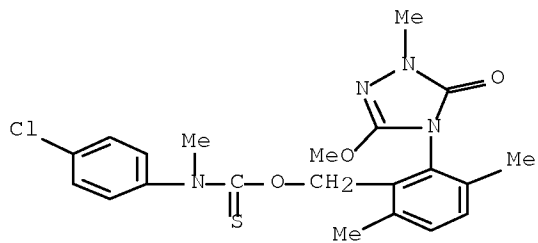
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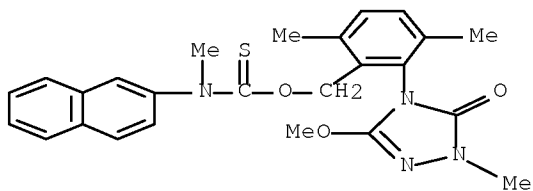
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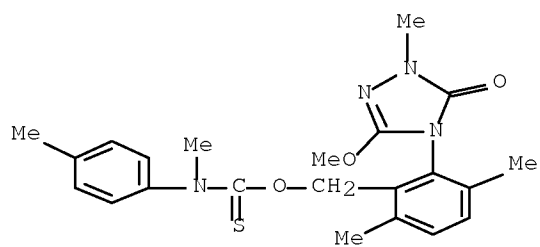
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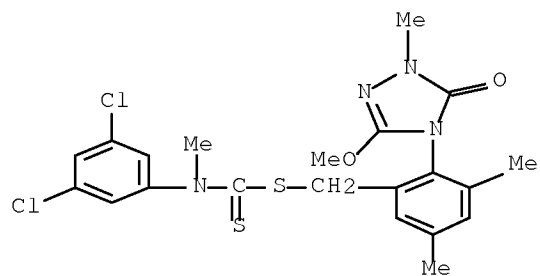
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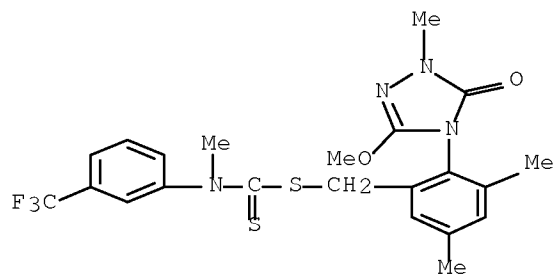
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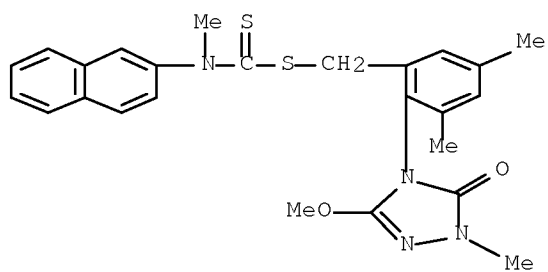


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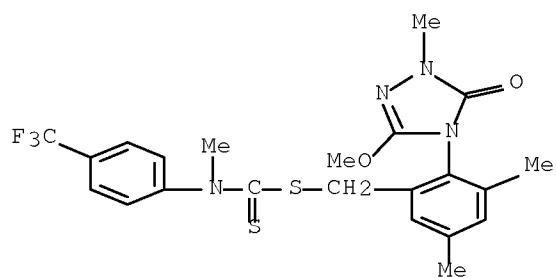


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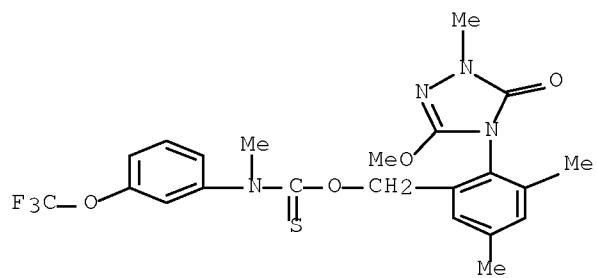




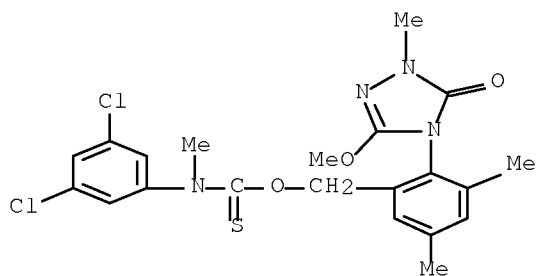
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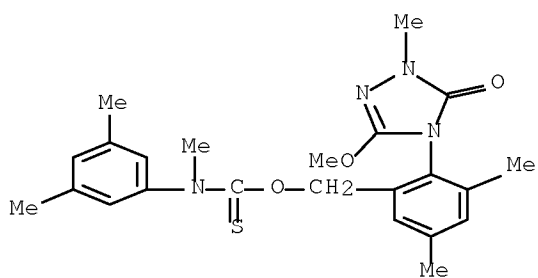
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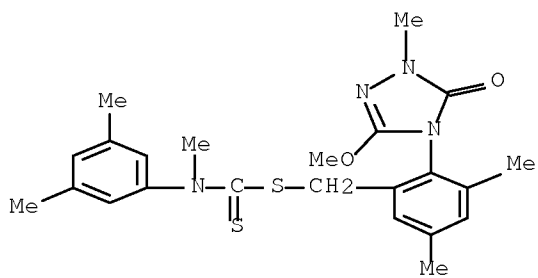
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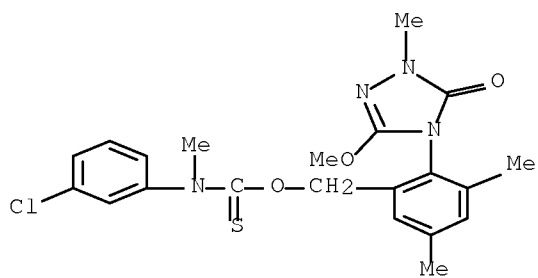
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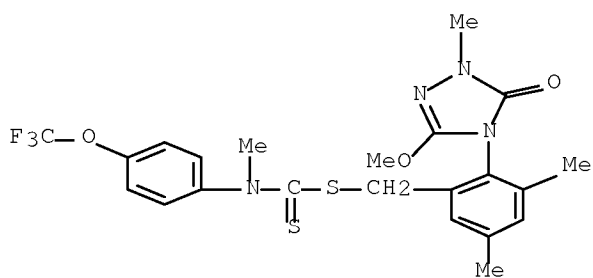
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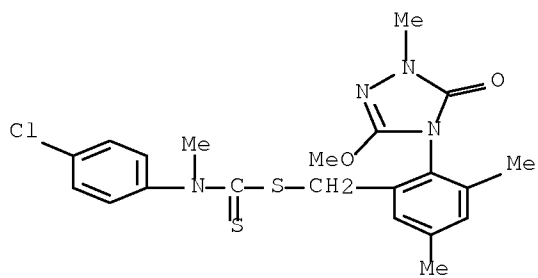
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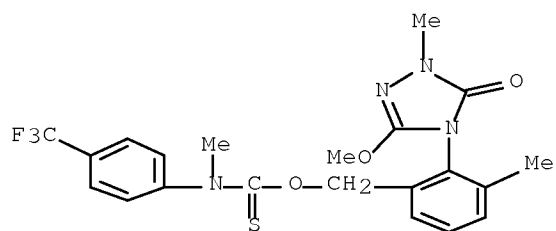
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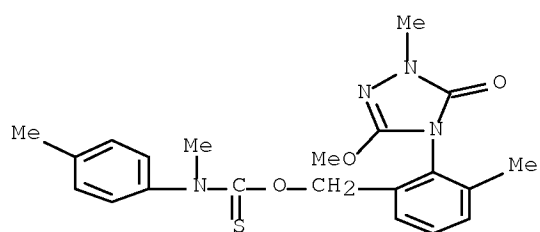
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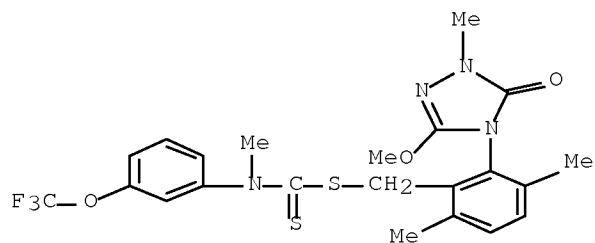
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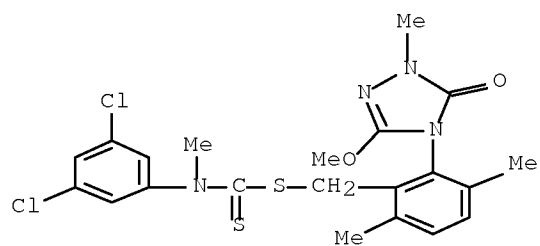
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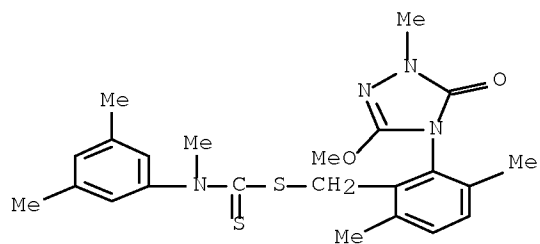
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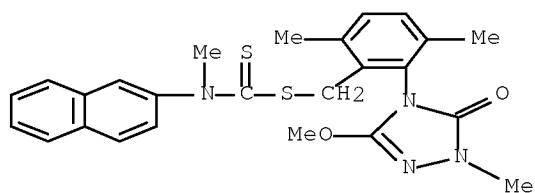
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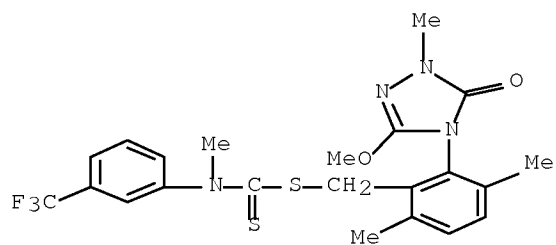
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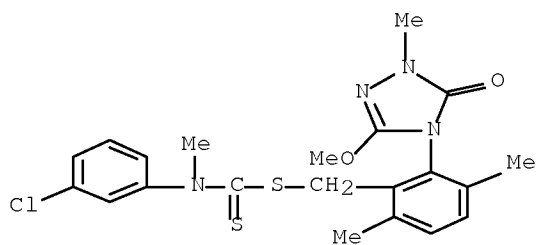
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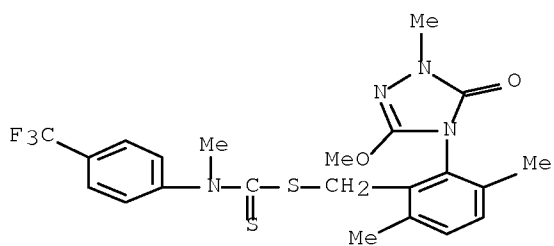
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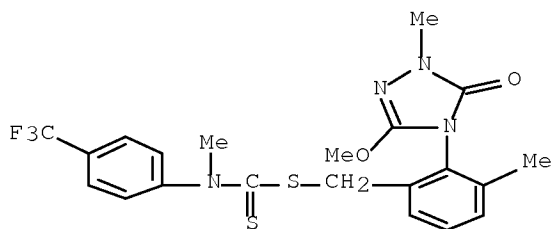
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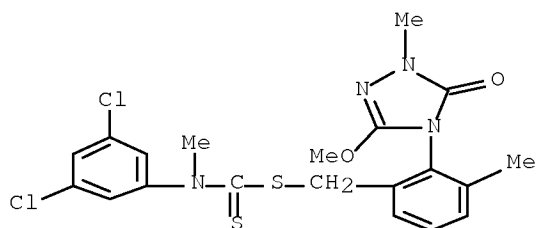
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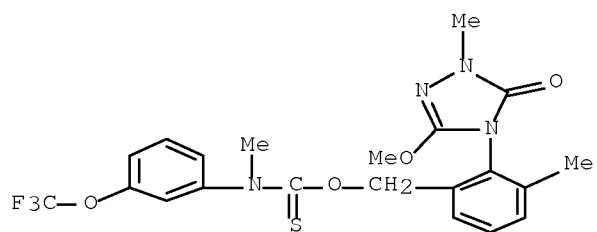
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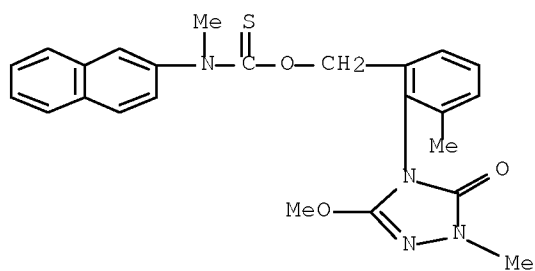
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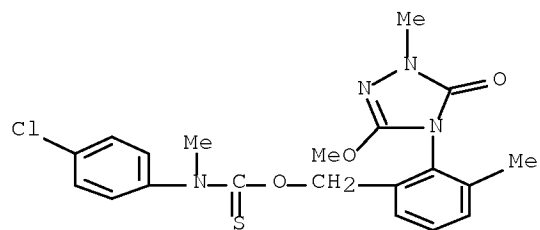
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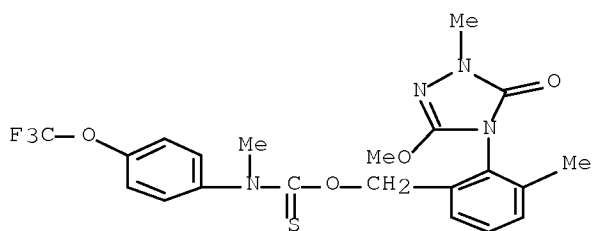
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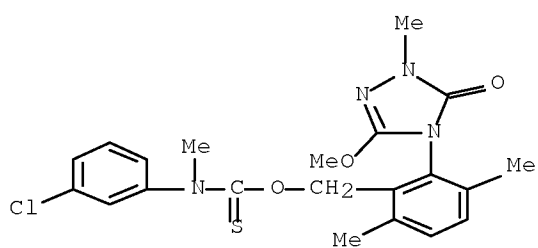
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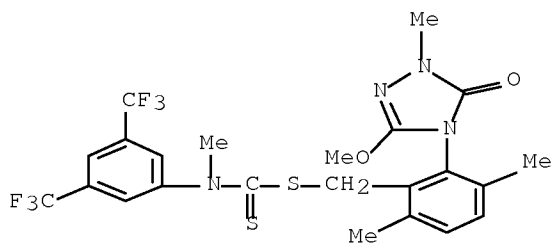
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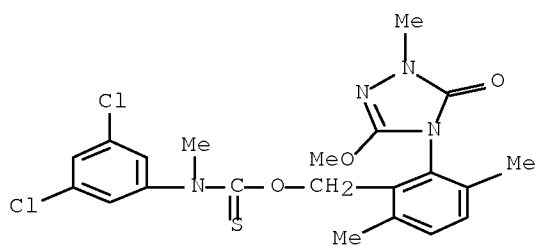
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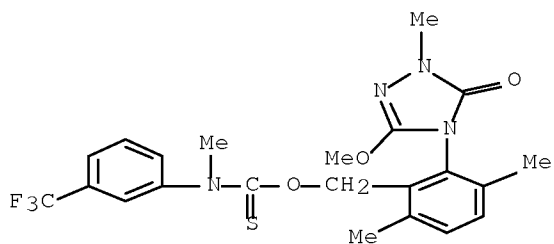


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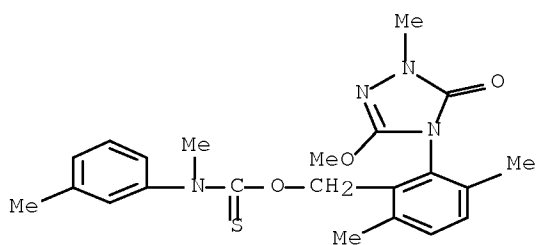




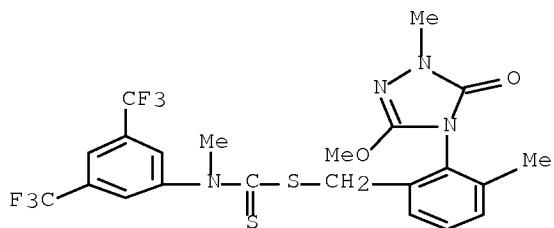
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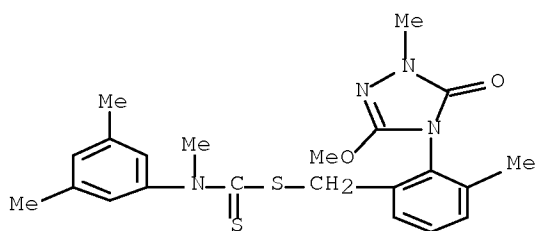
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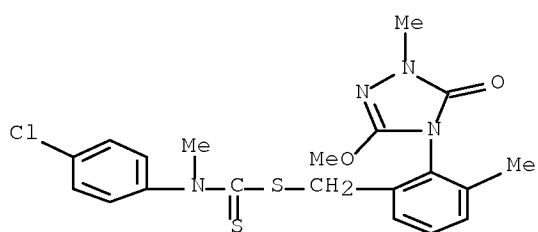
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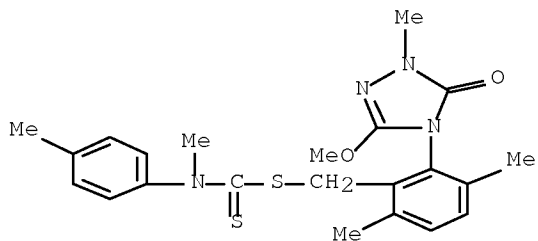
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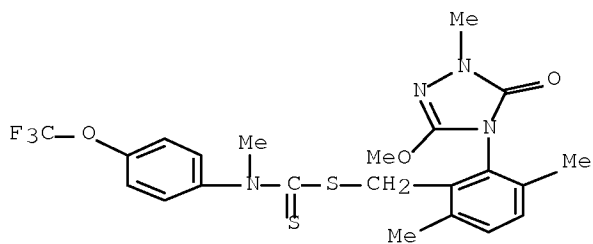
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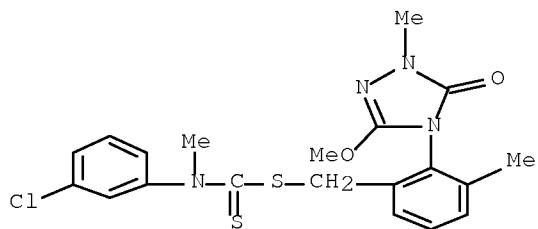
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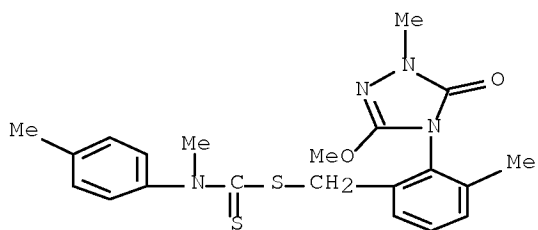
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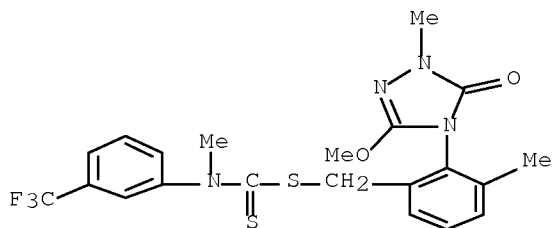
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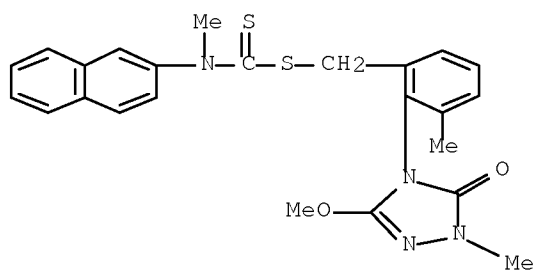
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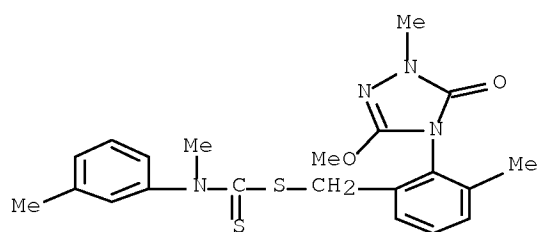
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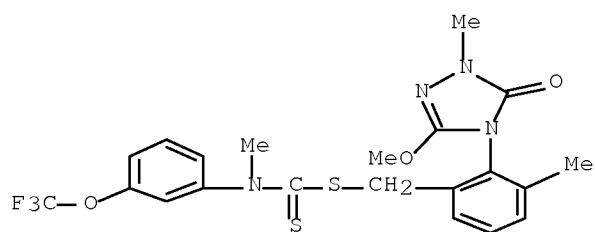
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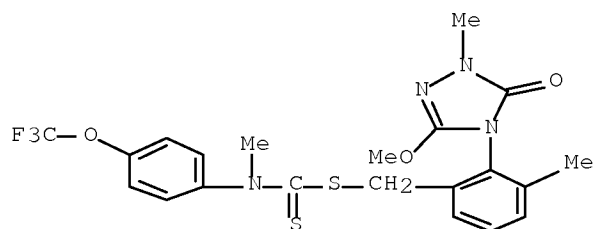
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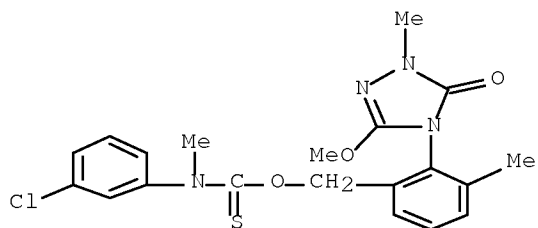
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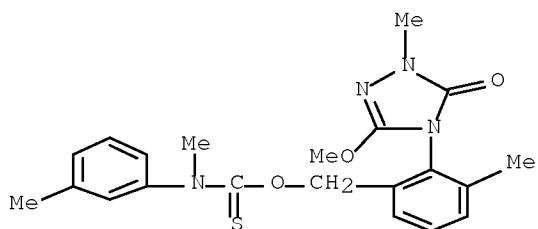
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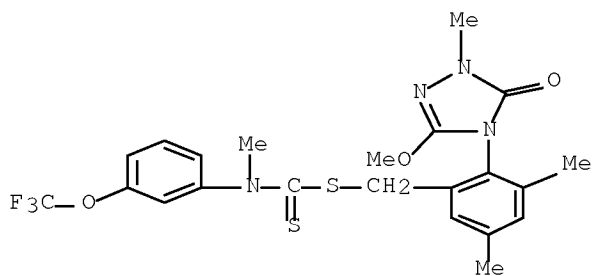
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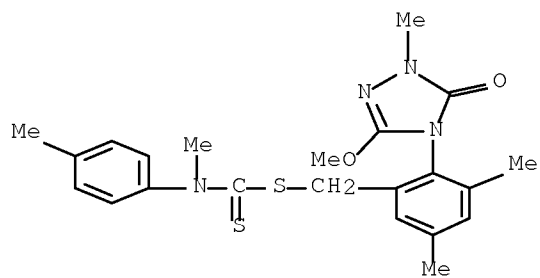
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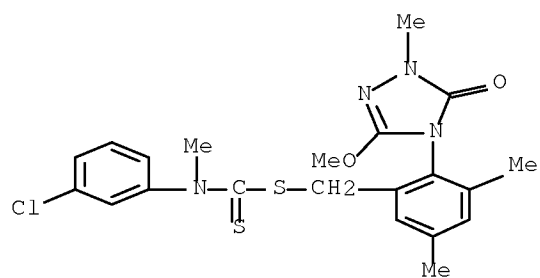
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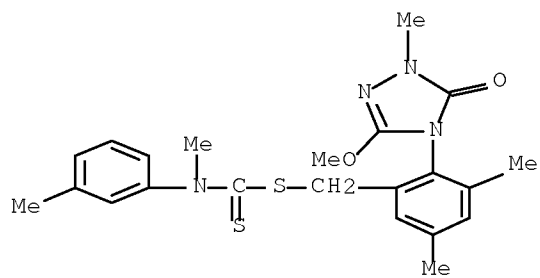
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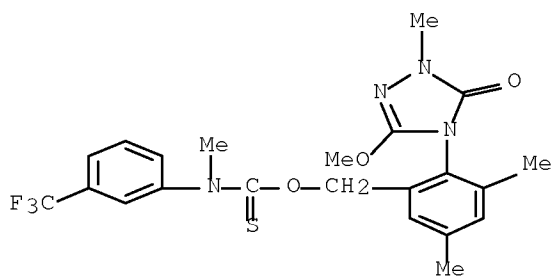
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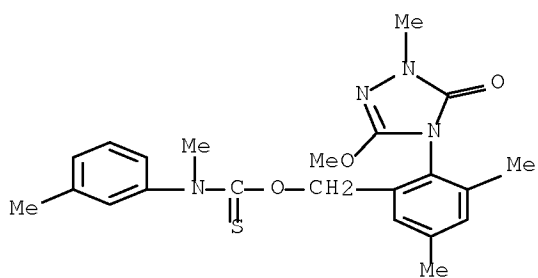
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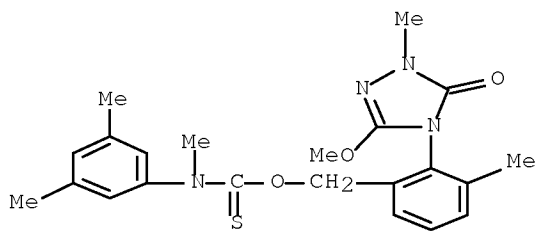
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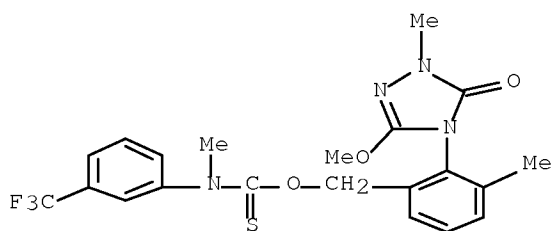
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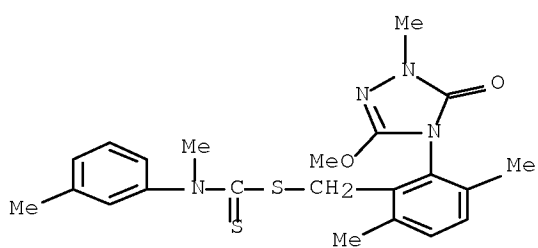
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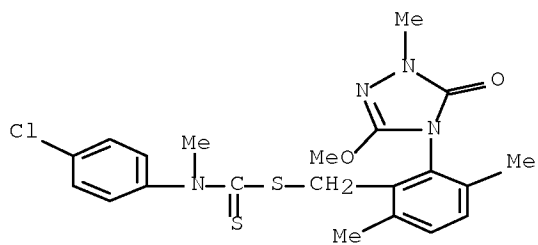
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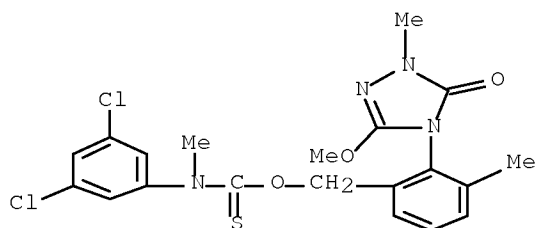
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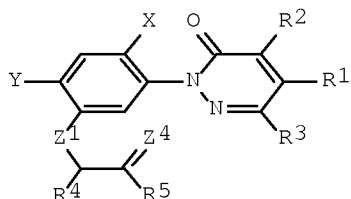




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ACCESSION NUMBER: 1998:585856 CAPLUS Full-text  
DOCUMENT NUMBER: 129:202951  
ORIGINAL REFERENCE NO.: 129:41227a,41230a  
TITLE: Preparation of pyridazin-3-ones as herbicides  
INVENTOR(S): Komori, Takashi; Hoshi, Hisayuki; Enomoto, Masayuki  
PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan  
SOURCE: Eur. Pat. Appl., 50 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 860435	A1	19980826	EP 1998-102908	19980219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 10291983	A	19981104	JP 1998-26078	19980206
ZA 9801322	A	19980907	ZA 1998-1322	19980218
BR 9800648	A	20000314	BR 1998-648	19980218
CN 1199732	A	19981125	CN 1998-107037	19980219
PRIORITY APPLN. INFO.:			JP 1997-35395	A 19970219
OTHER SOURCE(S):	MARPAT 129:202951			
GI				



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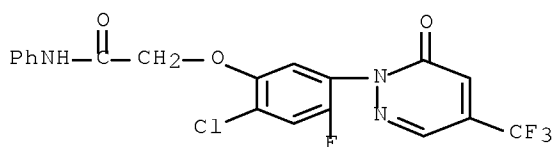
AB The title compds. [I; R1 = haloalkyl; R2, R3 = H, alkyl, haloalkyl, alkoxyalkyl; X = H, halo; Y = halo, NO2, CN, trihalomethyl; Z1 = O, S, CH2, NH; Z4 = O, S; R4 = H, alkyl, cycloalkyl; R5 = alkenyl, haloalkenyl, alkynyl, haloalkynyl], useful as herbicides, were prepared Thus, reaction of 2-(2-fluoro-4-chloro-5-hydroxyphenyl)-4-methyl-5- trifluoromethylpyridazin-3-one with allyl chloroacetate in the presence of K2CO3 in DMF afforded I [X = F; Y = Cl; R1 = CF3; R2 = Me; R3 = R4 = H; R5 = OCH2CH:CH2; Z1 = Z4 = O] which showed complete control against barnyardgrass, ivyleaf morningglory, and velvetleaf at 500 g/ha.

IT 1101505-02-5 1101505-03-6 1101505-04-7  
1101505-05-8 1101505-06-9 1101505-07-0  
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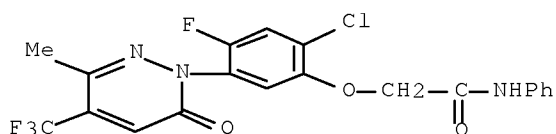
RL: PRPH (Prophetic)

(Preparation of pyridazin-3-ones as herbicides)

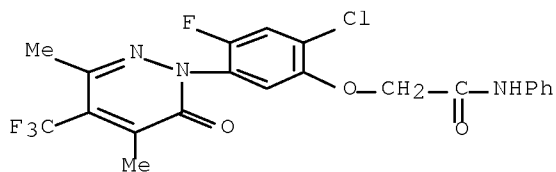
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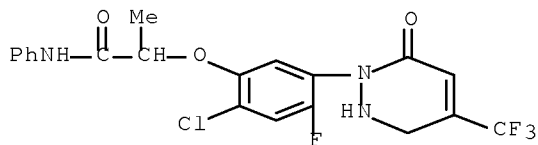
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RN 1101505-04-7 CAPLUS  
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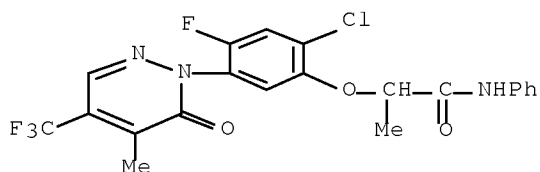


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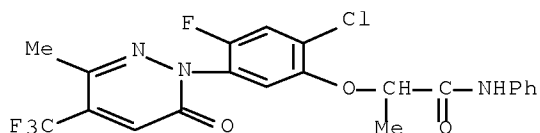
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1(6H)-pyridazinyl]phenoxy]-N-phenyl- (CA INDEX NAME)



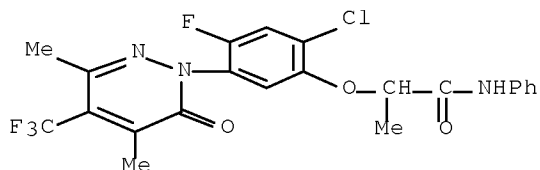
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RN 1101505-08-1 CAPLUS

CN Propanamide, 2-[2-chloro-5-[3,5-dimethyl-6-oxo-4-(trifluoromethyl)-1(6H)-pyridazinyl]-4-fluorophenoxy]-N-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:385480 CAPLUS Full-text

DOCUMENT NUMBER: 129:54376

ORIGINAL REFERENCE NO.: 129:11333a,11336a

TITLE: Preparation of 3H-1,2,4-triazol-3-one derivatives as fungicides and arthropodicides

INVENTOR(S): Brown, Richard James; Castro, Peter Paul; Chan, Dominic Ming-Tak; Daub, John Powell; Koether, Gerard Michael; Selby, Thomas Paul; et al.

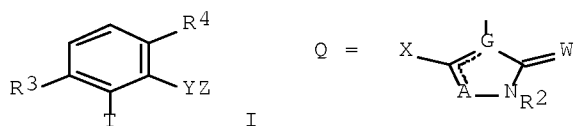
PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA; Brown, Richard James; Castro, Peter Paul; Chan, Dominic Ming-Tak; Daub, John Powell; Koether, Gerard Michael

SOURCE: PCT Int. Appl., 171 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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EP 944314	A1	19990929	EP 1997-948597	19971125
R: CH, DE, DK, ES, FR, GB, IT, LI, NL, IE				
BR 9713415	A	20000418	BR 1997-13415	19971125
JP 2001506984	T	20010529	JP 1998-524889	19971125
MX 9904789	A	20000131	MX 1999-4789	19990524
PRIORITY APPLN. INFO.:			WO 1996-US18916	A 19961126
			US 1996-33614P	P 19961219
			US 1997-48844P	P 19970606
			WO 1997-US21944	W 19971125
OTHER SOURCE(S):		MARPAT 129:54376		
GI				



AB I [T = Q (X = OR<sub>1</sub>, SOMR<sub>1</sub>, halo; A = S, O, N, NR<sub>5</sub>, CF<sub>7</sub>; G = C, N; W = O, S, NH, etc.), R<sub>1</sub>OsCH:CM<sub>2</sub>CO<sub>2</sub>R<sub>5</sub>; R<sub>1</sub>ON:CM<sub>2</sub>CO<sub>2</sub>R<sub>5</sub>; etc.; R<sub>3</sub> and R<sub>4</sub> are each independently H or CH<sub>3</sub>, provided that R<sub>3</sub> and R<sub>4</sub> are not both H; Y = O, CH<sub>2</sub>O, a direct bond, etc.; Z = C<sub>1</sub>-10 alkyl or haloalkyl, (un)substituted Ph, aromatic heterocyclyl, etc.], useful for controlling plant diseases caused by fungal plant pathogens or for controlling arthropods, were prepared E.g., 4-[2-[(5-bromo-2-thienyl)oxy]-6-methylphenyl]-2,4-dihydro-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one was prepared in several steps.

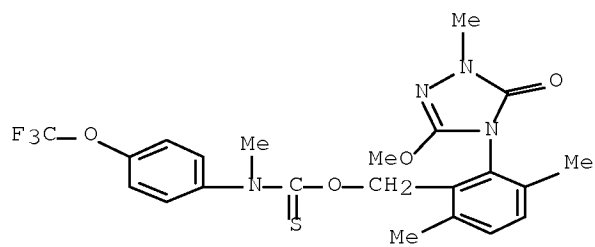
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RL: PRPH (Prophetic)

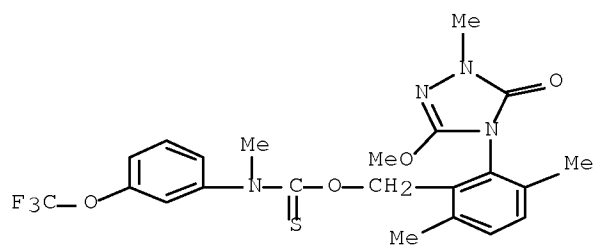
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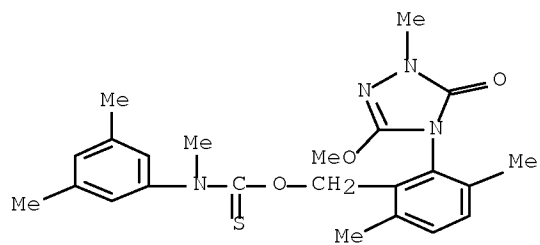
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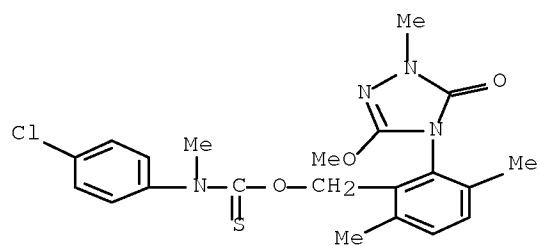
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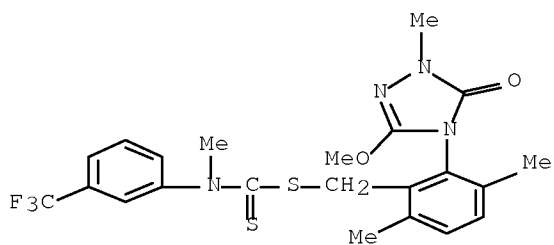
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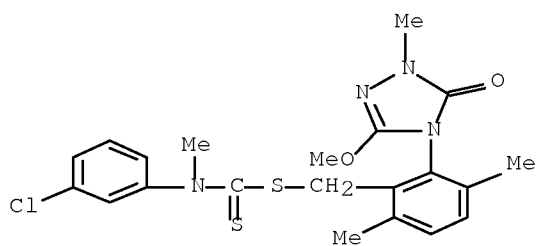
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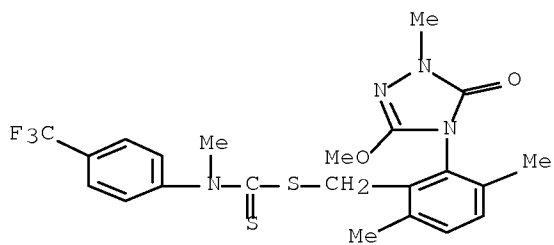
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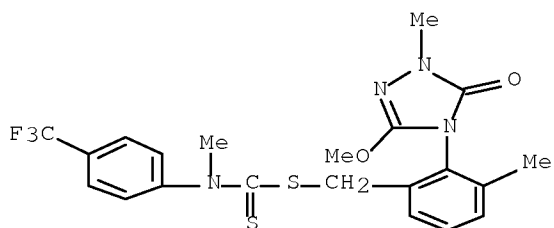
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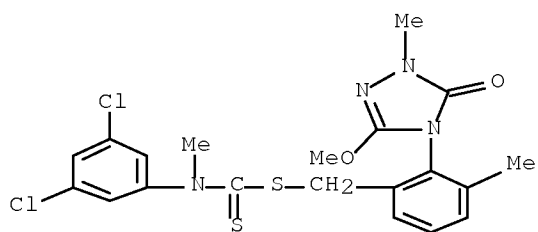


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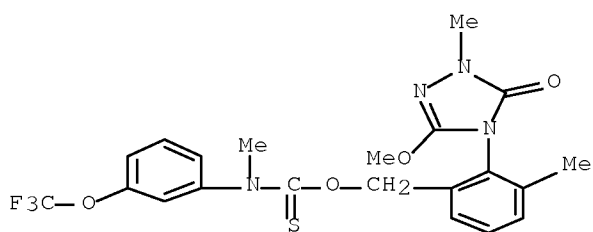




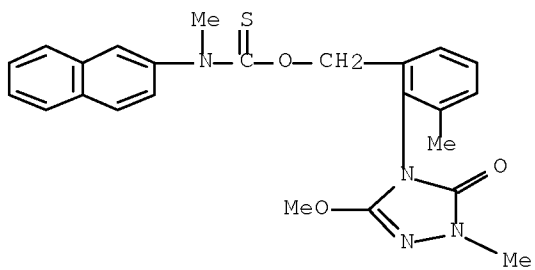
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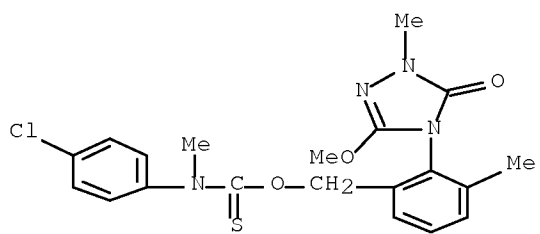
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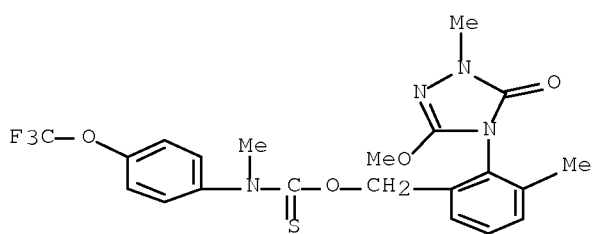
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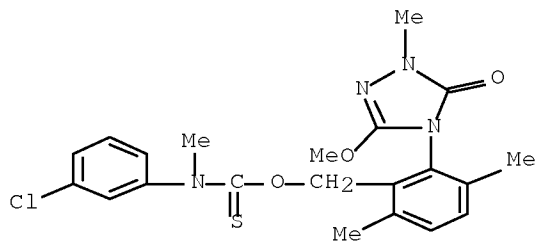
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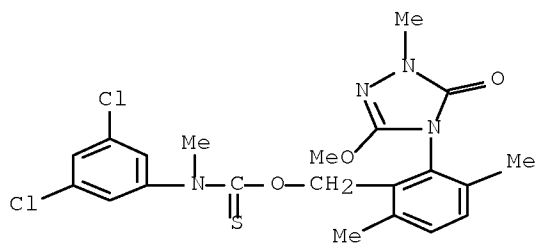
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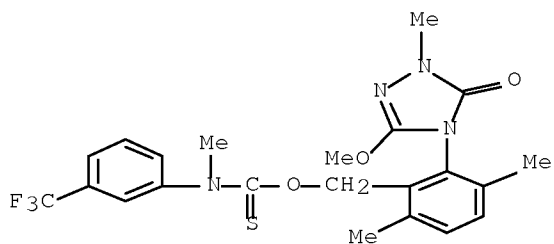
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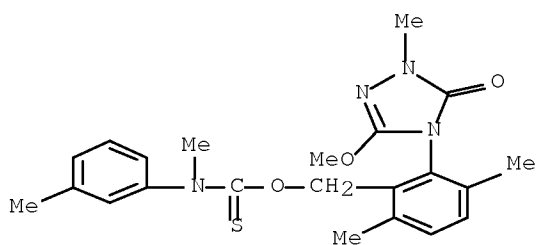
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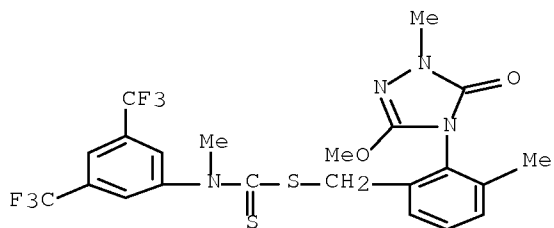
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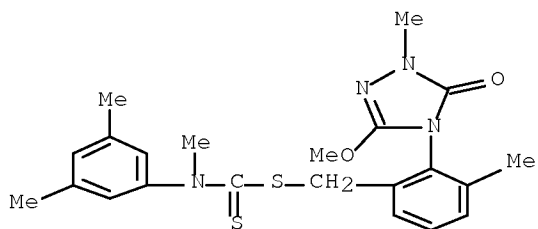
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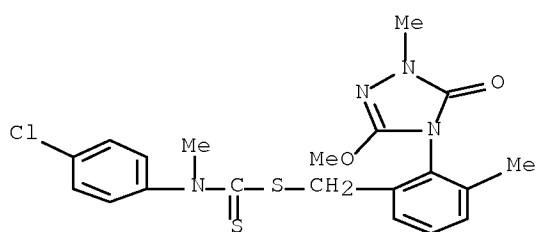
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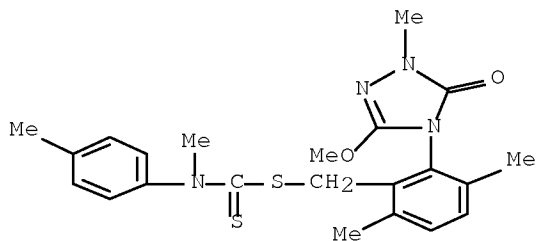
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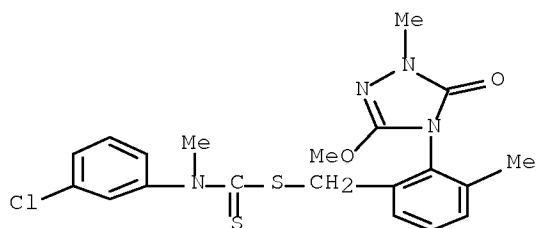
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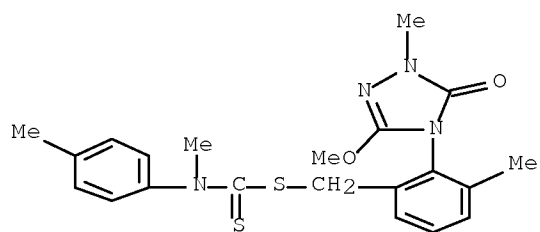
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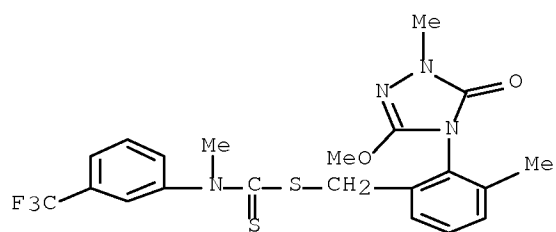
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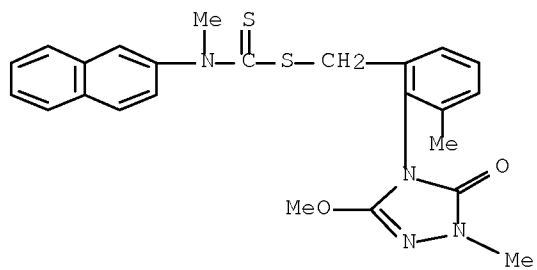
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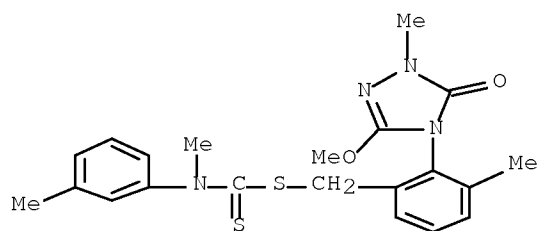
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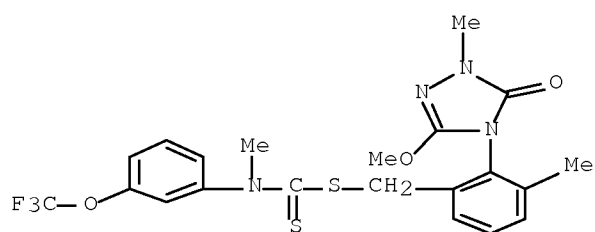
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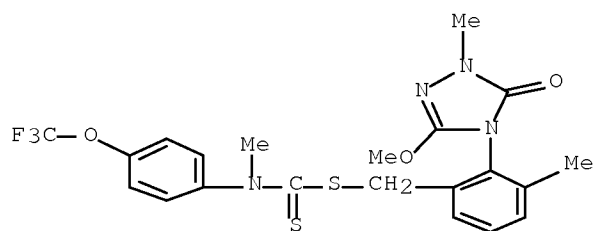
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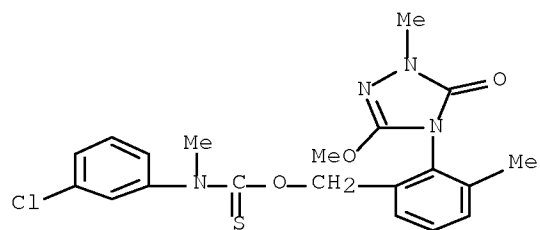
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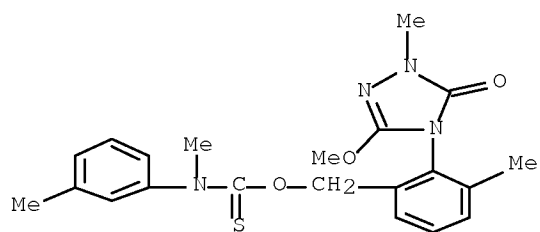
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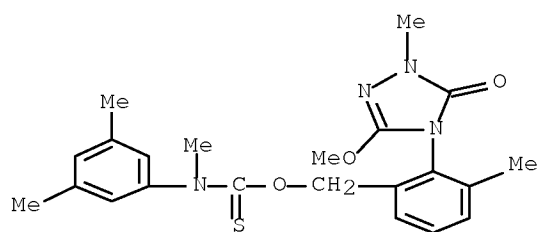
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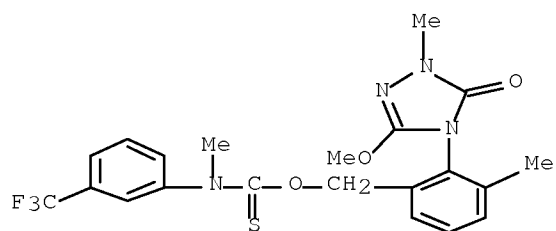
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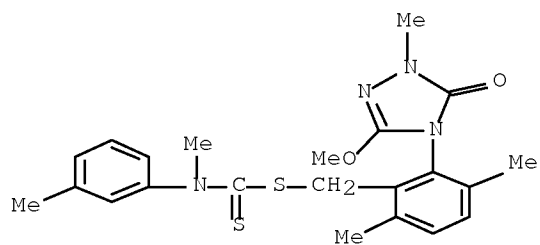
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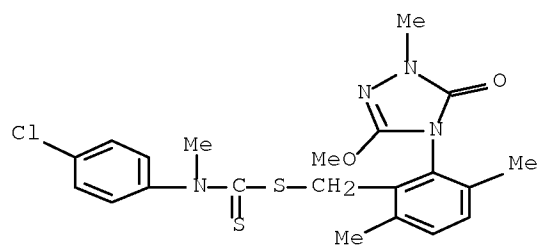
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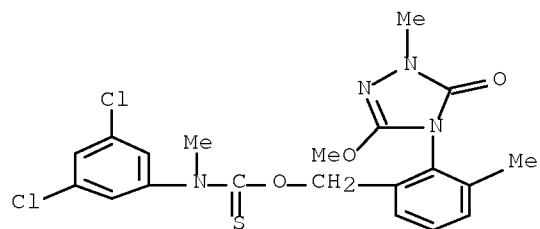
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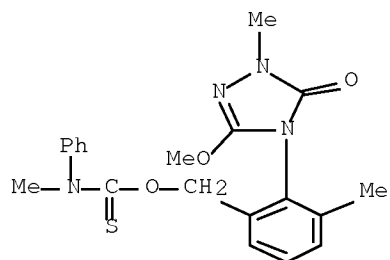
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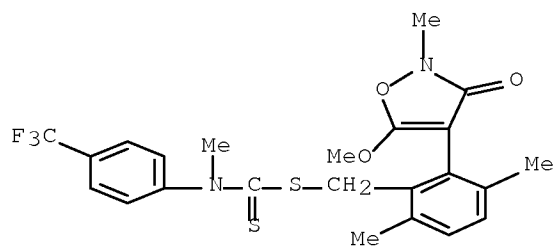


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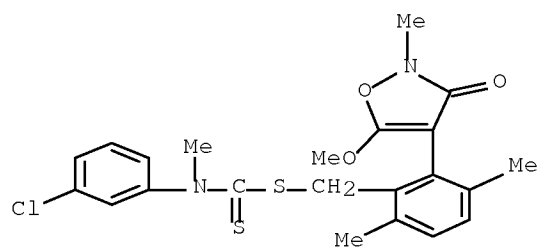




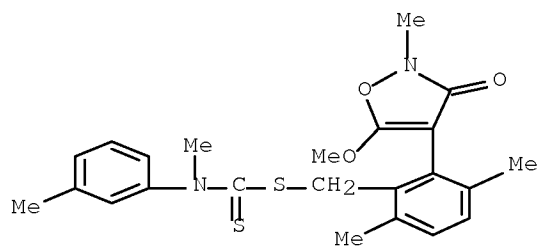
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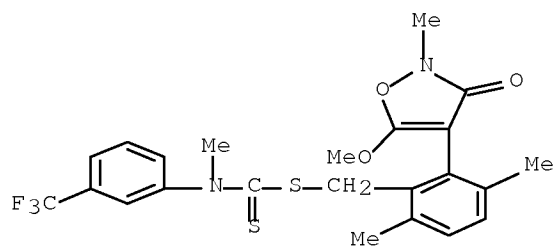
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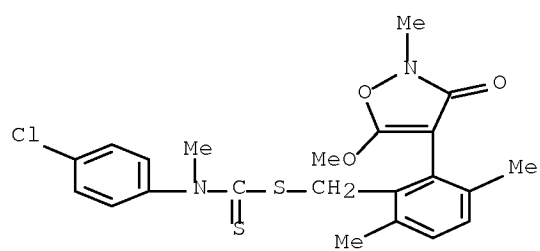
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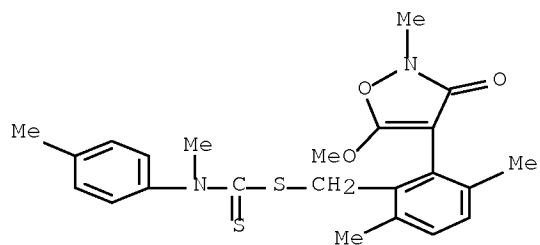
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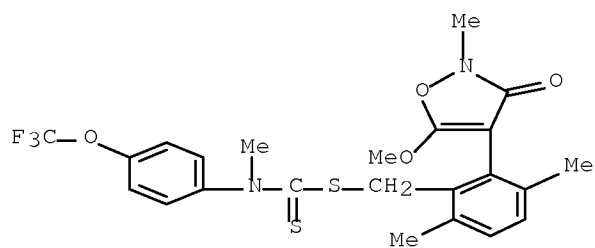
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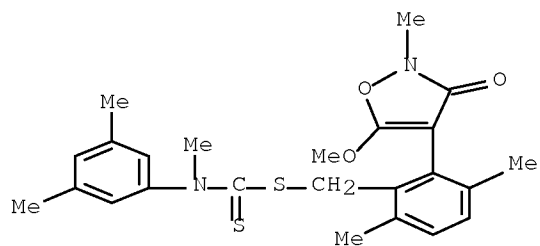
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CN INDEX NAME NOT YET ASSIGNED



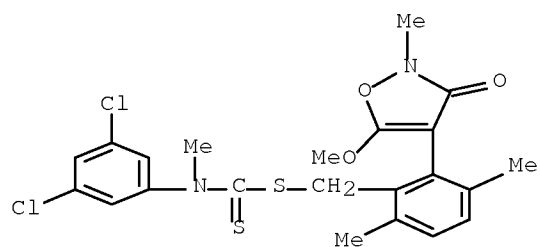
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CN INDEX NAME NOT YET ASSIGNED



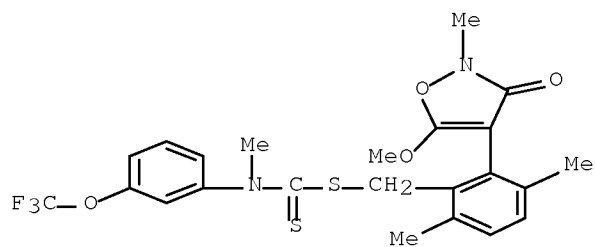
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CN INDEX NAME NOT YET ASSIGNED



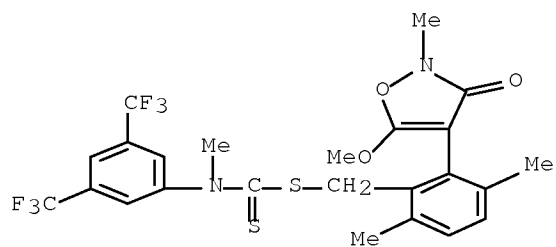
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CN INDEX NAME NOT YET ASSIGNED



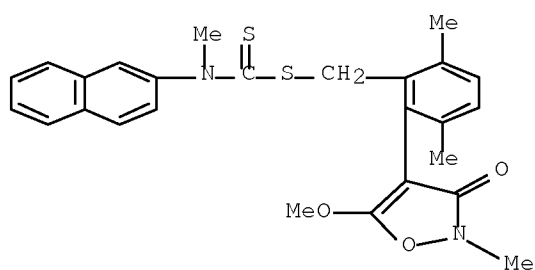
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CN INDEX NAME NOT YET ASSIGNED



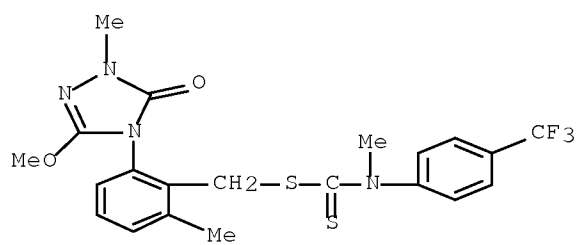
RN 1101569-67-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



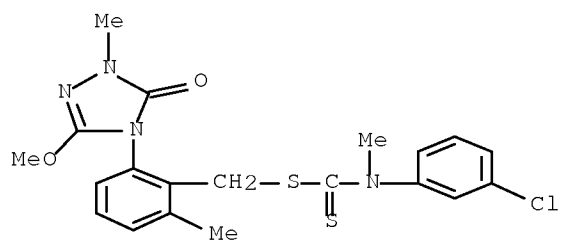
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CN INDEX NAME NOT YET ASSIGNED



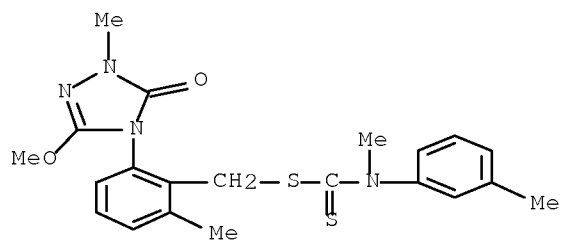
RN 1101572-07-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



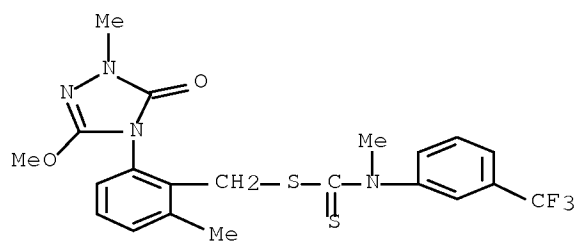
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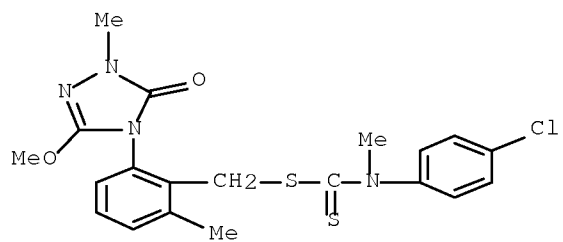
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CN INDEX NAME NOT YET ASSIGNED



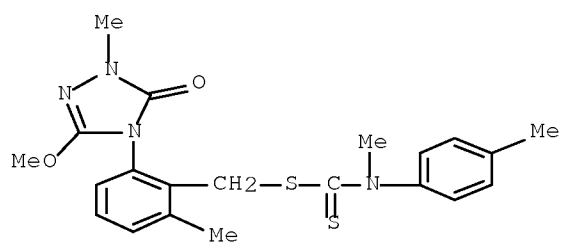
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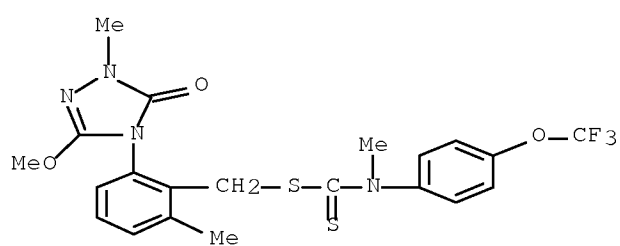
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CN INDEX NAME NOT YET ASSIGNED



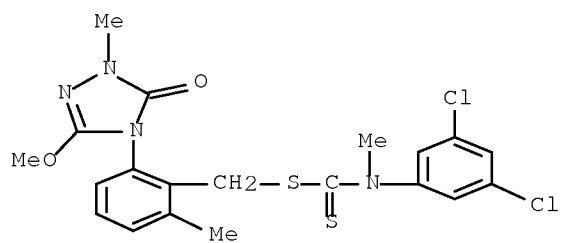
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CN INDEX NAME NOT YET ASSIGNED



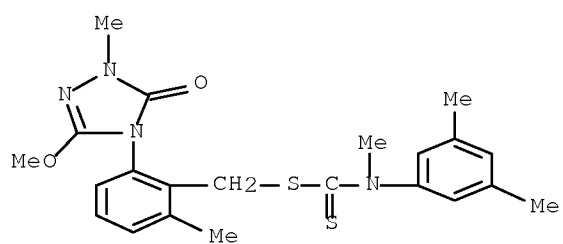
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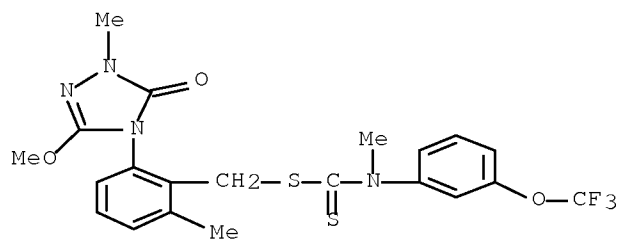
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 CN INDEX NAME NOT YET ASSIGNED



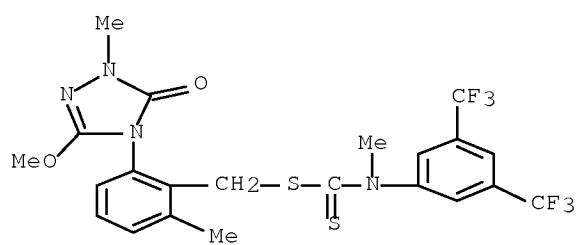
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 CN INDEX NAME NOT YET ASSIGNED



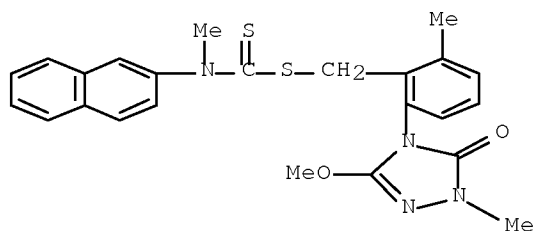
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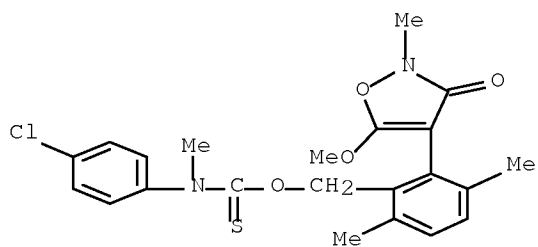
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CN INDEX NAME NOT YET ASSIGNED



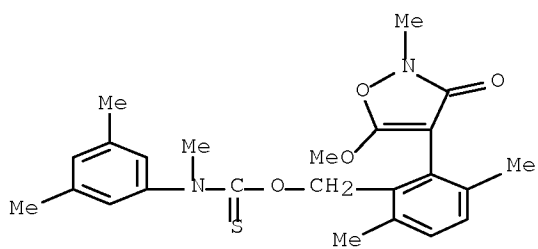
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CN INDEX NAME NOT YET ASSIGNED



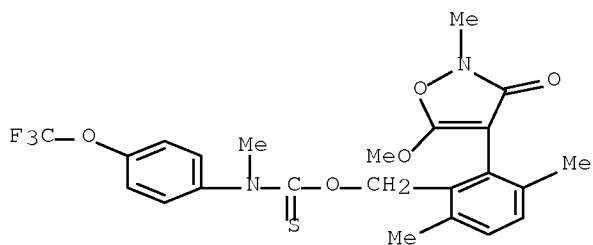
RN 1101572-78-4 CAPLUS  
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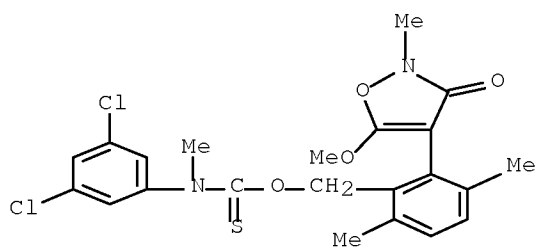
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CN INDEX NAME NOT YET ASSIGNED



RN 1101572-83-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

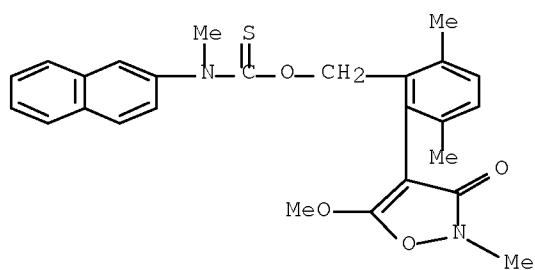


RN 1101572-86-4 CAPLUS  
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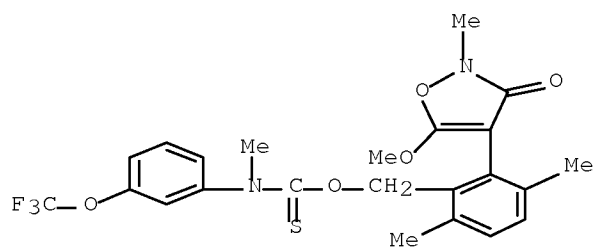




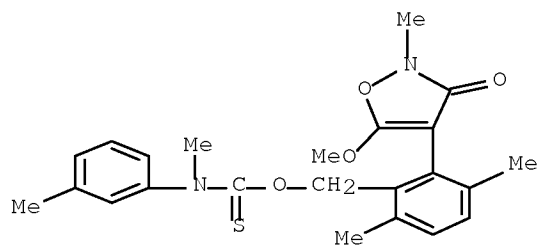
RN 1101572-88-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



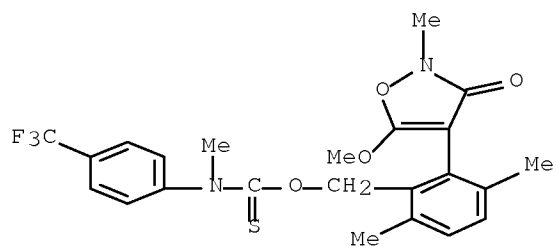
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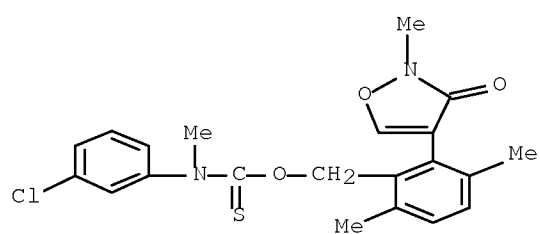
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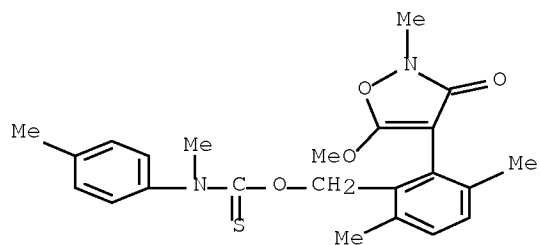
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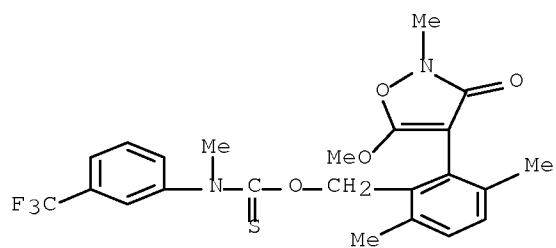
RN 1101574-13-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



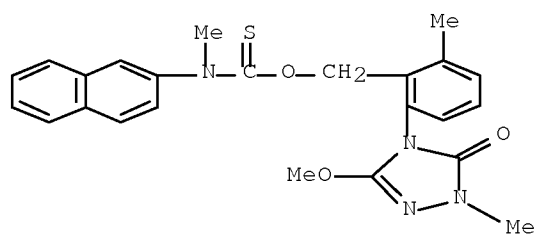
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CN INDEX NAME NOT YET ASSIGNED



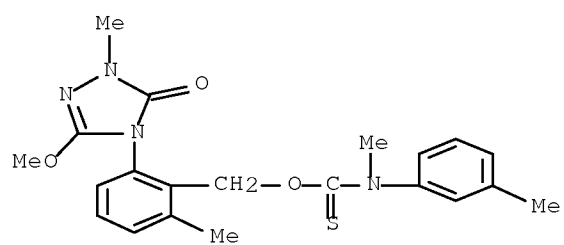
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CN INDEX NAME NOT YET ASSIGNED



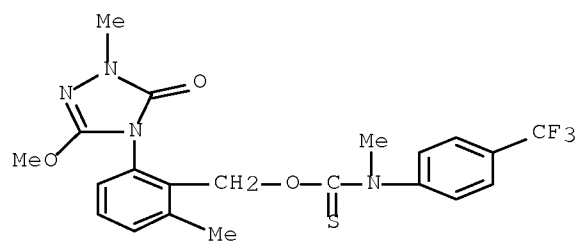
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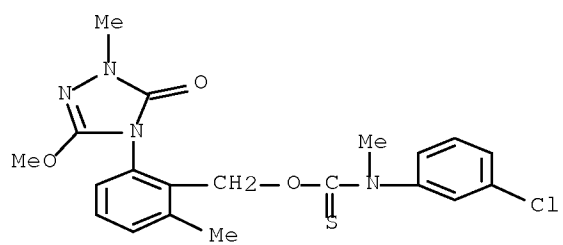
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CN INDEX NAME NOT YET ASSIGNED



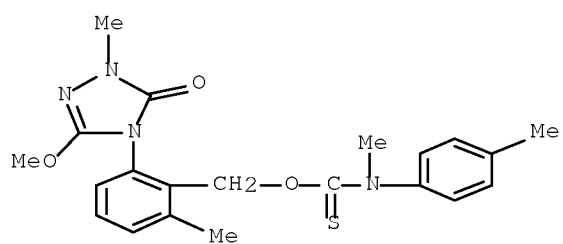
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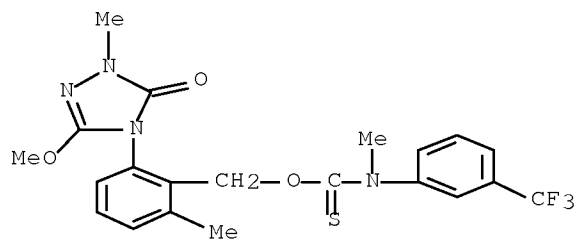
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CN INDEX NAME NOT YET ASSIGNED



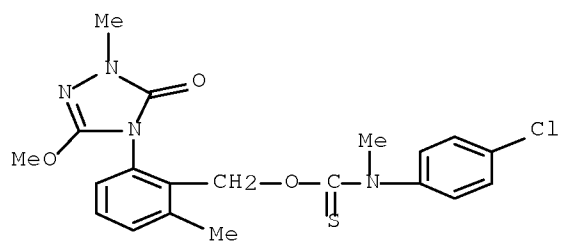
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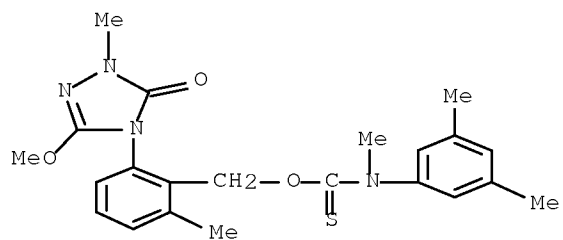
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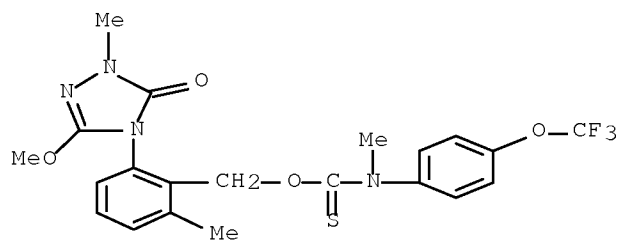
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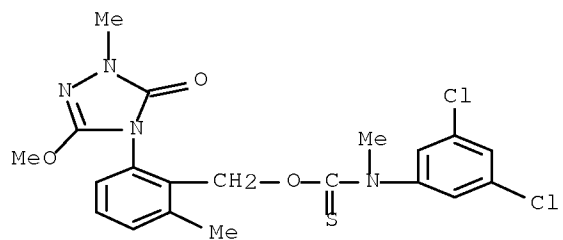
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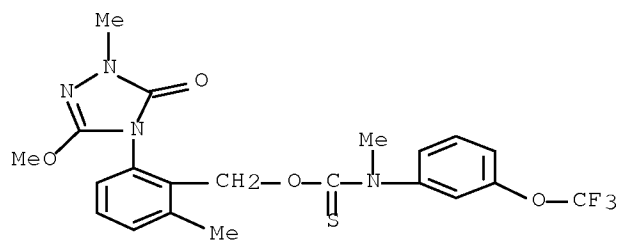
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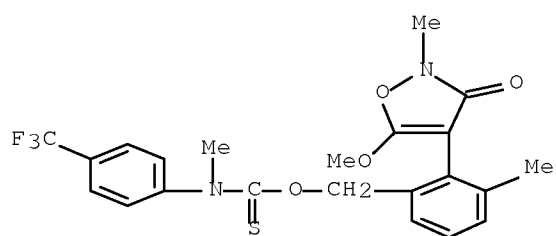
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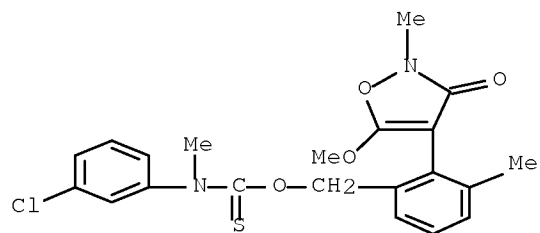
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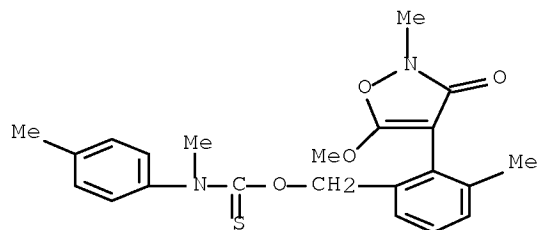
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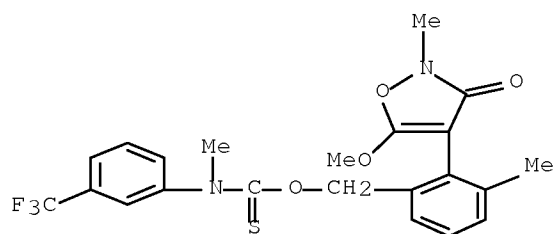
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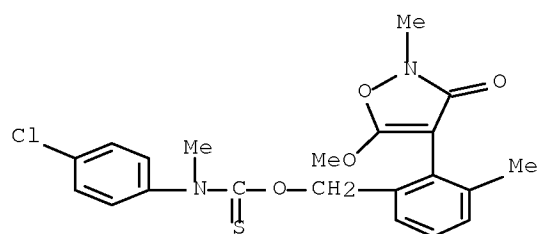
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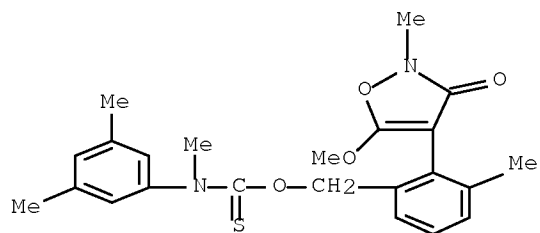
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CN INDEX NAME NOT YET ASSIGNED



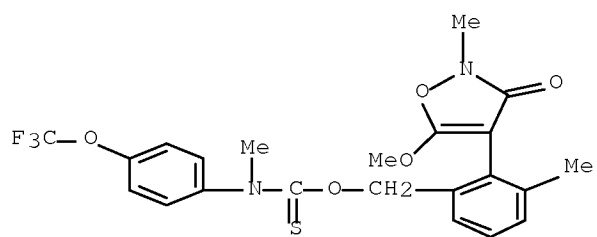
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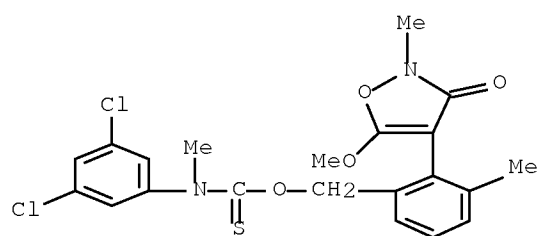
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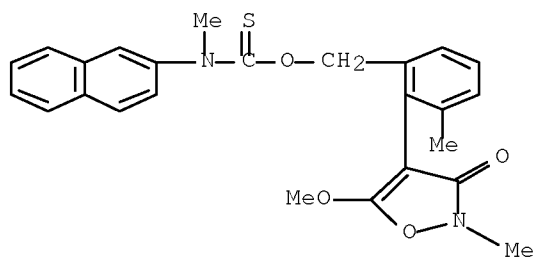
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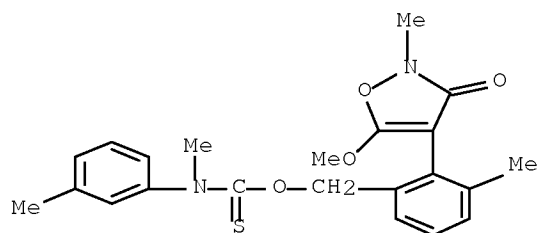
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RN 1101582-11-9 CAPLUS  
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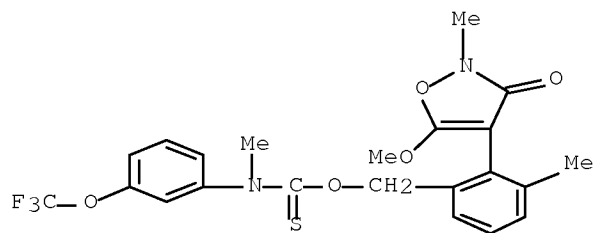


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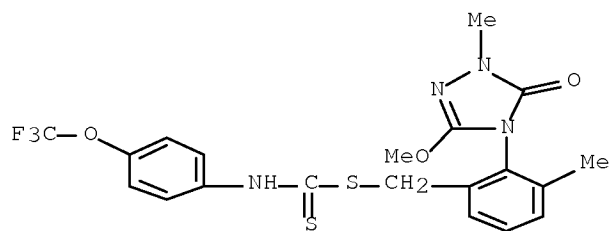




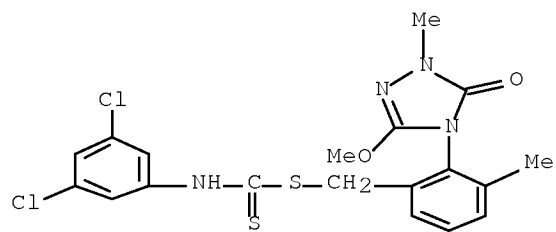
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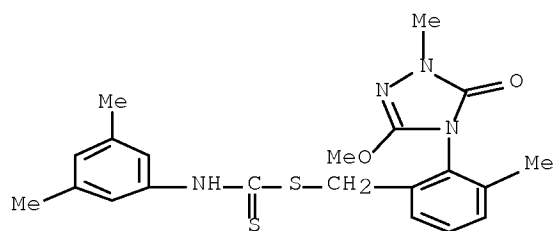
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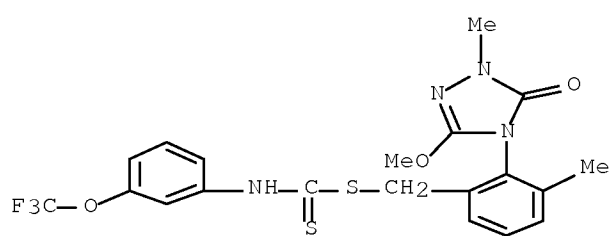
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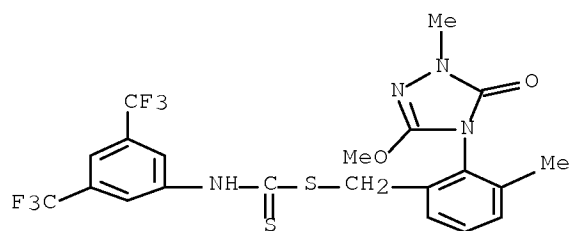
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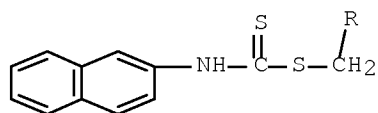
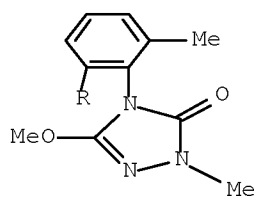
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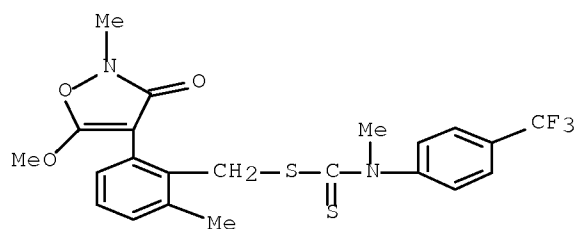
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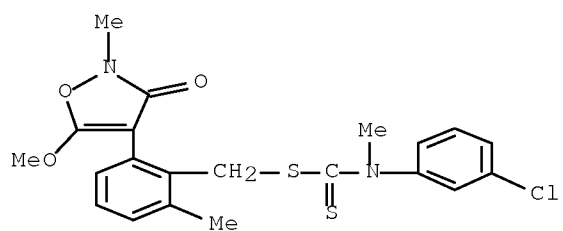
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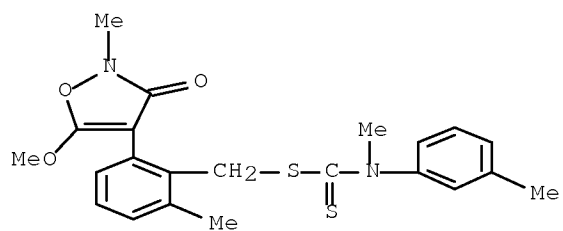
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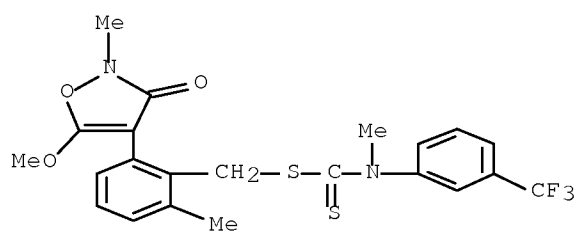
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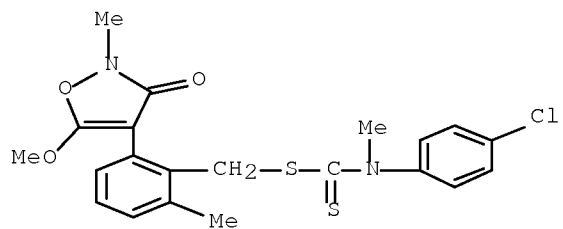
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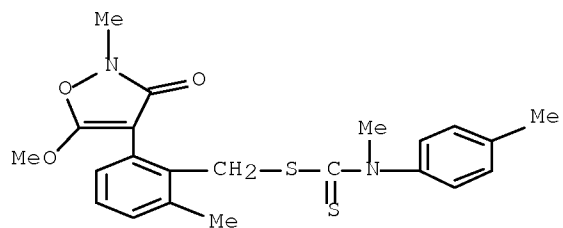
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RN 1101588-86-6 CAPLUS  
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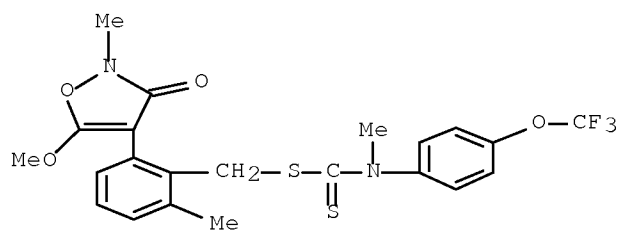


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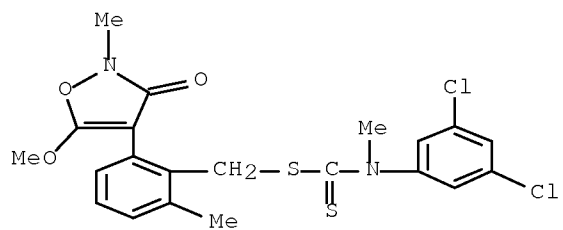
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CN INDEX NAME NOT YET ASSIGNED



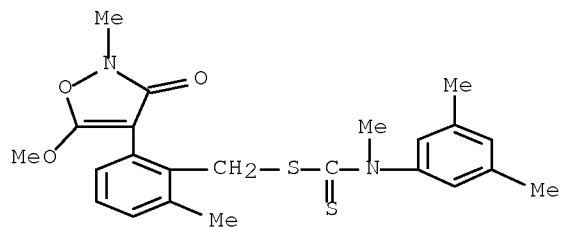
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CN INDEX NAME NOT YET ASSIGNED



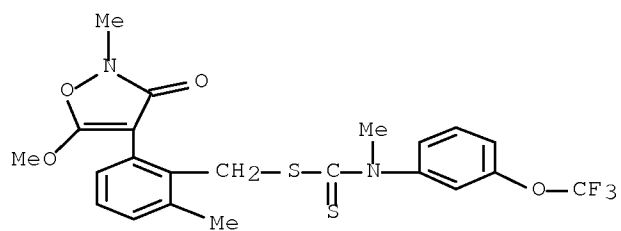
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CN INDEX NAME NOT YET ASSIGNED

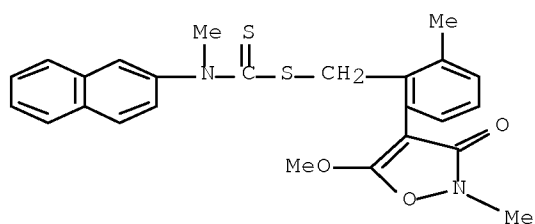


RN 1101588-95-7 CAPLUS

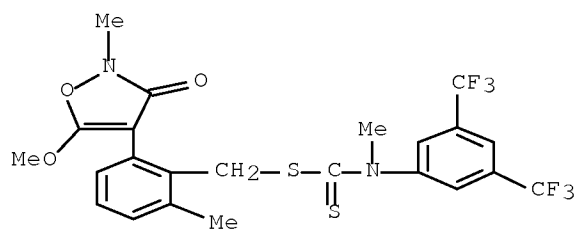
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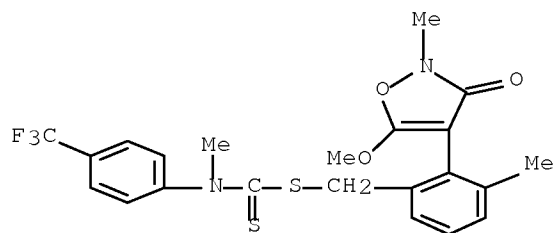
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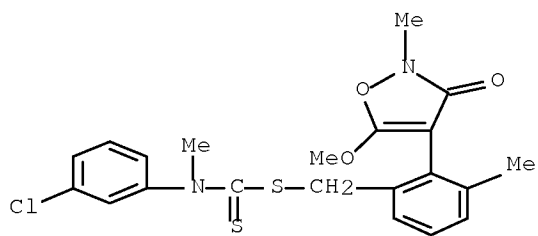
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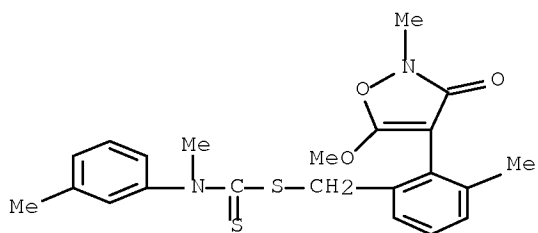
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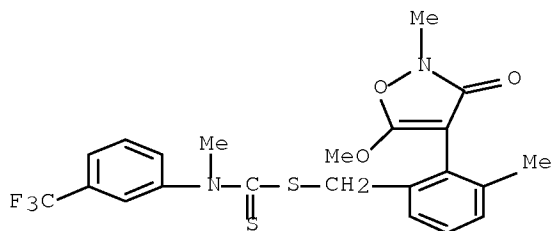
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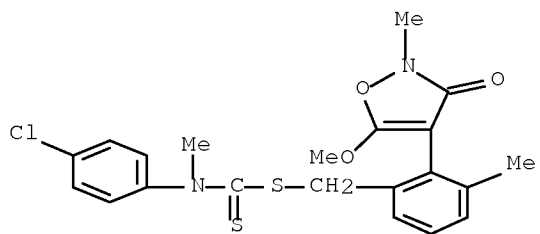
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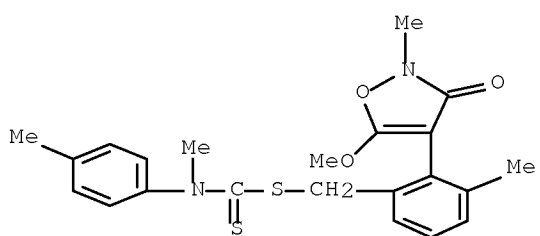
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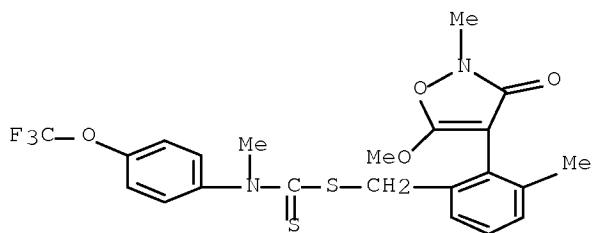
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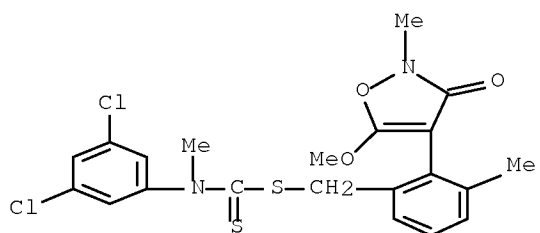
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RN 1101589-82-5 CAPLUS  
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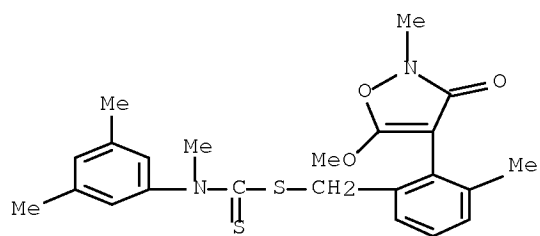


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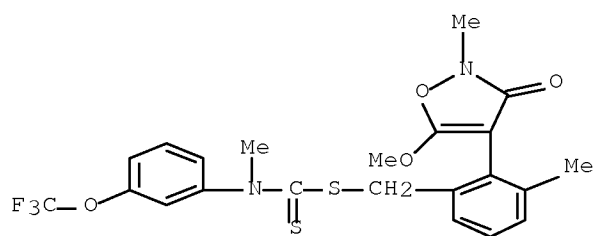




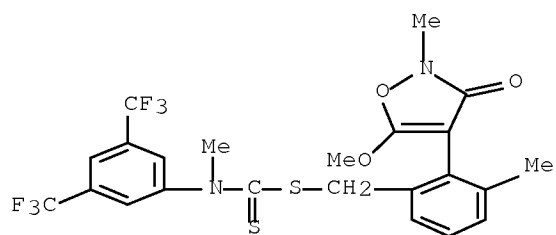
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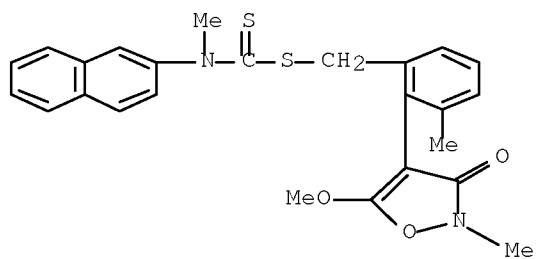
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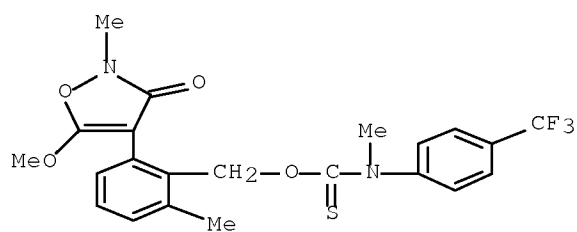
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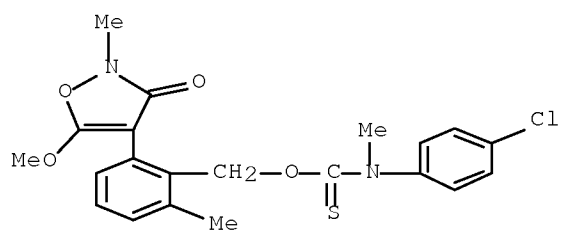
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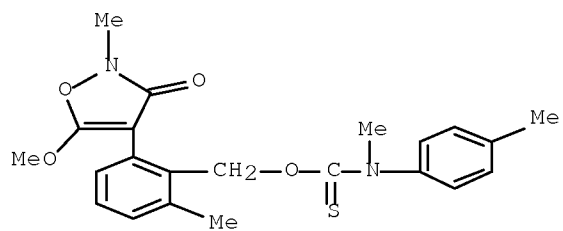
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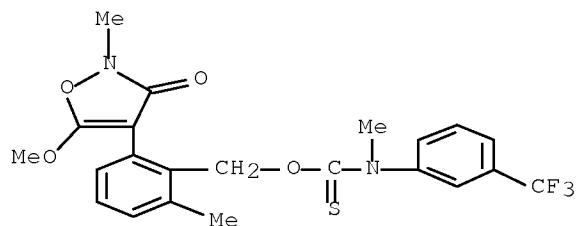
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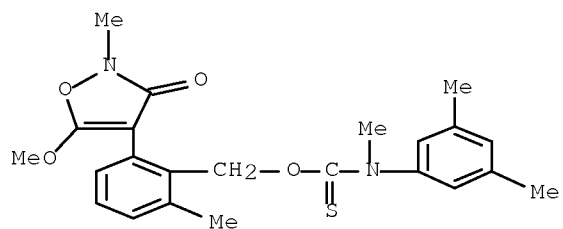
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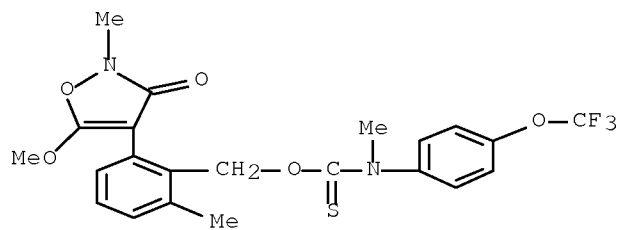
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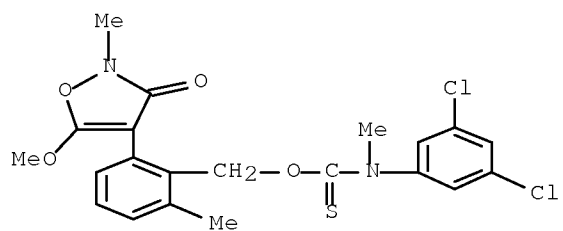
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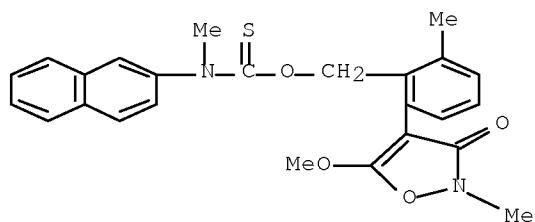
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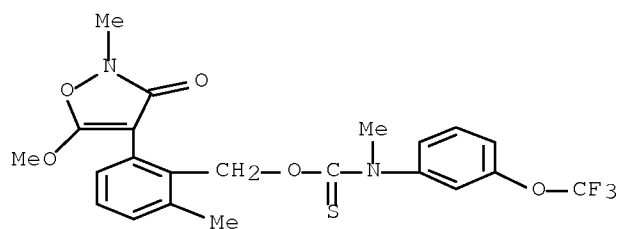
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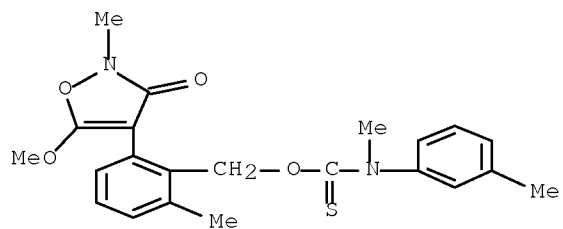
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RN 1101594-04-0 CAPLUS  
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RN 1101594-05-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1998:112354 CAPLUS Full-text

DOCUMENT NUMBER: 128:167436

ORIGINAL REFERENCE NO.: 128:33001a,33004a

TITLE: Preparation of arthropodicidal and fungicidal cyclic  
amides

INVENTOR(S): Brown, Richard James; Chan, Dominic Ming-Tak; Clark,  
David Alan; Drumm, Joseph Eugene, III; Koether, Gerard  
Michael; McCann, Stephen Frederick; Rorer, Morris  
Padgett; Selby, Thomas Paul; Walker, Michael Paul

PATENT ASSIGNEE(S): E. I. Du Pont de Nemours & Co., USA; Brown, Richard  
James; Chan, Dominic Ming-Tak; Clark, David Alan;  
Drumm, Joseph Eugene, III; Koether, Gerard Michael;  
McCann, Stephen Frederick; Rorer, Morris Padgett;  
Selby, Thomas Paul; Walker, Michael Paul

SOURCE: PCT Int. Appl., 130 pp.

CODEN: PIXXD2

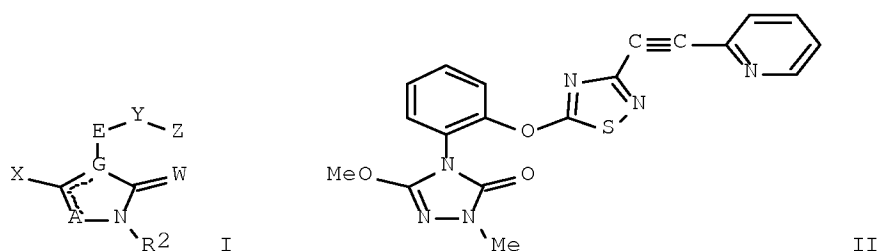
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9805652	A3	19980611		
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	IL, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN,			
	MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US,			
	UZ, VN, YU, GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK,			
	ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,			
	CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
RW:	GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,			
	GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,			
	GN, ML, MR, NE, SN, TD, TG			
AU 9738890	A	19980225	AU 1997-38890	19970724
EP 934283	A2	19990811	EP 1997-936152	19970724
R:	DE, FR, GB, IT			
BR 9711816	A	19990831	BR 1997-11816	19970724
CN 1231663	A	19991013	CN 1997-198356	19970724
JP 2000516583	T	20001212	JP 1998-507942	19970724
MX 9901173	A	20000131	MX 1999-1173	19990201
PRIORITY APPLN. INFO.:			US 1996-22933P	P 19960801
			WO 1997-US12809	W 19970724
OTHER SOURCE(S):	MARPAT 128:167436			
GI				



AB The title compds. [I; E = (un)substituted 1,2-phenylene, naphthalene, 5-12 membered monocyclic and fused bicyclic heteroaryl; A = O, S, N, NR5, CR14; G = C, N (provided that when G = C, then A = O, S, NR5 and the floating double bond is attached to G; and when G = N, then A = N, CR14 and the floating double bond is attached to A); W = O, S, NH, N(C1-6alkyl), NO(C1-6alkyl); X = OR1, S(O)mR1, halo; Y = O, S(O)n, NR15, etc.; Z = (un)substituted C3-8 cycloalkyl, C3-8 cycloalkenyl, Ph, etc.; R1 = C1-6 alkyl, C1-6 haloalkyl, C2-6 alkenyl, etc.; R2 = H, C1-6 alkyl, C1-6 haloalkyl, etc.; R5 = H, C1-6 alkyl, C1-6 haloalkyl, etc.; R14 = H, halo, C1-6 alkyl, etc.; R15 = H, C1-3 alkyl, C3-6 cycloalkyl, etc.; m, n = 0-2], useful for controlling plant diseases caused by fungal plant pathogens, and for controlling arthropods, were prepared Thus, reaction of 2,4-dihydro-4-(2-hydroxyphenyl)-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one with 3-iodo-5-(methylsulfonyl)-1,2,4-thiadiazole in the presence of K2CO3 in Me2CO followed by reacting the resulting 2,4-dihydro-4-{2-[(3-iodo-1,2,4-thiadiazol-5-yl)oxy]phenyl}-5-methoxy-2-methyl-3H-1,2,4-triazol-3-one with 2-ethynylpyridine in the presence of CuI, PdCl2(PPh3)2 and Et3N in DMF afforded the title compound II which showed 95% control against *Erysiphe graminis* (the causal agent of wheat powdery mildew) at 500 g/ha.

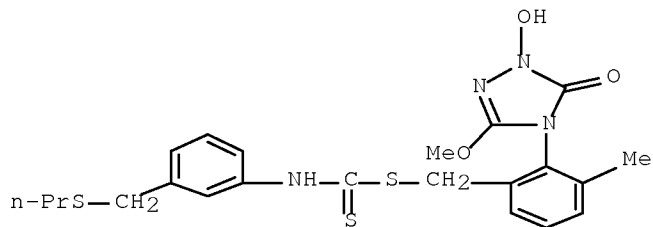
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RL: PRPH (Prophetic)

(Preparation of arthropodicidal and fungicidal cyclic amides)

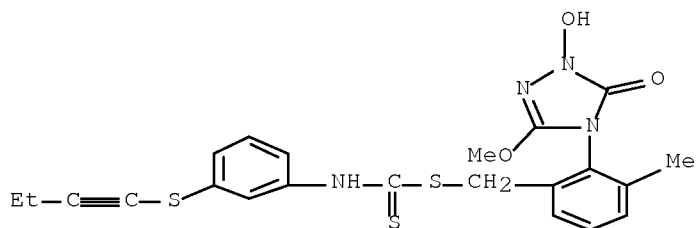
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CN INDEX NAME NOT YET ASSIGNED



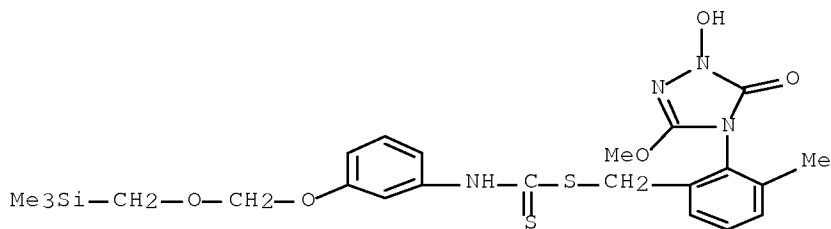
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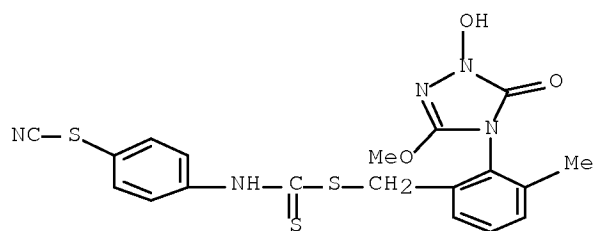
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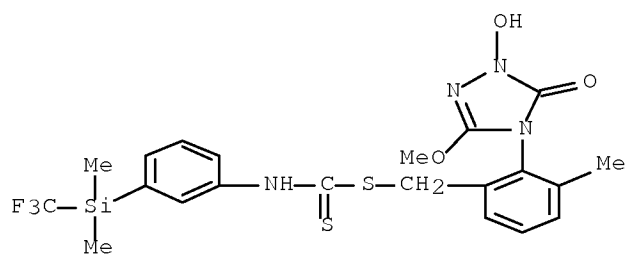


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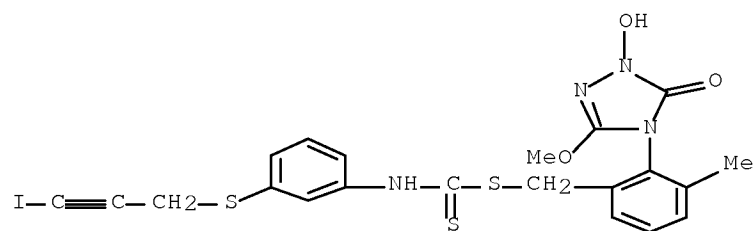
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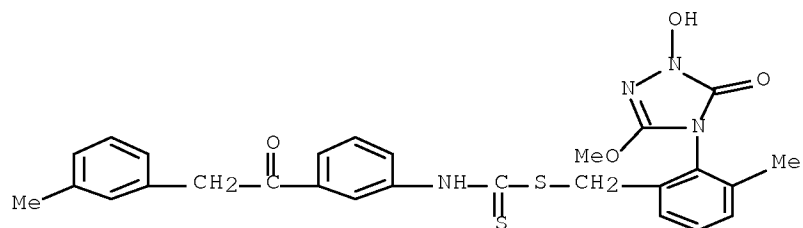
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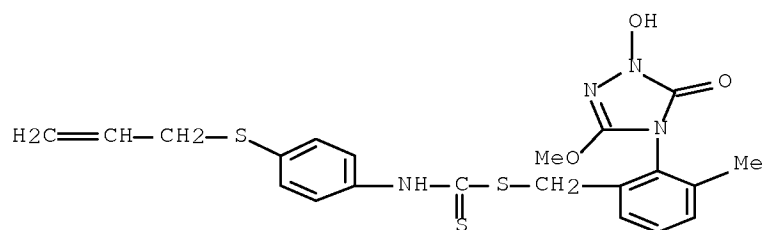


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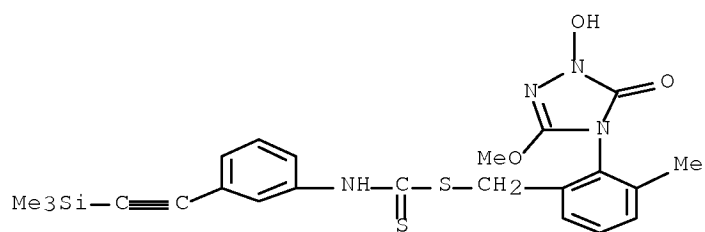




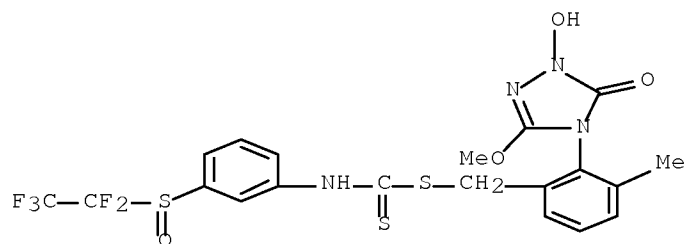
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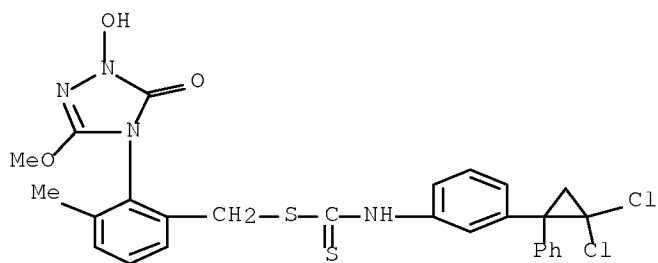
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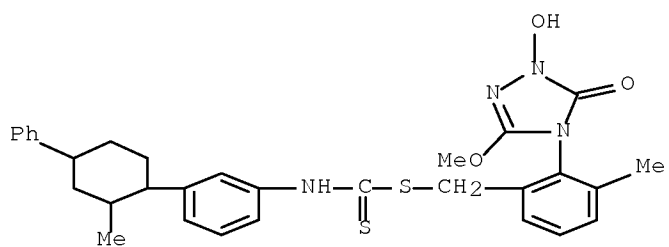
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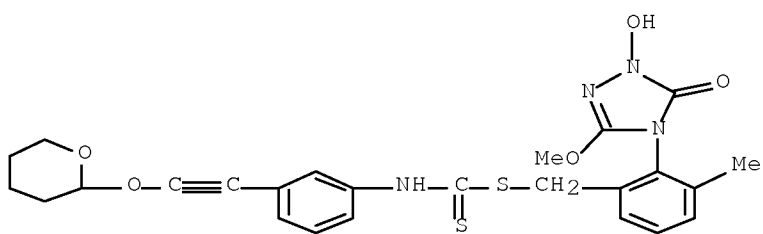
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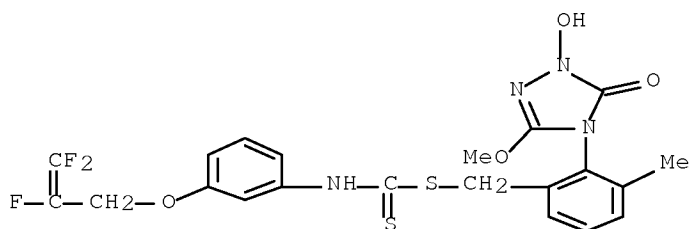
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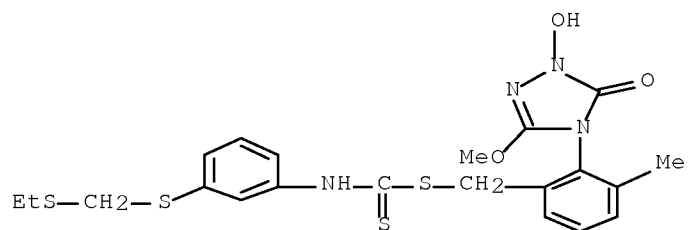
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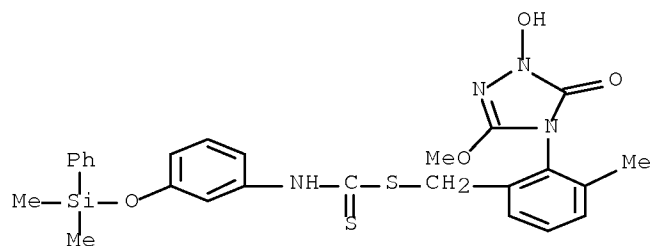
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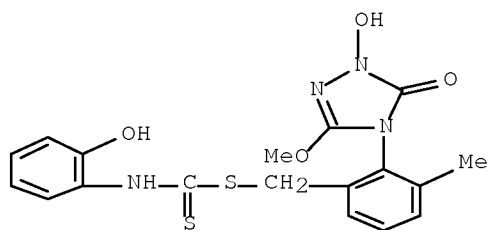
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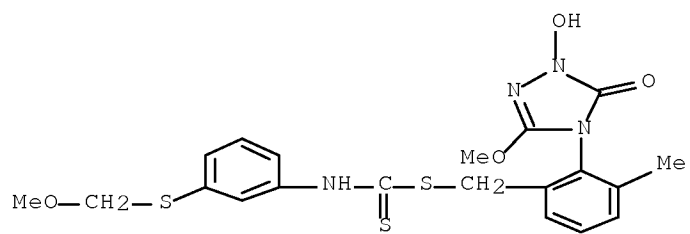
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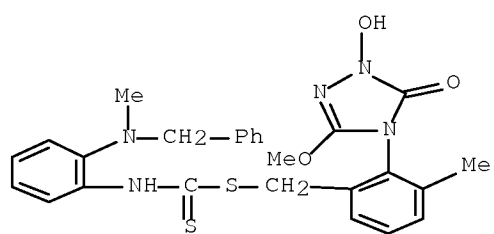
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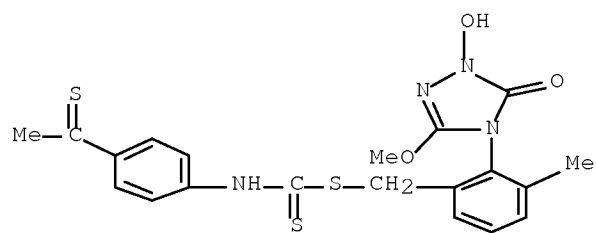
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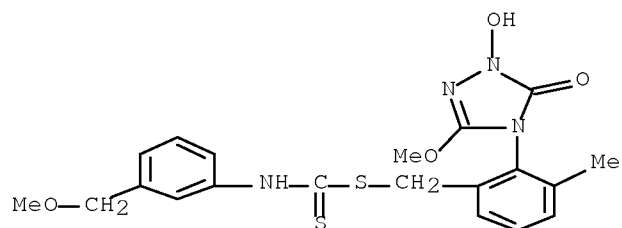
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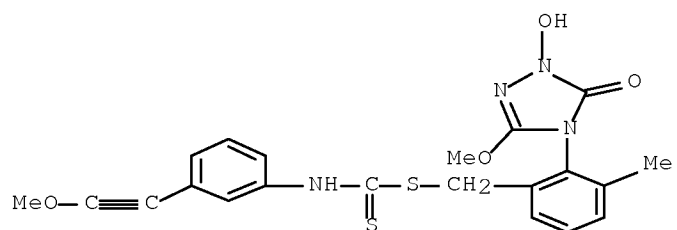
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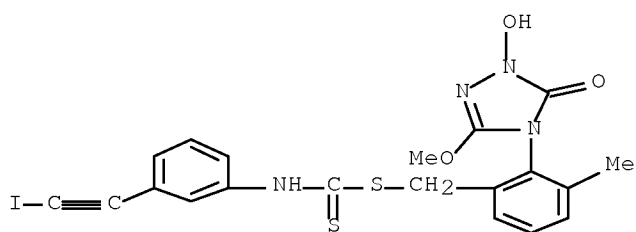
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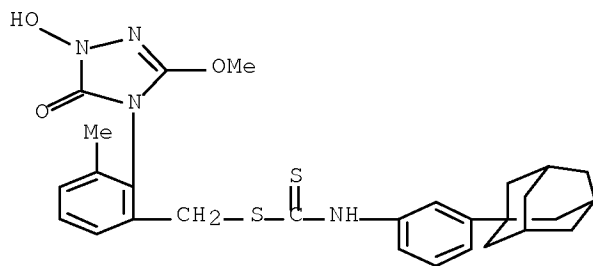
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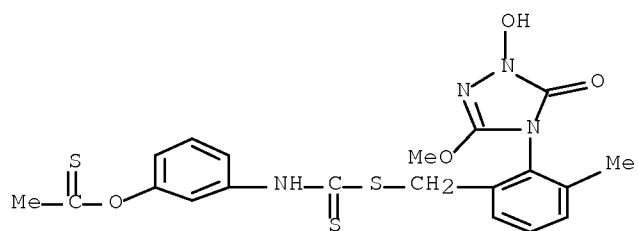
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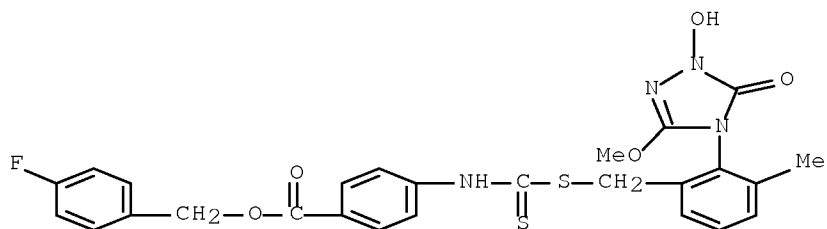


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 CN Ethanethioic acid, O-[3-[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]phenyl] ester (CA INDEX NAME)



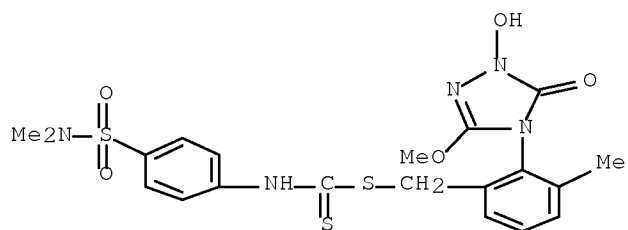
RN 1099515-04-4 CAPLUS

CN Benzoic acid, 4-[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]-, (4-fluorophenyl)methyl ester (CA INDEX NAME)



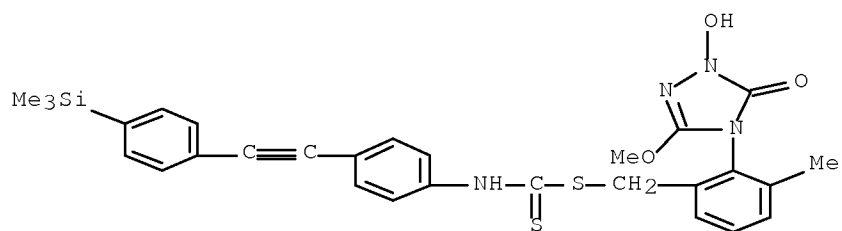
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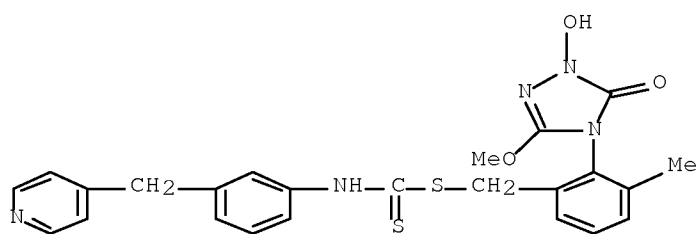


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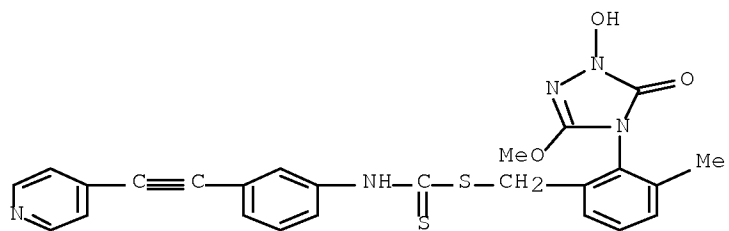
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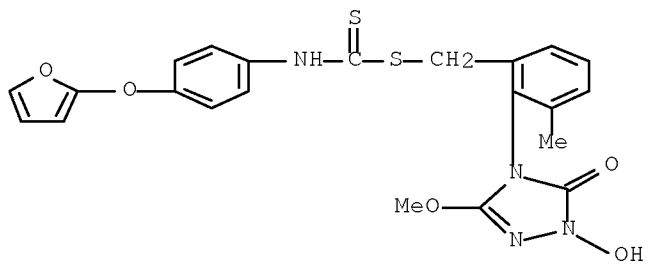
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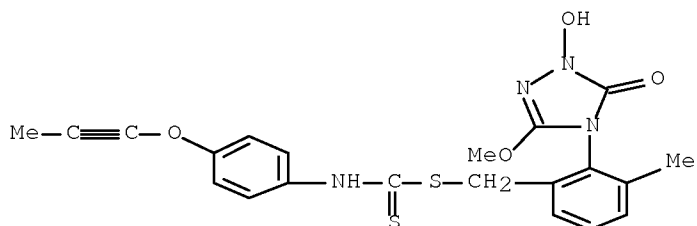
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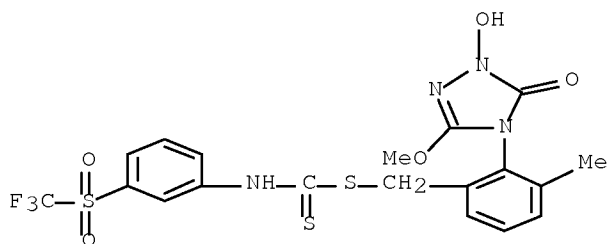
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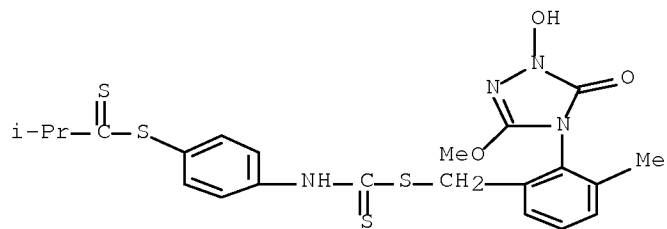
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RN 1099515-71-5 CAPLUS  
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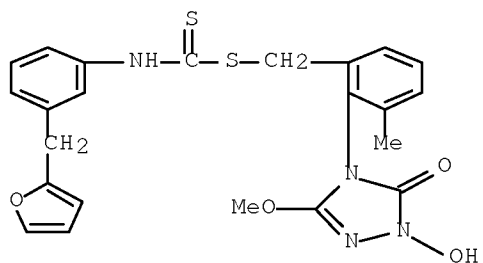


RN 1099515-72-6 CAPLUS  
 CN Propane(dithioic) acid, 2-methyl-,  
 4-[[[[(2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-  
 methylphenyl)methyl]thio]thioxomethyl]amino]phenyl ester (CA INDEX NAME)

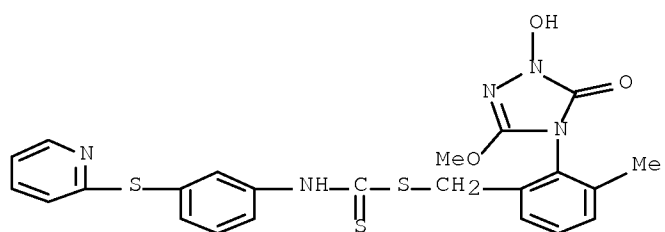


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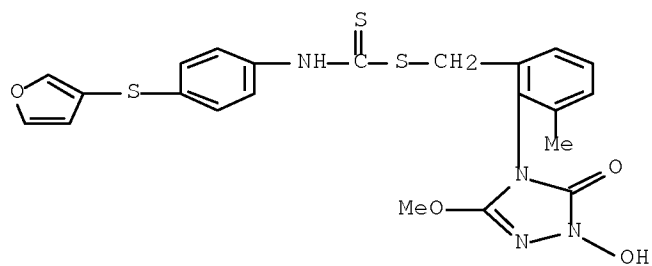




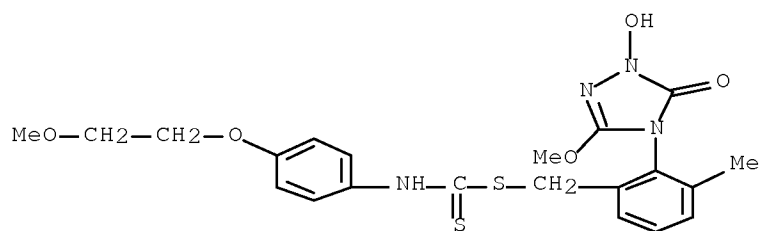
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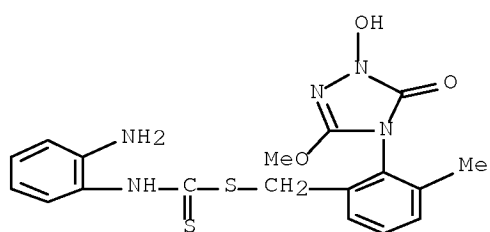
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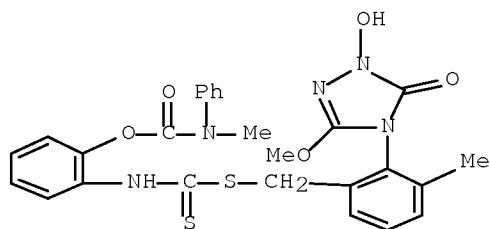
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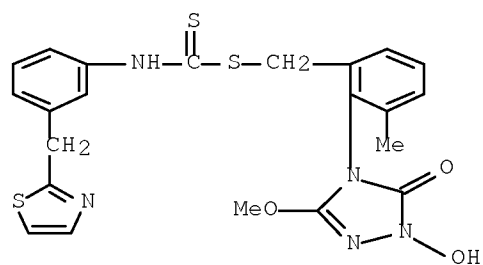
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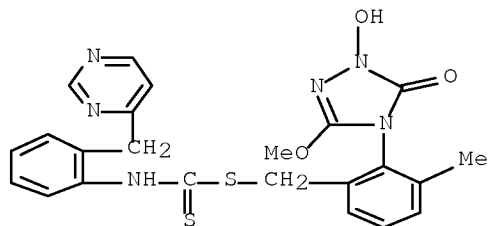
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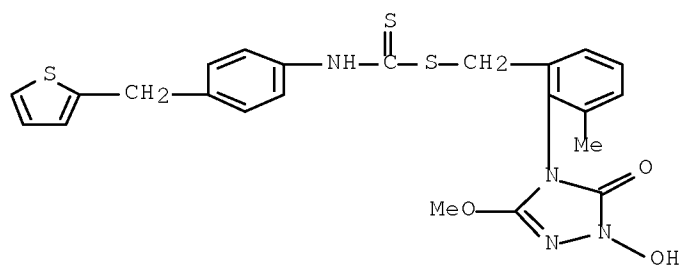
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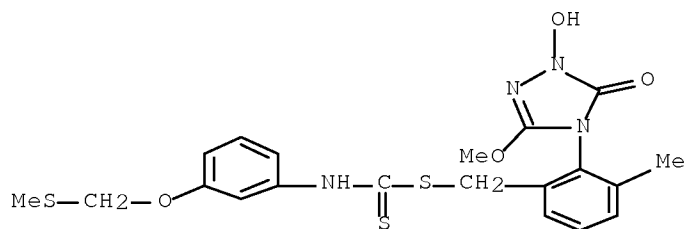
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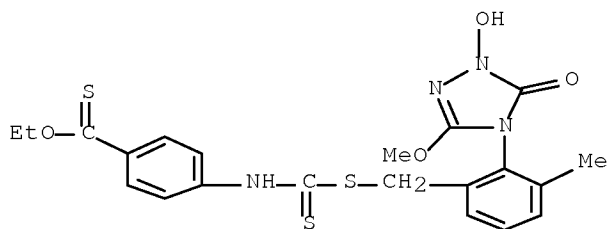
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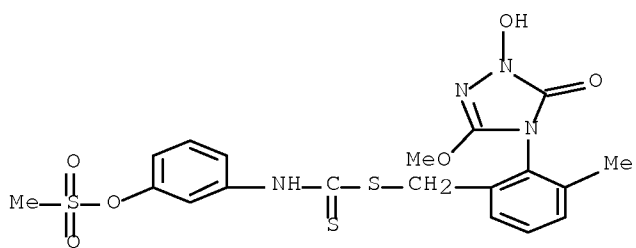
RN 1099515-83-9 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



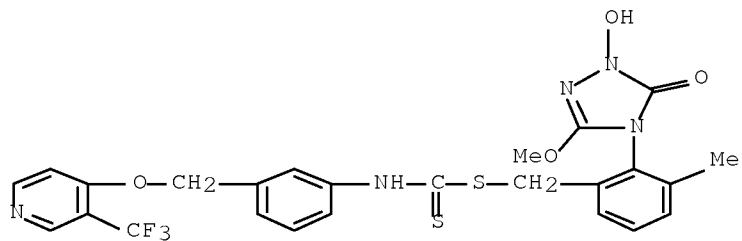
RN 1099515-84-0 CAPLUS  
 CN Benzenecarbothioic acid, 4-[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]-, O-ethyl ester (CA INDEX NAME)



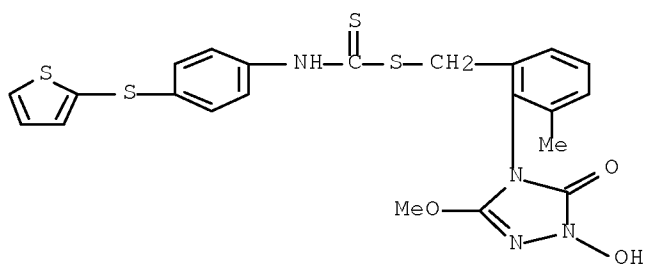
RN 1099515-86-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



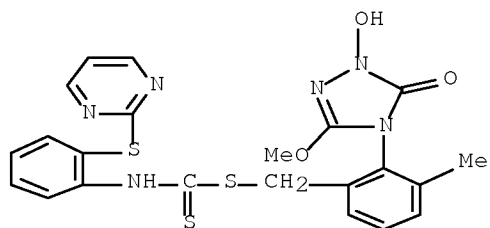
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CN INDEX NAME NOT YET ASSIGNED



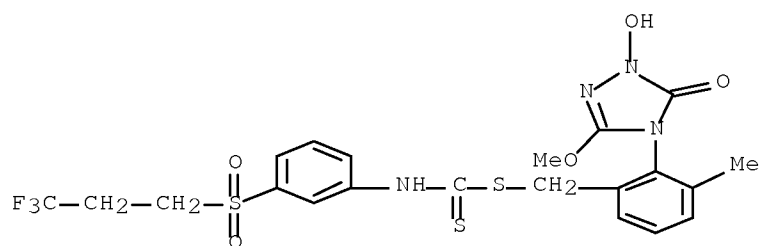
RN 1099515-88-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



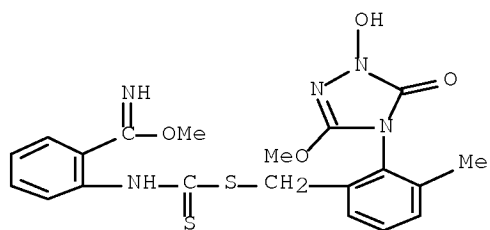
RN 1099515-89-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



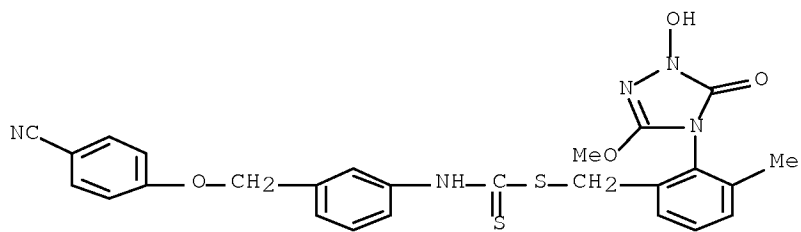
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CN INDEX NAME NOT YET ASSIGNED



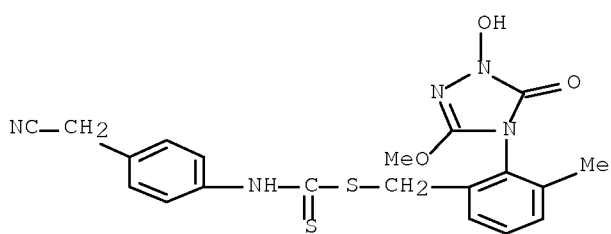
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CN INDEX NAME NOT YET ASSIGNED



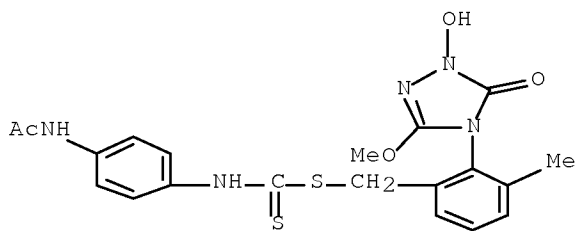
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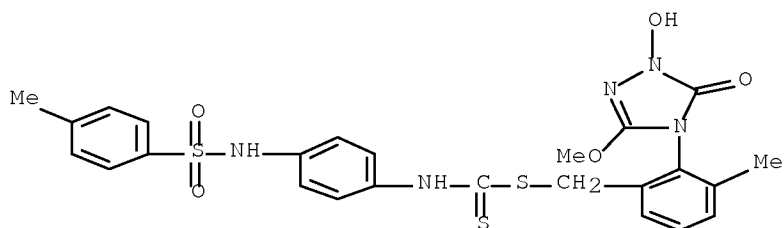
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 CN INDEX NAME NOT YET ASSIGNED



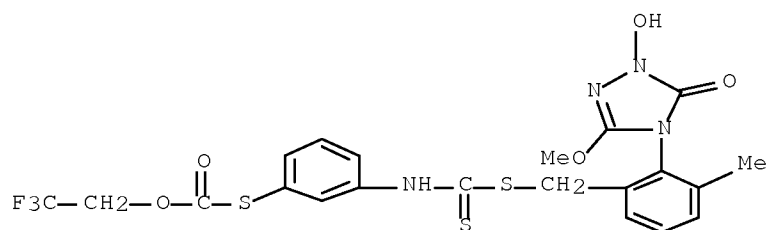
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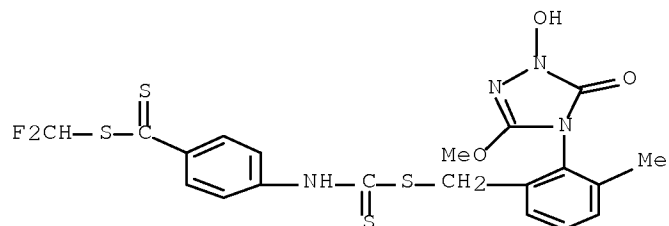
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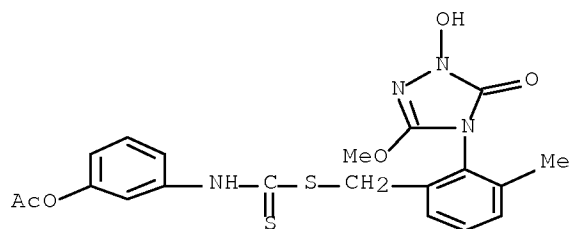
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 CN INDEX NAME NOT YET ASSIGNED



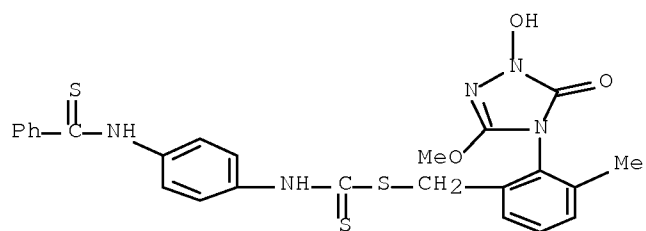
RN 1099516-22-9 CAPLUS  
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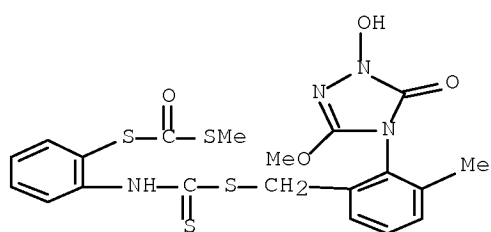
RN 1099516-23-0 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



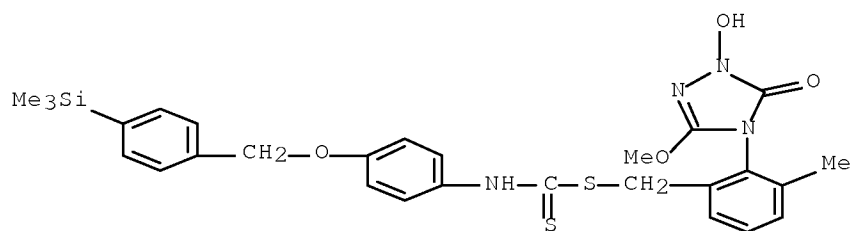
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 CN INDEX NAME NOT YET ASSIGNED



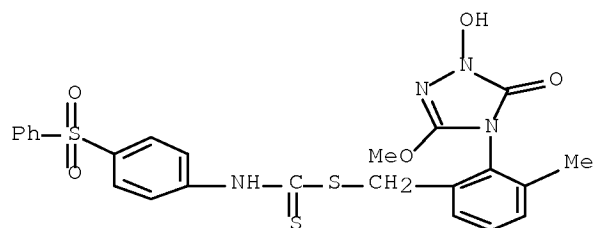
RN 1099516-25-2 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



RN 1099516-26-3 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED

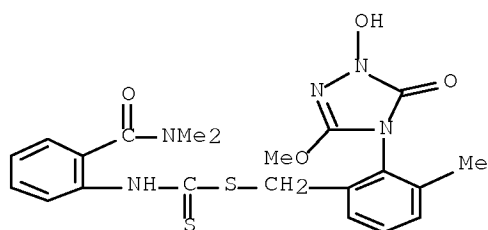


RN 1099516-27-4 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED

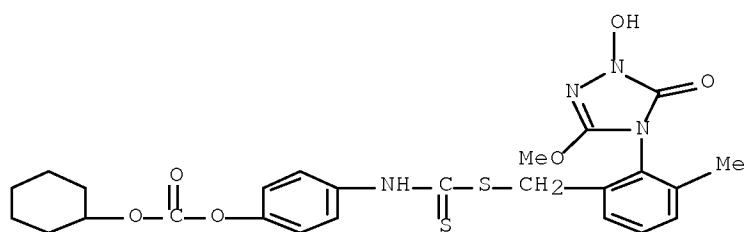




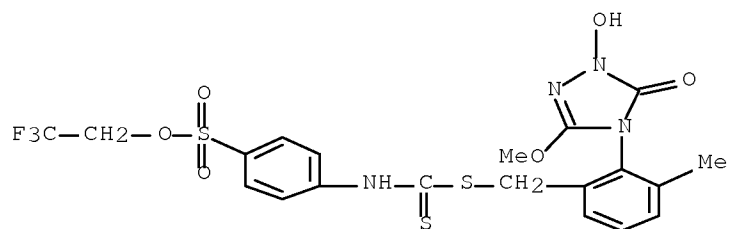
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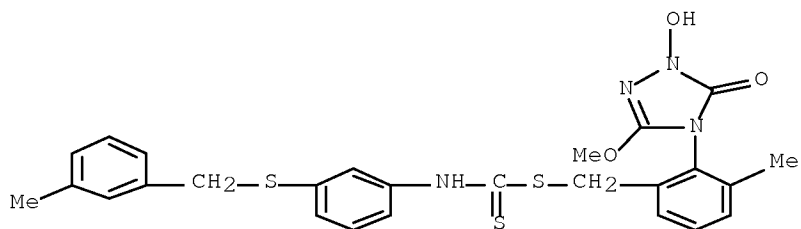
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 CN INDEX NAME NOT YET ASSIGNED



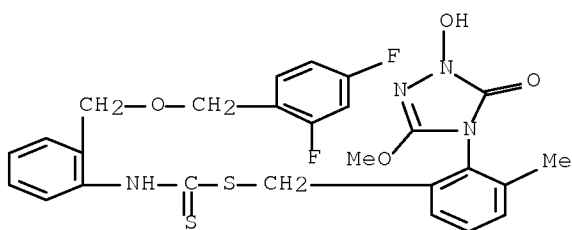
RN 1099516-86-5 CAPLUS  
 CN Benzenesulfonic acid, 4-[[[[(2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl)methyl]thio]thioxomethyl]amino]-, 2,2,2-trifluoroethyl ester (CA INDEX NAME)



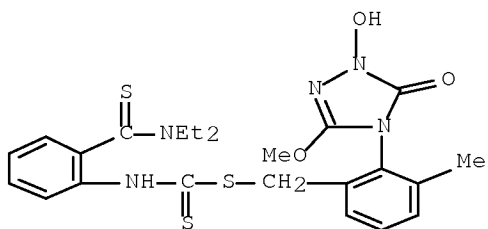
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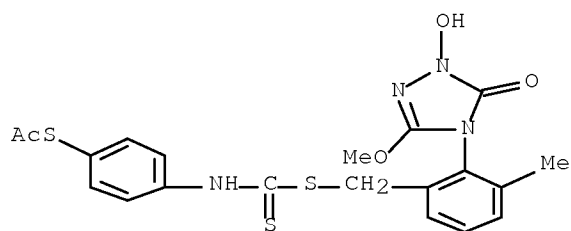
RN 1099516-88-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



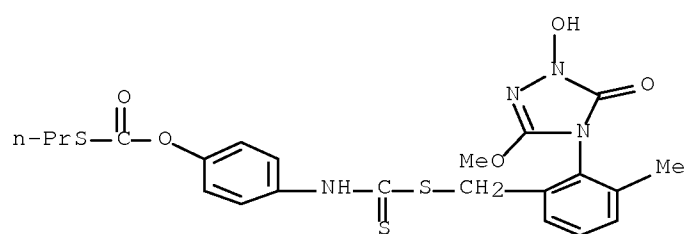
RN 1099516-89-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1099516-90-1 CAPLUS  
CN Ethanethioic acid, S-[4-[[[[[2-(1,5-dihydro-1-hydroxy-3-methoxy-5-oxo-4H-1,2,4-triazol-4-yl)-3-methylphenyl]methyl]thio]thioxomethyl]amino]phenyl] ester (CA INDEX NAME)



RN 1099516-91-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2009 ACS on STN  
ACCESSION NUMBER: 1969:87252 CAPLUS Full-text  
DOCUMENT NUMBER: 70:87252  
ORIGINAL REFERENCE NO.: 70:16284h,16285a  
TITLE: Asymmetric oxalylbis[arylamides]  
PATENT ASSIGNEE(S): CIBA Ltd.  
SOURCE: Fr., 47 pp.  
CODEN: FRXXAK

DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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FR 1516276	A1	19680308	FR 1967-93513	19670202
CH 480867	A	19691115	CH 1966-480867	19660207
CH 512933	A	19710930	CH 1966-512933	19660207
BE 693605	A	19670803	BE 1967-693605	19670203
NL 6701776	A	19670808	NL 1967-1776	19670206
NL 6701777	A	19670808	NL 1967-1777	19670206
NL 159955	B	19790417		
US 3529982	A	19700922	US 1967-614039	19670206
SE 346103	B	19720626	SE 1967-1640	19670206
SE 347959	B	19720821	SE 1967-1639	19670206
GB 1177094	A	19700107	GB 1967-1177094	19670207
GB 1177095	A	19700107	GB 1967-1177095	19670207
US 3661606	A	19720509	US 1970-28026	19700413

US 4003875	A	19770118	US 1975-633200	19751119
PRIORITY APPLN. INFO.:			CH 1966-1679	A 19660207
			CH 1966-1680	A 19660207
			US 1967-614039	A2 19670206
			US 1969-820712	A1 19690429
			US 1972-253070	A2 19720515
			US 1973-376665	A2 19730705

AB Asym. oxalylbis[arylamides] 2,4-R7R6C6H3NH-COCONHC6R1R2R3R4R5-2,3,4,5,6 (I) were prepared and used for the stabilization of cellulose acetate, poly(vinyl chloride), polyethylene, polypropylene, polyesters, olive oil, polyacrylonitrile, polyamides, and nitrocellulose against uv degradation. Thus, 22.3 parts p-MeOC6H4NHCOCOCOC2Et was heated with 10.9 parts 2-aminophenol at 175-80° in the presence of 0.5 part H3BO3, the alc. formed removed by distillation, the precipitate dissolved in HCONMe2, and H2O added to the solution to give 26 parts I (R1 = OH, R2 = R3 = R4 = R5 = R7 = H, R6 = OMe) (II), m. 213-14°. II (2.9 parts) was dissolved in 10 parts Me2CO and a solution of 0.4 part NaOH in 10 parts water, 0.1 part Na2CO3 added, 1.4 parts Me2SO4 added dropwise, the mixture stirred 4 hrs. at 45°, MeOH added, and the mixture cooled to give I (R1 = R6 = OMe, R2 = R3 = R4 = R5 = R7 = H), m. 160-1°. II (5.8 parts) was dissolved in 20 parts Me2SO containing 0.8 part NaOH, 6.7 parts octadecyl bromide added at 20°, the mixture stirred 4 hrs. at 45°, and MeOH added to give 10 parts I [R1 = O(CH2)17Me, R6 = OMe, R2 = R3 = R4 = R5 = R7 = H], m. 90.5-1.5°. I (R3 = OH, R6 = OEt, R1 = R2 = R4 = R5 = R7 = H) was heated with C6H4Cl2 in the presence of capryloyl chloride at 120-40° to give I [R3 = O2C(CH2)6Me, R6 = OEt, R1 = R2 = R4 = R5 = R7 = H], m. 219-21°. I (R3 = R4 = R6 = Cl, R1 = R2 = R5 = R7 = H), m. 234-5°, was prepared by heating p-ClC6H4NHCO-CO2Et with 3,4-Cl2C6H3NH2 in the presence of H3BO3. I was added to the organic material in 0.2-2% concentration. The following I (R5 = R7 = H) were also prepared (R1, R2, R3, R4, R6, and m.p. given): H, Me, H, Me, OMe, 191-2°; H, Cl, H, Cl, OMe, 233-4°; H, Cl, H, CF3, OMe, 198-9°; H, CF3, H, CF3, OMe, 182-3°; (R1R2 =) CH:CHCH:CH, H, H, OMe, 198-9°; Cl, H, H, H, OMe, 166-7°; Me, H, H, H, OMe, 194-5°; H, H, Me, H, OMe, 230-1°; H, H, Br, H, OMe, 280-1°; H, H, Cl, H, OMe, 267-8°; H, H, NMe2, H, OMe, 243-4°; Ph, H, H, H, OEt, 147-8°; H, H, Bu, H, OEt, 222-3°; H, H, CH2CO2H, H, OEt, 267-8°; H, H, Cl2H25, H, OEt, 129-38°; H, H, OBz, H, OEt, 270-2°; H, H, O2CC6H4Bu-tert, H, OEt, 281-2°; H, H, O2CNHBu, H, OEt, 272-5°; H, H, OMe, H, OEt, 229-30°; H, H, BuO, H, OEt, 228-9°; H, H, OC8H17, H, OEt, 205-6°; H, H, OC12H25, H, OEt, 202-3°; H, H, OCH2Ph, H, OEt, 245-6°; H, H, OCH2CH:CH2, H, OEt, 243-4°; OH, H, H, PhCMe2, OEt, 211-13°; OEt, H, H, PhCMe2, OEt, 155-6°; OC8H17, H, H, PhCMe2, OEt, 88-9°; H, H, OH, H, OEt, 280-2°. The following I were prepared (R1, R2, R3, R4, R5, R6, R7, and m.p. given): H, OEt, H, H, OEt, H, OEt, 122-3°; Me, H, Me, H, Me, OEt, H, 237-9°; H, Me, H, Me, H, OMe, OMe, 157-8°; OC8H17, H, H, tert-Bu, H, OMe, OMe, 110-11°; OMe, H, H, tert-Bu, H, OMe, OMe, 184-5°. The following I (R1 = R4 = R7 = H) were prepared: (R2, R3, R5, R6, and m.p. given): H, OMe, OMe, OMe, 174-5°; tert-Bu, H, OMe, OMe, 173-4°; tert-Bu, H, OC8H17, OMe, 93-4°; H, H, OC8H17, OMe, 92-3°; Cl, OMe, OMe, OMe, 189-90°; H, Me, Me, OMe, 196-7°; Ph, H, OMe, OMe, 187-8°; Ph, H, OC8H17, OMe, 144-6°; Ph, H, O2CPr, OMe, 135-7°; Cl, H, OH, OMe, 236-7°; Cl, H, OMe, OMe, 169-70°; Cl, H, OCH2CH2CH2Cl, OMe, 130-1°; Cl, H, O(CH2)16Me, OMe, 92-3°; H, Ph, OMe, OMe, 188-9°; H, Ph, OC8H17, OMe, 145-6°; H, Ph, OCH2CO2Et, OMe, 193-4°; H, Ph, O(CH2)3Cl, OMe, 160-1°, Me, Me, OMe, OMe, 163-4°; Me, Me, OH, OMe, 226-7°; Me, Me, OC8H17, OMe, 116-17°; Me, Me, O(CH2)3Cl, OMe, 131-2°. The following I (R1 = R3 = R4 = R7 = H) were prepared (R2, R5, R6 and m.p. given): CMe2CH2Bu-tert (III), OH, OMe, 226-8°; III, OAc, OMe, 154-5°; III, O2C(CH2)10Me, OMe, 56-7°; III, OMe, OMe, 152-4°; III, O(CH2)7Me, OMe, 86-8°; III, OCH2CH:CH2, OMe, 116-18°; III, OCH2Ph, OMe, 104-6°; H, OH, OEt, 229-30°; H, OMe, OEt, 155-6°; H, O2CCH:CH2, OEt, 150-1°; H, OC8H17, OEt, 94-5°; H, O(CH2)3Cl, OEt, 139-40°; H, OCH2Ph, OEt, 166-7°; H, OCH2CO2Et, OEt, 152-3°; H, OAc, OEt, 147-8°; H, OCH2CH2OCH2CH2CN, OEt, 131-2°; H, OCH2CO2H, OEt, 193-4°; H, OCH2CONHPh, OEt, 252-3°; H, OCH2CO2C12H25, OEt, 115-16°; H, OBz, OEt, 167-8°; H, O2CC6H4Cl,

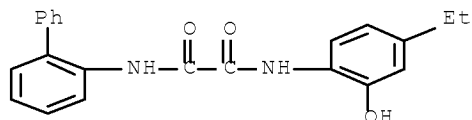
OEt, 205-6°; H, O<sub>2</sub>CC<sub>6</sub>H<sub>4</sub> Bu-tert, OEt, 182-3°; H, O<sub>2</sub>CNHBu, OEt, 161-2°; tert-Bu, OH, OEt, 226-7°; tert-Bu, OMe, OEt, 161-2°; tert-Bu, OBu, OEt, 124-5°; tert-Bu, OC<sub>8</sub>H<sub>17</sub>, OEt, 90-1°; tert-Bu, OC<sub>12</sub>H<sub>25</sub>, OEt, 94-5°; tert-Bu, OC<sub>18</sub>H<sub>37</sub>, OEt, 98-5°; tert-Bu, O<sub>2</sub>CCH:CH<sub>2</sub>, OEt, 151-2°; H, OH, OEt, 267-8°; H, OMe, OEt, 186-7°; H, OBu, OEt, 181-2°; H, OC<sub>8</sub>H<sub>17</sub>, OEt, 158-9°; H, OC<sub>12</sub>H<sub>25</sub>, OEt, 150-1°; H, OC<sub>18</sub>H<sub>37</sub>, OEt, 135-6°; H, OCH<sub>2</sub>Ph, OEt, 185-6°; H, OCH<sub>2</sub>CO<sub>2</sub>Et, OEt, 198-9°; H, OAc, OEt, 201-2°; H, OH, H, 261-2°; H, OMe, H, 170-1°; H, O(CH<sub>2</sub>)<sub>3</sub>Cl, H, 91-2°; H, OC<sub>8</sub>H<sub>17</sub>, H, 86-7°; H, OCH<sub>2</sub>Ph, H, 167-8°; tert-Bu, OH, H, 201-2°; tert-Bu, OMe, H, 132-3°; tert-Bu, O(CH<sub>2</sub>)<sub>3</sub>Cl, H, 96-7°; tert-Bu, OC<sub>8</sub>H<sub>17</sub>, H, 99-100°; tert-Bu, OCH<sub>2</sub>Ph, H, 182-3°; tert-Bu, OC<sub>12</sub>H<sub>25</sub>, H, 76-7°; tert-Bu, OAc, H, 181-2°. The following I (R<sub>1</sub> = R<sub>4</sub> = R<sub>7</sub> = H) were prepared (R<sub>2</sub>, R<sub>3</sub>, R<sub>5</sub>, R<sub>6</sub> and m.p. given): H, Ph, OMe, H, 189-90°; H, Ph, OCH<sub>2</sub>CO<sub>2</sub>Et, H, 182-3°; H, Ph, OC<sub>18</sub>H<sub>37</sub>, H, 114-15°; tert-Bu, H, OH, Me, 228-9°; tert-Bu, H, OMe, Me, 169-70°; tert-Bu, H, O(CH<sub>2</sub>)<sub>3</sub>Cl, Me, 114-15°; tert-Bu, H, OC<sub>8</sub>H<sub>17</sub>, Me, 92-3°; tert-Bu, H, OCH<sub>2</sub>Ph, Me, 171-2°; H, Ph, OC<sub>8</sub>H<sub>17</sub>, Cl, 147-8°; tert-Bu, H, OMe, Cl, 172-3°; tert-Bu H, OC<sub>8</sub>H<sub>17</sub>, Cl, 116-17°; H, H, OEt, CN, 217-18°; tert-Bu, H, OMe, Ph, 188-9°. The following I (R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>7</sub> = H) were prepared (R<sub>5</sub>, R<sub>6</sub>, and m.p. given): OH, Me, 221-2°; OMe, Me, 165-6°; OBu, Me, 103-4°; OC<sub>8</sub>H<sub>17</sub>, Me, 95-6°; OH, Cl, 246-7°; OMe, Cl, 212-13°; OEt, Cl, 187-9°; OBu, Cl, 116-17°; OC<sub>8</sub>H<sub>17</sub>, Cl, 94-5°. The following I (R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>6</sub> = H and R<sub>7</sub> = OMe) were prepared (R<sub>2</sub>, R<sub>5</sub>, and m.p. given): Cl, OMe, 209-10°; Cl, OC<sub>8</sub>H<sub>17</sub>, 91-2°; Cl, O(CH<sub>2</sub>)<sub>3</sub>Cl, 146-7°; Cl, OCH<sub>2</sub>Ph, 176-7°; Cl, OCH<sub>2</sub>CO<sub>2</sub>Et, 161-2°; Cl, OAc, 167-8°; tert-Bu, OC<sub>8</sub>H<sub>17</sub>, 119-20°; H, OC<sub>8</sub>H<sub>17</sub>, 117-18°; H, O(CH<sub>2</sub>)<sub>3</sub>Cl, 146-7°; H, OCH<sub>2</sub>Ph, 189-90°; H, OCH<sub>2</sub>CO<sub>2</sub>Et, 155-6°; H, OAc, 139-40°. The following I (R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>6</sub> = H and R<sub>7</sub> = Ph) were prepared (R<sub>2</sub>, R<sub>5</sub>, and m.p. given): H, OH, 203-4°; H, OMe, 145-6°; H, OC<sub>8</sub>H<sub>17</sub>, 105-6°; H, O(CH<sub>2</sub>)<sub>3</sub>Cl, 148-9°; tert-Bu, OH, 227-8°; tert-Bu, OMe, 190-1°; tert-Bu, OC<sub>8</sub>H<sub>17</sub>, 149-50°; tert-Bu, O(CH<sub>2</sub>)<sub>3</sub>Cl, 168-9°; tert-Bu, OAc, 166-7°; tert-Bu, OCH<sub>2</sub>CO<sub>2</sub>Et, 157-8°.

IT 1081796-97-5P 1081812-86-3P

RL: SPN (Synthetic preparation); PRP (Properties); PREP (Preparation)  
(Asymmetric oxalylbis[arylamides])

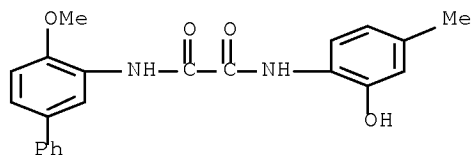
RN 1081796-97-5 CAPLUS

CN Ethanediarnide, N1-[1,1'-biphenyl]-2-yl-N2-(4-ethyl-2-hydroxyphenyl)- (CA INDEX NAME)



RN 1081812-86-3 CAPLUS

CN Ethanediarnide, N1-(2-hydroxy-4-methylphenyl)-N2-(4-methoxy[1,1'-biphenyl]-3-yl)- (CA INDEX NAME)



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LOGOFF? (Y)/N/HOLD:y

STN INTERNATIONAL LOGOFF AT 09:24:21 ON 22 APR 2009